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NEWS	2	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	12	JUN 25	CA/CAPplus and USPAT databases updated with IPC reclassification data
NEWS	13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	17	JUL 28	CA/CAPplus patent coverage enhanced
NEWS	18	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	19	JUL 28	IFICDB, IFIPAT, and IFIUIDB reloaded with enhancements
NEWS	20	JUL 28	STN Viewer performance improved
NEWS	21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	22	AUG 13	CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	24	AUG 15	CAPplus currency for Korean patents enhanced
NEWS	25	AUG 25	CA/CAPplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS	26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:27:18 ON 18 SEP 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:27:26 ON 18 SEP 2008

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STRUCTURE FILE UPDATES: 16 SEP 2008 HIGHEST RN 1049663-83-3

DICTIONARY FILE UPDATES: 16 SEP 2008 HIGHEST RN 1049663-83-3

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

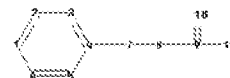
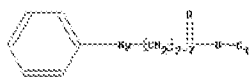
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=>

Uploading C:\Program Files\STNEXP\Queries\10566342.str



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chain nodes :
7  8  9  10  11  17
ring nodes :
1  2  3  4  5  6
chain bonds :
4-7  7-8  8-9  9-10  9-11  11-17
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
4-7  7-8  9-10  9-11  11-17
exact bonds :
8-9
normalized bonds :
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isolated ring systems :
containing 1 :
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 $G1 : H, Ak$

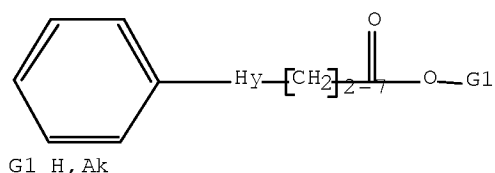
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 17:CLASS
Element Count :
Node 7: Limited
    N,N1
    C,C2-3
    S,S0-2
    O,O0-2

```

L1 STRUCTURE UPLOADED

```
=> d l1
L1 HAS NO ANSWERS
L1                                STR
```



Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.46

0.67

FILE 'CAPLUS' ENTERED AT 11:27:47 ON 18 SEP 2008

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FILE COVERS 1907 - 18 Sep 2008 VOL 149 ISS 12

FILE LAST UPDATED: 16 Sep 2008 (20080916/ED)

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=> s l1 sss full

REGISTRY INITIATED

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Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:27:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3687682 TO ITERATE

24.7% PROCESSED 911774 ITERATIONS

1699 ANSWERS

26.7% PROCESSED 984949 ITERATIONS

1717 ANSWERS

27.1% PROCESSED 1000000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.37

1721 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 3687682 TO 3687682
PROJECTED ANSWERS: 6108 TO 6584

L2 1721 SEA SSS FUL L1

L3 129 L2

=> L3 AND py < 2004

L3 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s L3 AND py<2004

24009629 PY<2004

L4 4 L3 AND PY<2004

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1950:33661 CAPLUS Full-text

DOCUMENT NUMBER: 44:33661

ORIGINAL REFERENCE NO.: 44:6468c-i,6469a-d

TITLE: The quantitative microanalytical separation and
determination of amino acids as azobenzene derivatives
of urea. I. Theoretical and preparative basis for the
technique for separation of the dyes by selective
fractionation

AUTHOR(S): Zeile, Karl; Oetzel, Martin

SOURCE: Z. physiol. Chem. (1949), 284, 1-19

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB By means of derivs. it is possible to modify the phys. properties, such as
solubility, of amino acids and effect their separation by partitioning the
derivs. between water and some immiscible solvent at a suitable pH. The
preparation of usable intermediates and derivs. is described. Mono- and di-Me
esters of 2,5-disarcosino-1,4-benzoquinone: A solution of 1.4 g. Me ester of
sarcosine-HCl in 3 cc. MeOH, and 1.4 g. NaOAc were mixed with shaking. To
this solution 1.62 g. quinone in 20 cc. MeOH was added. After 30 min. at 40°,
the precipitate was filtered off and washed with water and MeOH. The
precipitate was extracted 3 times (hot) with CHCl3 and 3 times with MeOH. The
monomethyl ester crystallized from these exts. m. 172°. From the mother
liquor of the CHCl3 extract the di-Me ester crystallized m. 202°. Di-Et ester
of 2,5-diglycino-3,6-dichloro-1,4-benzoquinone: A solution of 1.4 g. Et
glycine-HCl in 10 cc. alc. was mixed with a solution of 2.72 g. NaOAc in 5 cc.
alc. and a solution of 1.23 g. chloranil in 20 cc. dry dioxane. After several
hrs. the precipitate was filtered off, washed with water, alc., and ether,
yield 1.1 g., m. 202° after recrystn. from CHCl3. By an analogous process,

the di-Me ester of 2,5-disarcosino-3,6-dichloro-1,4- benzoquinone was prepared, m. 153°. p-Phenylazophenyl isocyanate (I), m. 98°, was prepared from p-aminoazobenzene. Two cc. of water was added to a solution of 0.25 g. I in 5 cc. pyridine and heated. 4,4'-Bis(phenylazo) carbanilide separated, m. 274° (decomposition). MeOH (1 cc.) and 0.5 g. I were heated together. Me 4-phenylazocarbanilate separated, m. 122°. The m.ps. of other esters prepared in the same way are: Et 153°, Pr 146°, iso-Pr 174°, 2-methylpropyl 131°. General method for the preparation of phenylazoanilino formylamino acids: The amino acid is dissolved in the equivalent amount of N NaOH and added to 1.25 mol of I. After standing 3 h., the solution can be worked up by either of the following methods: (a) At pH 8-9, the amino acid derivative is dissolved in water and weak alkali, and excess I is decomposed. The azo derivative is precipitated by means of N HCl and washed with water. (b) At pH 3-4, water and N HCl are added. The precipitated amino acid derivative and the urea derivative of I are taken up in ether. The ether solution is washed with dilute NaOH and then with dilute HCl. The ether is evaporated to give crystals of the azo derivative of the amino acid. The following amino acid derivs. (p-PhN:NC₆H₄NHCONHCHRCOOH) were prepared and their m.ps. determined: p-phenylazoanilinoformylglycine (II) 206°, p- phenylazoanilinoformylsarcosine 143°, p-phenylazoanilinoformyl-L- (+)-alanine (III) 194°, p-phenylazoanilinoformyl-DL-alanine (XVII) 203°, p-phenylazoanilinoformyl-L-(-)-phenylalanine 174°, p-phenylazoanilinoformyl-DL-serine (X) 202°, p-phenylazoanilinoformyl-DL-valine 191°, p-phenylazoanilinoformyl-L(-)-leucine (IV) 185°, p-phenylazoanilinoformyl-L(+)-isoleucine 190°, p-phenylazoanilinoformyl-L(-)-tyrosine (V) 191°, p-phenylazoanilinoformyl-DL-methionine (XI) 165°, Ba salt of p-phenylasoanilinoformyltaurine, p-phenylazoanilinoformyl-L-(-)-aspartic acid (VI) 219°, p-phenylazoanilinoformyl-L(+)-glutamic acid (VII) 184°, p-phenylazoanilinoformyl-L(-)-histidine (VIII) 191°, p-phenylasoanilinoformyl-L(-)-tryptophan 200°, p- phenylazoanilinoformyl-DL-proline (XII) 187°, p-phenylazoanilinoformyl-L(-)-hydroxyproline 201°, p-phenylazoanilinoformyl-L(-)-cystine (XIII) 188°, bis[p-phenylazoanilinoformyl]-L(+)-lysine (XIV) 222°, bis[p-phenylazoanilinoformyl]-L(+)-ornithine (XV) 224°, bis[p-phenylazoanilinoformyl]-L(+)-arginine (XVI) 210°. VIII crystallized from 65% EtOH has 1 mol. of alc. of crystallization, m. 166°. Et p-phenylazoanilinoformylglycine (IX), m. 161°, was prepared from II by esterification with absolute EtOH and concentrated H₂SO₄. IX was also prepared from I and Et glycine. 3-[p-Phenylazophenyl] hydantoin-5-acetic acid, m. 241°, was prepared by refluxing 0.5 g. of VI with 15 cc. AcOH and Ac₂O 1 h. 3-[p-Phenylazophenyl]hydantoin-5-propionic acid γ-lactam, m. 255°, was prepared by refluxing 0.5 g. VII with 3 cc. AcOH and 5 cc. Ac₂O. 1-Acetyl-3-[p-phenylazophenyl]-2, 4-dihydroxyimidazolidine, m. 190°, was prepared by refluxing 0.5 g. I with 10 cc. AcOH and 5 cc. Ac₂O for 1 h. The hydantoins of the following phenylazoanilinoformylamino acids (p-PhN:NC₆H₄NHCONHCHRCOOH) were prepared by allowing 0.5 g. of the amino acid derivative in 150 cc. MeOH to stand overnight with an Et₂O solution of diazomethane: I m. 228°, III 226°, IV 197°, V 219°, VI 211°, VII 175°.

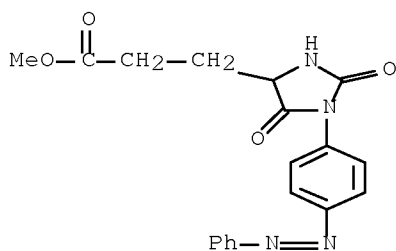
IT 858222-14-7P, 4-Imidazolidinepropionic acid, 2,5-dioxo-1-(p-phenylazophenyl)-, methyl ester

RL: PREP (Preparation)

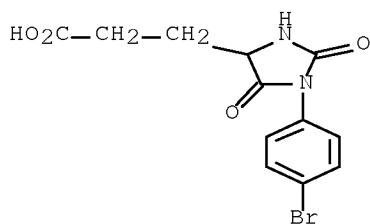
(preparation of)

RN 858222-14-7 CAPLUS

CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-[4-(2-phenyldiazenyl)phenyl]-, methyl ester (CA INDEX NAME)



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1937:13244 CAPLUS Full-text
 DOCUMENT NUMBER: 31:13244
 ORIGINAL REFERENCE NO.: 31:1833i,1834a
 TITLE: Ascorbic acid oxidase from drumstick, Moringa
 pterygosperma
 AUTHOR(S): Srinivasan, Mudambi
 SOURCE: Biochemical Journal (1936), 30, 2077-84
 CODEN: BIJOAK; ISSN: 0264-6021
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 AB See C. A. 30, 2592.2.
 IT 873380-69-9P, 4-Imidazolidinepropionic acid, 1-(p-bromophenyl)-2,5-
 dioxo-
 RL: PREP (Preparation)
 (preparation of)
 RN 873380-69-9 CAPLUS
 CN 4-Imidazolidinepropanoic acid, 1-(4-bromophenyl)-2,5-dioxo- (CA INDEX
 NAME)



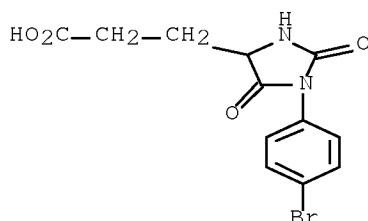
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1937:13243 CAPLUS Full-text
 DOCUMENT NUMBER: 31:13243
 ORIGINAL REFERENCE NO.: 31:1833f-i
 TITLE: The action of phenyl isocyanate on insulin. II.
 Further observations on the chemistry of insulin and
 its phosphate-lowering power
 AUTHOR(S): Gaunt, Wm. E.; Wormall, Arthur
 SOURCE: Biochemical Journal (1936), 30, 1915-26
 CODEN: BIJOAK; ISSN: 0264-6021
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB cf. C. A. 29, 2661.4. Insulin lost its hypophosphatemic power at the same rate that it lost hypoglucemic activity when it was treated with PhNCO (I). I and its p-Br derivative (II) did not react with the OH group of tyrosine, the acid amide groups of asparagine and glutamine, the imidazole radical of histidine or the S-S linkage of cystine. II and proline gave p-bromophenylcarbamyproline m. 169° (decomposition). I and II reacted with the guanidino group of arginine to some extent. The following compds. were prepared from amino acids and I and II: S-phenylcarbamy- α -phenylcarbamido- β -mercaptopropionic acid m. 135-6°, S-phenylcarbamy- α -mercaptopropionic acid m. 140-1°, S-phenylcarbamymercaptoacetic acid m. 146°, Na-p-bromophenylcarbamyhistidine m. 177-8°; Na-phenylcarbamyasparagine m. 163°, Na-p-bromophenylcarbamyasparagine (+ 1 mol. EtOH) m. 175-6°, Na-phenylcarbamyglutamine m. 161°, Na-p-bromophenylcarbamyglutamine m. 189°. The above derivs. of asparagine and glutamine gave on heating in 5 N HCl phenyl- and p-bromophenylhydantoinacetic acids m. 231-3° and 220°, resp., and β -(phenyl- and β -(p-bromophenylhydantoin)) propionic acids m. 160-1° and 200-201°, resp.

IT 873380-69-9P, 4-Imidazolidinepropionic acid, 1-(p-bromophenyl)-2,5-dioxo-
 RL: PREP (Preparation)
 (preparation of)

RN 873380-69-9 CAPLUS

CN 4-Imidazolidinepropanoic acid, 1-(4-bromophenyl)-2,5-dioxo- (CA INDEX NAME)



L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1930:53162 CAPLUS Full-text

DOCUMENT NUMBER: 24:53162

ORIGINAL REFERENCE NO.: 24:5751f-i

TITLE: Synthesis of thiazole amines possessing pharmacological interest. V, VI

AUTHOR(S): Hinegardner, W. S.; Johnson, T. B.

SOURCE: Journal of the American Chemical Society (1930), 52, 4139-41,4141-4
 CODEN: JACSAT; ISSN: 0002-7863

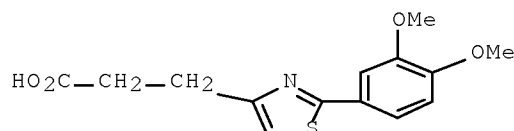
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. C. A. 24, 5038. A series of intermediate compds. prepared in the development of a practical synthesis of 2-p-hydroxyphenylthiazole-4-ethylamine (I). (ClCH₂)₂CO and thioanisamide give 72% of 2-p-methoxyphenylthiazole-4-chloromethyl, b2-4 185-6°, m. 55-6°; with CHNa(CO₂Et)₂ there results 51.7% of di-Et 2-p-methoxyphenylthiazole-4-methylmalonate, b2-4 235-9°; the free acid, m. 97°, seps. with 2 mols. H₂O; decarboxylation gives 2-p-methoxyphenylthiazole-4- β -propionic acid, m. 126-7°, whose Et ester m. 53-

4°; the hydrazide m. 158-9° (95% yield) and the azide m. 78-9° (94% yield); di(2-methoxyphenylthiazole-4-ethyl)- sym-urea, m. 173-4° (97.4% yield). 2-p-Methoxyphenylthiazole-4- ethylphthalimide, m. 120-1° (88% yield), results by heating the urea with C6H4(CO)2O at 220-5°; digestion with N2H4.H4O in EtOH gives 2-p-methoxyphenylthiazole-4-ethylamine, b2-4 292-3°; 48% HBr gives I, which is an oil; the HCl salt m. 218-22°. Attempts to convert the urea into I by 48% HBr were unsuccessful. Veratrolonitrile with H2H in EtOH at 100° gives 90% of 3,4 dimethoxythiobenzamide, m. 183°; with (ClCH2)CO this yields 74% of 2-(3,4- dimethoxyphenylthiazole)-4-chloromethyl, m. 89-90°. Di-Et 2-(3,4- dimethoxyphenylthiazole)-4-methylmalonate, b2-3 215-5° (53% yeild); the free acid m. 141°, seps. with 1 mol. H2O (53% yield); 2-(3,4- dimethoxyphenylthiazole)-4-β-propionic acid, m. 94° (80% yield); Et ester, b2-3 220-3°, m. 69° (81% yield); hydrazide, m. 162° (94% yield); azide, m. 77-8° (90% yield); di-2-(3,4-dimethoxyphenylthiazole-4-ethyl)-sym-urea, m. 165-6° (90% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylphthalimide, m. 143-4° (72% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylamine, b4 210-2° (52% yield); di-HCl salt, m. 225-7°. The di-HO derivative has not been obtained pure from demethylation expts.

IT 858009-38-8, 4-Thiazolepropionic acid, 2-(3,4-dimethoxyphenyl)-
(and derivs.)
RN 858009-38-8 CAPLUS
CN 4-Thiazolepropanoic acid, 2-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 11:27:18 ON 18 SEP 2008)

FILE 'REGISTRY' ENTERED AT 11:27:26 ON 18 SEP 2008
L1 STRUCTURE UPLOADED

FILE 'CAPLUS' ENTERED AT 11:27:47 ON 18 SEP 2008
S L1

FILE 'REGISTRY' ENTERED AT 11:27:51 ON 18 SEP 2008
L2 1721 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:28:28 ON 18 SEP 2008
L3 129 S L2 SSS FULL
L4 4 S L3 AND PY<2004

=> S L3 AND PY<2005
25113073 PY<2005
L5 4 L3 AND PY<2005

=> s L4 AND PY<2006
26290699 PY<2006
L6 4 L4 AND PY<2006

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(FILE 'HOME' ENTERED AT 11:27:18 ON 18 SEP 2008)

FILE 'REGISTRY' ENTERED AT 11:27:26 ON 18 SEP 2008
L1 STRUCTURE UPLOADED

FILE 'CAPLUS' ENTERED AT 11:27:47 ON 18 SEP 2008
S L1

FILE 'REGISTRY' ENTERED AT 11:27:51 ON 18 SEP 2008
L2 1721 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:28:28 ON 18 SEP 2008
L3 129 S L2 SSS FULL
L4 4 S L3 AND PY<2004
L5 4 S L3 AND PY<2005
L6 4 S L4 AND PY<2006

=> d L3

L3 ANSWER 1 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:977826 CAPLUS Full-text
DN 149:267891
TI Process for preparing highly pure ezetimibe using novel benzyl ester
intermediates
IN Srinivasan, Chidambaram Venkateswaran; Saxena, Rahul; Gupta, Pranav;
Wadhwa, Lalit
PA Ind-Swift Laboratories Limited, India
SO PCT Int. Appl., 34pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2008096372	A2	20080814	WO 2008-IN72	20080206
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	TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,				
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PRAI	IN 2007-DE234	A	20070206		
	IN 2008-DE216	A	20080125		

=> d his

(FILE 'HOME' ENTERED AT 11:27:18 ON 18 SEP 2008)

FILE 'REGISTRY' ENTERED AT 11:27:26 ON 18 SEP 2008

L1 STRUCTURE UPLOADED

FILE 'CAPLUS' ENTERED AT 11:27:47 ON 18 SEP 2008
S L1

L2 FILE 'REGISTRY' ENTERED AT 11:27:51 ON 18 SEP 2008
1721 S L1 SSS FULL

L3 FILE 'CAPLUS' ENTERED AT 11:28:28 ON 18 SEP 2008
129 S L2 SSS FULL
L4 4 S L3 AND PY<2004
L5 4 S L3 AND PY<2005
L6 4 S L4 AND PY<2006

=> L3
L3 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

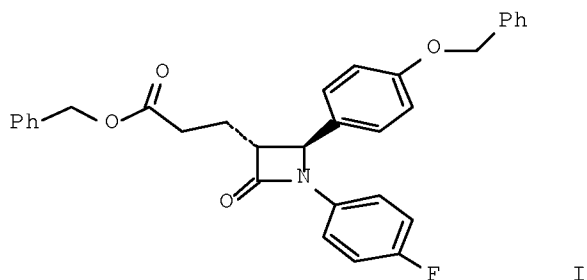
=> s L3
L7 129 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 129 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:977826 CAPLUS Full-text
DOCUMENT NUMBER: 149:267891
TITLE: Process for preparing highly pure ezetimibe using
novel benzyl ester intermediates
INVENTOR(S): Srinivasan, Chidambaram Venkateswaran; Saxena, Rahul;
Gupta, Pranav; Wadhwa, Lalit
PATENT ASSIGNEE(S): Ind-Swift Laboratories Limited, India
SOURCE: PCT Int. Appl., 34pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008096372	A2	20080814	WO 2008-IN72	20080206
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
IN 2007DE00234	A	20080905	IN 2007-DE234	20070206
PRIORITY APPLN. INFO.:			IN 2007-DE234	A 20070206
			IN 2008-DE216	A 20080125

GI



AB Ezetimibe was prepared by reaction of $\text{HO}_2\text{C}(\text{CH}_2)_3\text{CO}_2\text{CH}_2\text{Ph}$ with a chiral auxiliary such as (S)-4-phenyl-2-oxazolidinone using pivaloyl chloride, condensing the product with 4-(PhCH₂O)C₆H₄CH:NC₆H₄F-4 to give 4-[(4-benzyloxyphenyl)(4-fluorophenylamino)methyl]-5-oxo-5-(2-oxo-4-phenyloxazolidin-3-yl)pentanoic acid benzyl ester, cyclization of the latter in the presence of F⁻ and a silylating agent to give azetidinone (I), ester hydrolysis, conversion to the acid chloride, catalytic Grignard reaction with 4-FC₆H₄MgBr, reduction in the presence of a chiral promoter/catalyst, and debenzoylation using Pd/C.

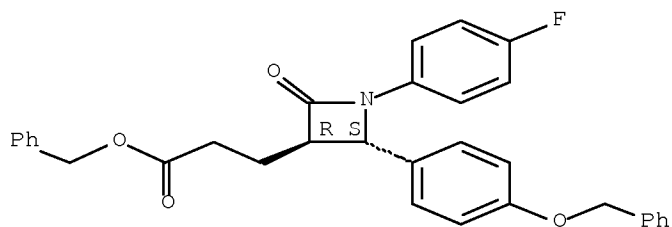
IT 1046809-85-1F

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of highly pure ezetimibe using novel benzyl ester intermediates)

RN 1046809-85-1 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, phenylmethyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 2 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:933633 CAPLUS Full-text

DOCUMENT NUMBER: 149:224075

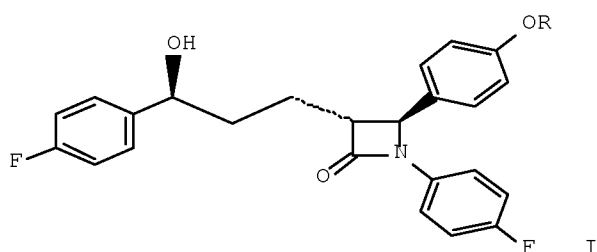
TITLE: Preparation of ezetimibe and derivatives for pharmaceutical applications

INVENTOR(S): Stimac, Anton; Mohar, Barbara; Stephan, Michel; Bevc, Mojca; Zupet, Rok; Gartner, Andrej; Kroselj, Vesna; Smrkolj, Matej

PATENT ASSIGNEE(S): Krka, Slovenia
 SOURCE: Eur. Pat. Appl., 47pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1953140	A1	20080806	EP 2007-1537	20070124
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
WO 2008089984	A2	20080731	WO 2008-EP546	20080124
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			EP 2007-1537	A 20070124
			EP 2007-15107	A 20070801
			EP 2007-20070	A 20071012
			EP 2007-23686	A 20071206
			EP 2007-24430	A 20071217

GI



AB The invention relates to the method of preparing ezetimibe and derivs. having general structure I [R = H, trisubstituted silyl, (substituted) arylmethyl]. S form ezetimibe and preparation of different crystalline forms of ezetimibe are also claimed. The invention further includes pharmaceutical compns. containing ezetimibe for lowering cholesterol level. Thus ezetimibe was obtained with diastereomer ratio 99:1 by catalytic asym. transfer hydrogenation of corresponding ketone (3R,4S)-4-(4-hydroxyphenyl)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-oxopropyl]azetidin-2-one in the presence of [(S,S)-N-(piperidyl-N-sulfonyl)-1,2- diphenylethylenediamine] (η6-

mesitylene)ruthenium which was prepared in situ from [RuCl₂(mesitylene)]₂ and N-[(1S,2S)-2-amino-1,2-diphenylethyl]-1- piperidinesulfonamide.

IT 1042722-99-5P 1042723-00-1P 1042723-03-4P

1042723-04-5P 1042723-05-6P 1042723-06-7P

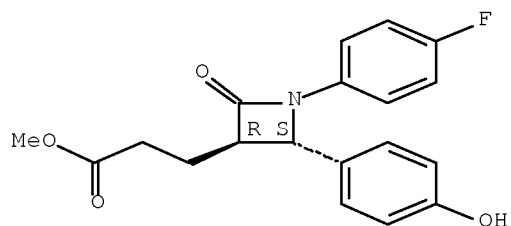
1042723-07-8P 1042723-08-9P 1042723-09-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of ezetimibe and derivs.)

RN 1042722-99-5 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-(4-hydroxyphenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

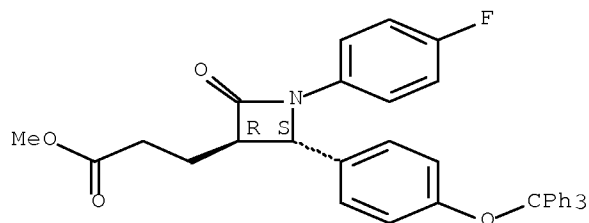
Absolute stereochemistry.



RN 1042723-00-1 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(triphenylmethoxy)phenyl]-, methyl ester, (3R,4S)- (CA INDEX NAME)

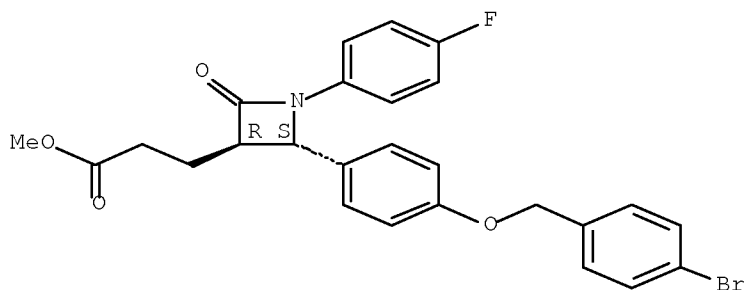
Absolute stereochemistry.



RN 1042723-03-4 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(4-bromophenyl)methoxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

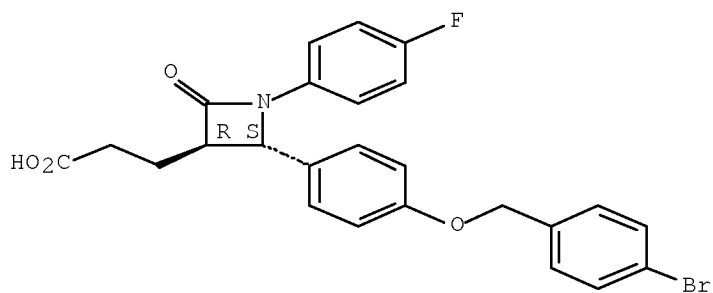
Absolute stereochemistry.



RN 1042723-04-5 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(4-bromophenyl)methoxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, (2S,3R)- (CA INDEX NAME)

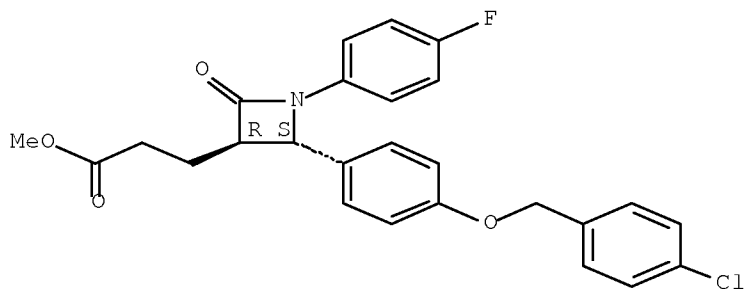
Absolute stereochemistry.



RN 1042723-05-6 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(4-chlorophenyl)methoxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

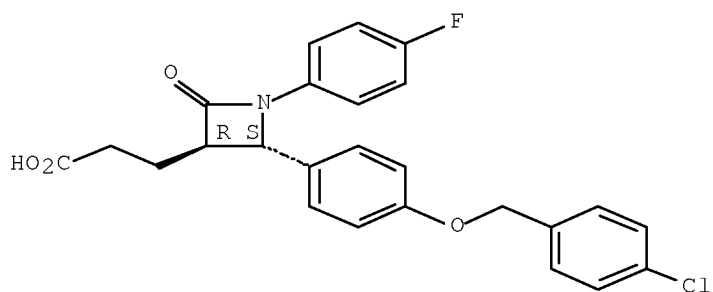
Absolute stereochemistry.



RN 1042723-06-7 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(4-chlorophenyl)methoxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, (2S,3R)- (CA INDEX NAME)

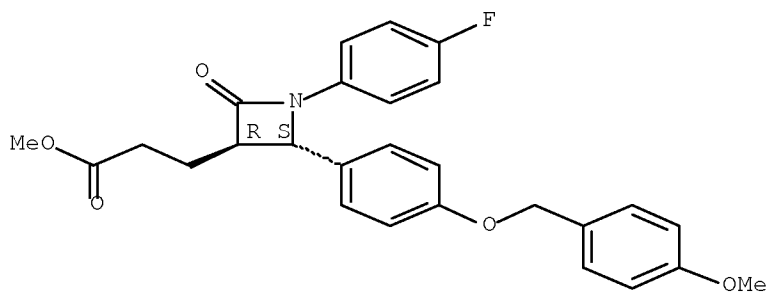
Absolute stereochemistry.



RN 1042723-07-8 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-[4-[(4-methoxyphenyl)methoxy]phenyl]-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

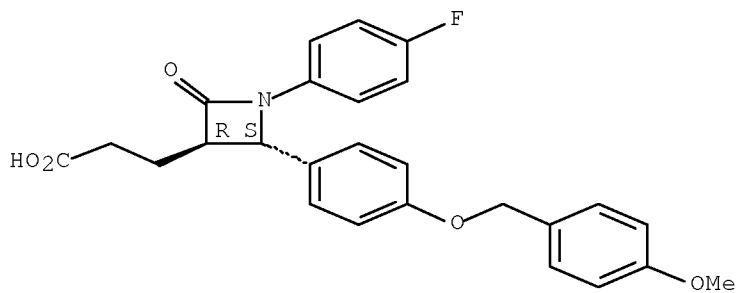
Absolute stereochemistry.



RN 1042723-08-9 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-[4-[(4-methoxyphenyl)methoxy]phenyl]-4-oxo-, (2S,3R)- (CA INDEX NAME)

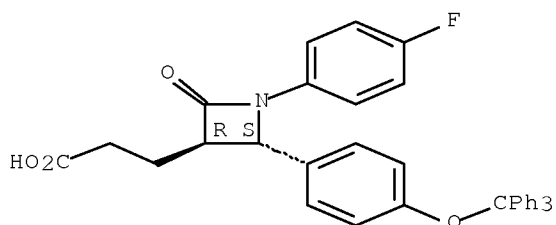
Absolute stereochemistry.



RN 1042723-09-0 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(triphenylmethoxy)phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1042723-14-7P 1042723-15-8P 1042723-16-9P
1042723-17-0P

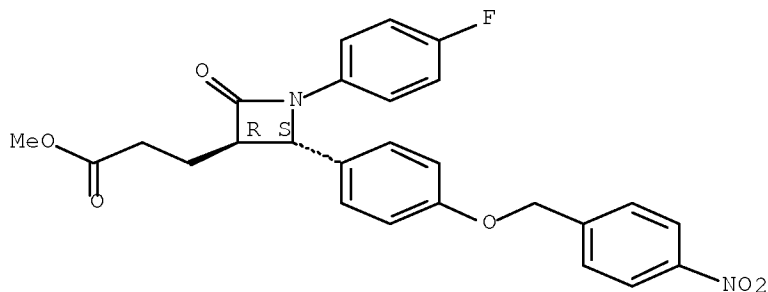
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)

(preparation of ezetimibe and derivs.)

RN 1042723-14-7 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-[4-[(4-nitrophenyl)methoxy]phenyl]-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

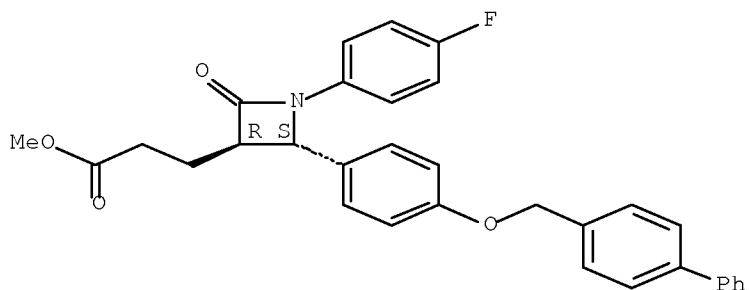
Absolute stereochemistry.



RN 1042723-15-8 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-([1,1'-biphenyl]-4-ylmethoxy)phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

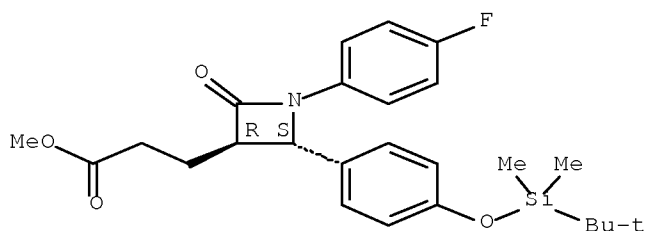
Absolute stereochemistry.



RN 1042723-16-9 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

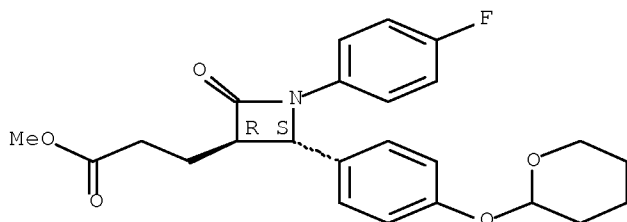
Absolute stereochemistry.



RN 1042723-17-0 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]-, methyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:916239 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:224074

TITLE: Preparation of ezetimibe and derivatives for pharmaceutical applications

INVENTOR(S): Stimac, Anton; Mohar, Barbara; Stephan, Michel; Bevc, Mojca; Zupet, Rok; Gartner, Andrej; Kroselj, Vesna; Smrkolj, Matej; Kidemet, Davor; Sedmak, Gregor; Benkic, Primoz; Kljajic, Alen; Plevnik, Miha

PATENT ASSIGNEE(S): Krka, Slovenia

SOURCE: PCT Int. Appl., 94pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

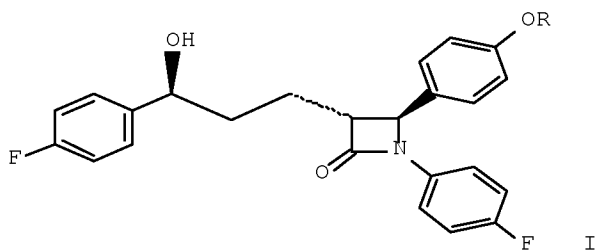
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
WO 2008089984		A2	20080731	WO 2008-EP546		20080124
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
EP 1953140		A1	20080806	EP 2007-1537		20070124
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					

PRIORITY APPLN. INFO.:	EP 2007-1537	A	20070124
	EP 2007-15107	A	20070801
	EP 2007-20070	A	20071012
	EP 2007-23686	A	20071206
	EP 2007-24430	A	20071217

OTHER SOURCE(S): CASREACT 149:224074; MARPAT 149:224074
GI



AB The invention relates to the method of preparing ezetimibe and derivs. having general structure I [R = H, trisubstituted silyl, (substituted) arylmethyl, tetrahydro-2H-pyranyl]. S form ezetimibe and preparation of different crystalline forms of ezetimibe are also claimed. The invention further includes pharmaceutical compns. containing ezetimibe for lowering cholesterol level. Thus ezetimibe was obtained with diastereomer ratio 99:1 by catalytic

asym. transfer hydrogenation of corresponding ketone (3R,4S)-4-(4-hydroxyphenyl)-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-oxopropyl]azetidin-2-one in the presence of [(S,S)-N-(piperidyl-N-sulfonyl)-1,2-diphenylethylenediamine](η 6-mesitylene)ruthenium which was prepared in situ from [RuCl₂(mesitylene)]₂ and N-[(1S,2S)-2-amino-1,2-diphenylethyl]-1-piperidinesulfonamide.

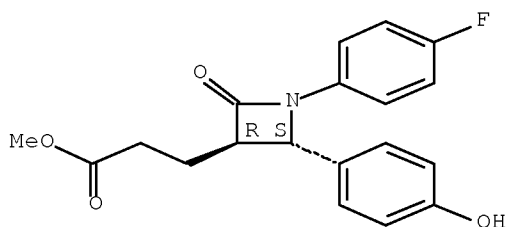
IT 1042722-99-5P 1042723-00-1P 1042723-03-4P
 1042723-04-5P 1042723-05-6P 1042723-06-7P
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 1042723-17-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of ezetimibe and derivs.)

RN 1042722-99-5 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-(4-hydroxyphenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

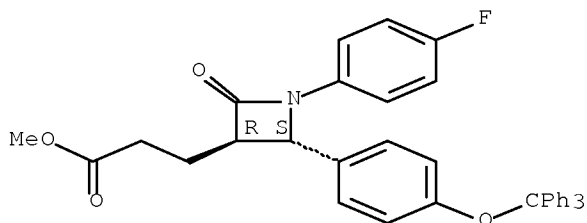
Absolute stereochemistry.



RN 1042723-00-1 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(triphenylmethoxy)phenyl]-, methyl ester, (3R,4S)- (CA INDEX NAME)

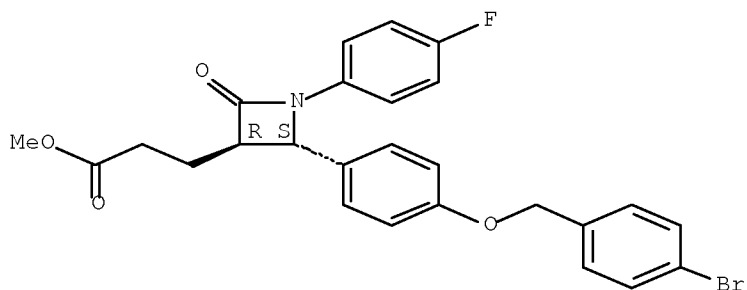
Absolute stereochemistry.



RN 1042723-03-4 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(4-bromophenyl)methoxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

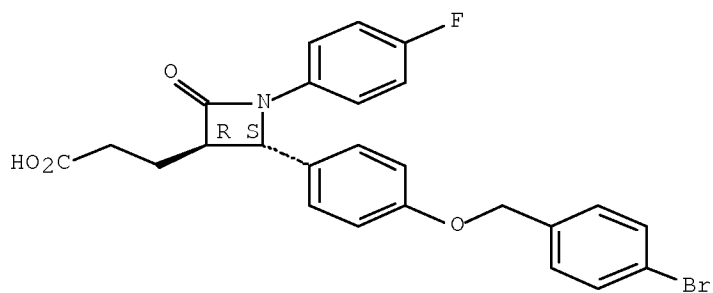
Absolute stereochemistry.



RN 1042723-04-5 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(4-bromophenyl)methoxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, (2S,3R)- (CA INDEX NAME)

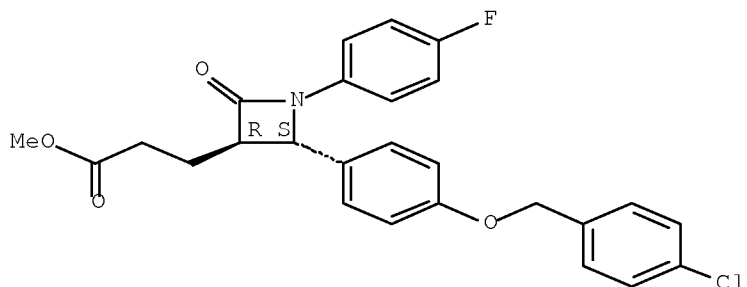
Absolute stereochemistry.



RN 1042723-05-6 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(4-chlorophenyl)methoxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

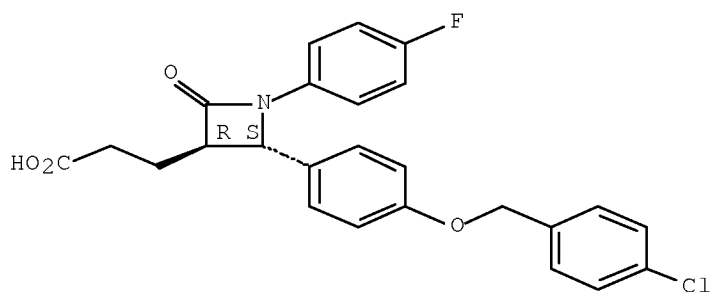
Absolute stereochemistry.



RN 1042723-06-7 CAPLUS

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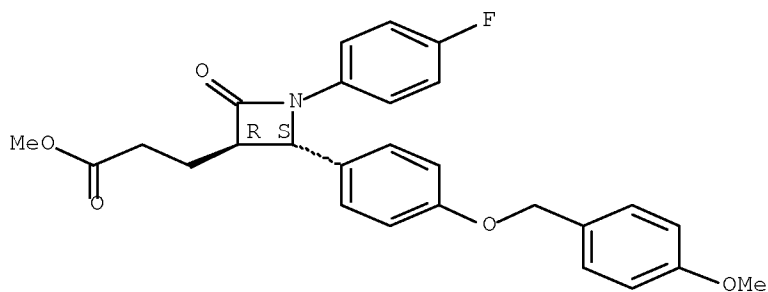
Absolute stereochemistry.



RN 1042723-07-8 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-[4-[(4-methoxyphenyl)methoxy]phenyl]-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

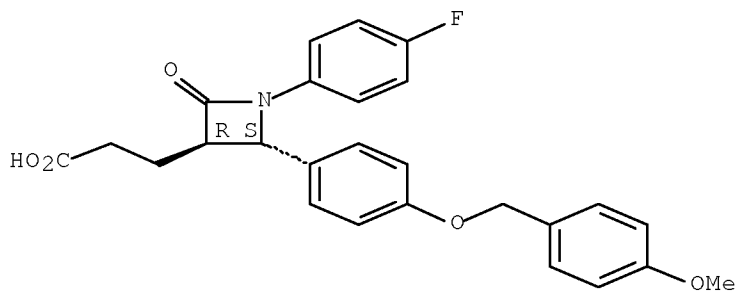
Absolute stereochemistry.



RN 1042723-08-9 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-[4-[(4-methoxyphenyl)methoxy]phenyl]-4-oxo-, (2S,3R)- (CA INDEX NAME)

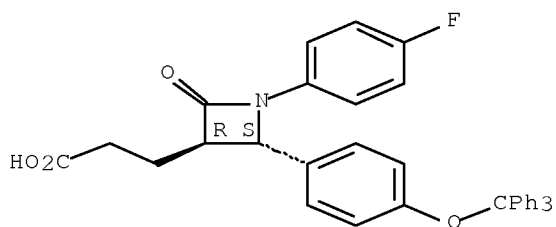
Absolute stereochemistry.



RN 1042723-09-0 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(triphenylmethoxy)phenyl]-, (3R,4S)- (CA INDEX NAME)

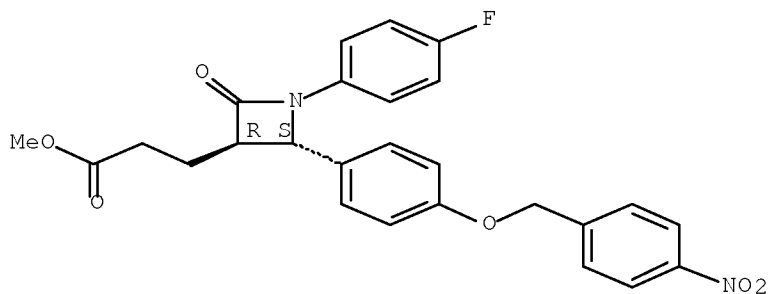
Absolute stereochemistry.



RN 1042723-14-7 CAPLUS

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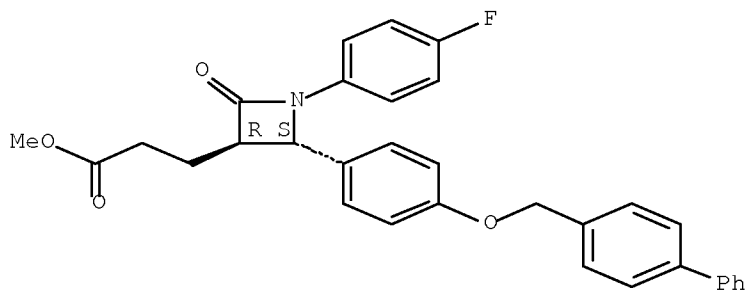
Absolute stereochemistry.



RN 1042723-15-8 CAPLUS

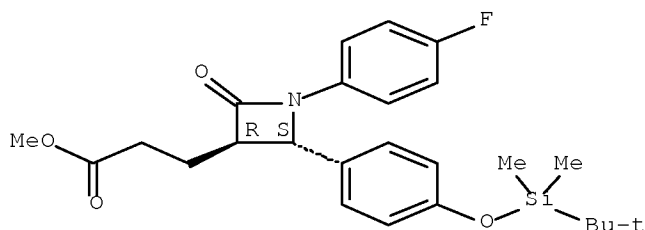
CN 3-Azetidinepropanoic acid, 2-[4-([1,1'-biphenyl]-4-ylmethoxy)phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.



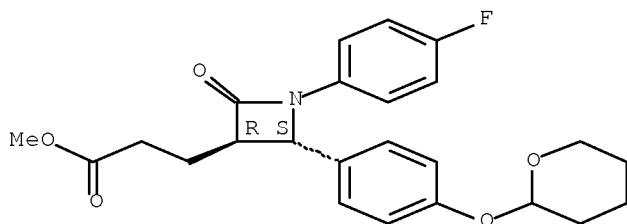
RN 1042723-16-9 CAPLUS
 CN 3-Azetidinepropanoic acid, 2-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, methyl ester, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1042723-17-0 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]-, methyl ester, (3R,4S)- (CA INDEX NAME)

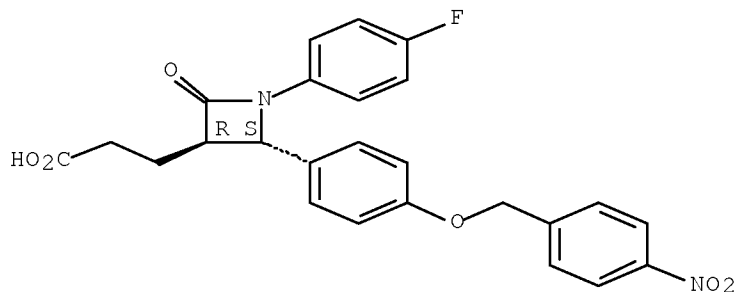
Absolute stereochemistry.



IT 1042723-23-8 1042723-24-9 1042723-25-0
 1042723-26-1 1042723-27-2 1042723-28-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of ezetimibe and derivs.)

RN 1042723-23-8 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-[4-[(4-nitrophenyl)methoxy]phenyl]-4-oxo-, (2S,3R)- (CA INDEX NAME)

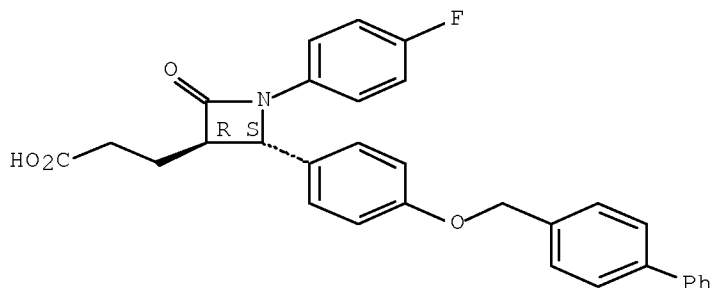
Absolute stereochemistry.



RN 1042723-24-9 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-([1,1'-biphenyl]-4-ylmethoxy)phenyl]-1-(4-fluorophenyl)-4-oxo-, (2S,3R)- (CA INDEX NAME)

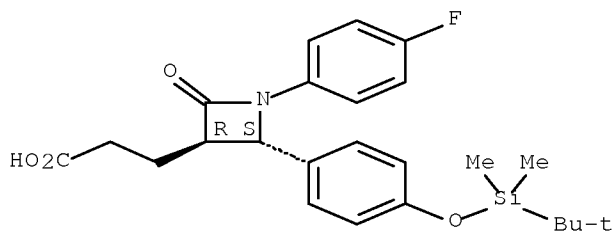
Absolute stereochemistry.



RN 1042723-25-0 CAPLUS

CN 3-Azetidinepropanoic acid, 2-[4-[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-1-(4-fluorophenyl)-4-oxo-, (2S,3R)- (CA INDEX NAME)

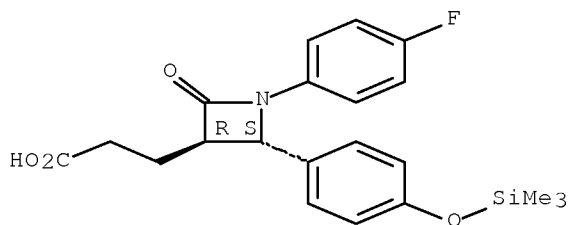
Absolute stereochemistry.



RN 1042723-26-1 CAPLUS

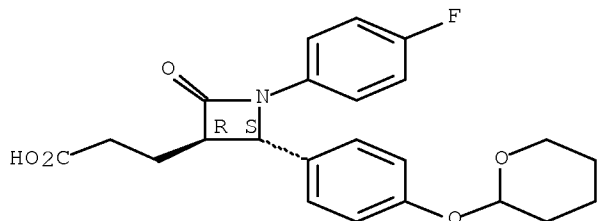
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-[(trimethylsilyl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



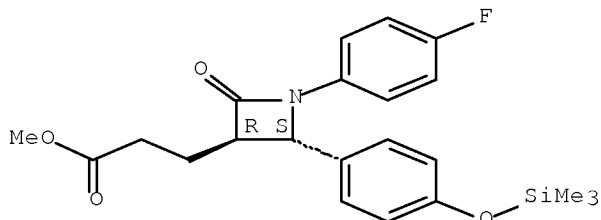
RN 1042723-27-2 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-[(tetrahydro-2H-pyran-2-yl)oxy]phenyl]-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1042723-28-3 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-[(trimethylsilyl)oxy]phenyl]-, methyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 4 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:881185 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 149:224188
TITLE: Oxadiazole-carbonylaminothiureas as SIRT1 and SIRT2 Inhibitors
AUTHOR(S): Huhtiniemi, Tero; Suuronen, Tiina; Rinne, Valtteri M.; Wittekindt, Carsten; Lahtela-Kakkonen, Maija; Jarho, Elina; Wallen, Erik A. A.; Salminen, Antero; Poso, Antti; Leppanen, Jukka
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of Kuopio, Kuopio, 70211, Finland
SOURCE: Journal of Medicinal Chemistry (2008), 51(15), 4377-4380
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new inhibitor for human sirtuin type proteins 1 and 2 (SIRT1 and SIRT2) was discovered through virtual database screening in search of new scaffolds. A series of compds. was synthesized based on the hit compound (3-[[3-(4-tert-butylphenyl)1,2,4-oxadiazole-5-carbonyl]amino]-1-[3-(trifluoromethyl)phenyl]thiourea). The most potent compound in the series was

nearly as potent as the reference compound (6-chloro-2,3,4,9-tetrahydro-1H-carbazole-1-carboxamide).

IT 875164-21-9P 937665-05-9P

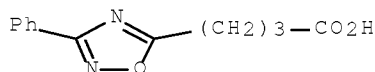
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxadiazole-substituted (carbonylamino)thioureas as SIRT1 and

SIRT2 inhibitors)

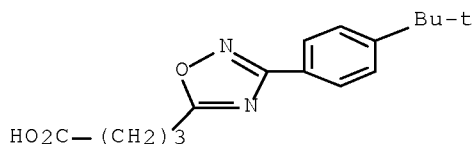
RN 875164-21-9 CAPLUS

CN 1,2,4-Oxadiazole-5-butanoic acid, 3-phenyl- (CA INDEX NAME)



RN 937665-05-9 CAPLUS

CN 1,2,4-Oxadiazole-5-butanoic acid, 3-[4-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:804798 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:128839

TITLE: Heteroaryl-substituted carboxamides and use thereof for the stimulation of the expression of NO synthase and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Kleemann, Heinz-Werner; Zoller, Gerhard; Will, David William

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.

SOURCE: PCT Int. Appl., 163pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008077507	A1	20080703	WO 2007-EP10982	20071214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,				

KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

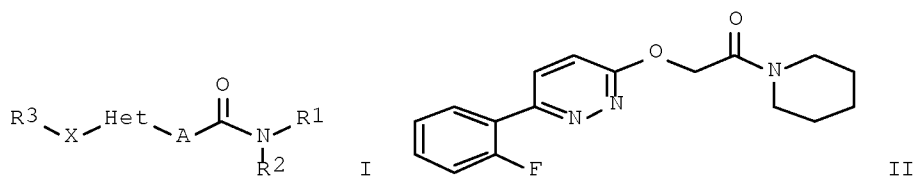
EP 1939181 A1 20080702 EP 2006-26893 20061227

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

PRIORITY APPLN. INFO.: EP 2006-26893 A 20061227

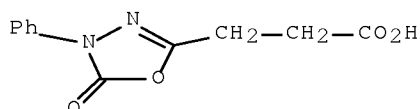
OTHER SOURCE(S): MARPAT 149:128839

GI



AB The invention relates to heteroaryl-substituted carboxamides of the formula I, which modulate the transcription of endothelial nitric oxide (NO) synthase and are valuable pharmacol. active compds. Specifically, the compds. of the formula I upregulate the expression of the enzyme endothelial NO synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. The invention further relates to processes for the preparation of compds. of the formula I, to pharmaceutical compns. comprising them, and to the use of compds. of the formula I for the manufacture of a medicament for the stimulation of the expression of endothelial NO synthase or for the treatment of various diseases including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension and cardiac insufficiency, for example. Compds. of formula I wherein A is CH₂CH₂, CH₂CH₂CH₂, OCH₂, SCH₂, NHCH₂ and derivs., CH₂O, etc.; Het is (un)substituted 5- to 6-membered (hetero)aromatic ring; X is a bond, CH₂, O, NH, provided that X cannot be O or NH if the R₃X group is bonded to a ring nitrogen atom in the Het group; R₁ and R₂ are independently H, C₁-6 alkyl, C₃-6 alkenyl, C₃-6 alkynyl; C₃-7-cycloalkyl(CH₂)₀₋₂, etc.; R₃ is (un)substituted Ph and (un)substituted heteroaryl; and any stereoisomeric forms, mixts. of stereoisomeric forms, and physiol. acceptable salts thereof, are claimed. Example compound II was prepared by cross-coupling of 3,6-dibromopyridazine with 2-fluorophenylboronic acid; the resulting 3-bromo-6-(2-fluorophenyl)pyridazine underwent etherification with hydroxyacetic acid tert-Bu ester to give [6-(2-fluorophenyl)pyridazin-3-yloxy]acetic acid tert-Bu ester, which underwent hydrolysis to give [6-(2-fluorophenyl)pyridazin-3-yloxy]acetic acid, which underwent amidation with piperidine to give compound II. All the invention compds. were evaluated for their endothelial nitric oxide synthase expression stimulating activity (some data given).

IT 1036227-18-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of heteroaryl-substituted carboxamides as
 endothelial nitric oxide synthase expression stimulators useful in the
 treatment of diseases)
 RN 1036227-18-5 CAPLUS
 CN 1,3,4-Oxadiazole-2-propanoic acid, 4,5-dihydro-5-oxo-4-phenyl- (CA INDEX
 NAME)

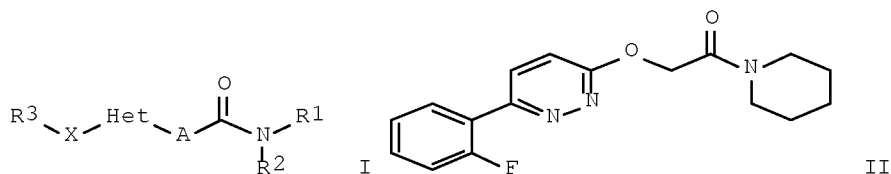


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:795201 CAPLUS Full-text
 DOCUMENT NUMBER: 149:128838
 TITLE: Heteroaryl-substituted carboxamides and use thereof
 for the stimulation of the expression of NO synthase
 and their preparation, pharmaceutical compositions and
 use in the treatment of diseases
 INVENTOR(S): Strobel, Hartmut; Wohlfahrt, Paulus; Kleemann,
 Heinz-Werner; Zoller, Gerhard; Will, David William
 PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.
 SOURCE: Eur. Pat. Appl., 92pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1939181	A1	20080702	EP 2006-26893	20061227
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
WO 2008077507	A1	20080703	WO 2007-EP10982	20071214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2006-26893 A 20061227
 GI



AB The invention relates to heteroaryl-substituted carboxamides of the formula I, which modulate the transcription of endothelial nitric oxide (NO) synthase and are valuable pharmacol. active compds. Specifically, the compds. of the formula I upregulate the expression of the enzyme endothelial NO synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. The invention further relates to processes for the preparation of compds. of the formula I, to pharmaceutical compns. comprising them, and to the use of compds. of the formula I for the manufacture of a medicament for the stimulation of the expression of endothelial NO synthase or for the treatment of various diseases including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension and cardiac insufficiency, for example. Compds. of formula I wherein A is CH₂CH₂, CH₂CH₂CH₂, OCH₂, SCH₂, NHCH₂ and derivs., CH₂O, etc.; Het is (un)substituted 5- to 6-membered (hetero)aromatic ring; X is a bond, CH₂, O, NH, provided that X cannot be O or NH if the R₃X group is bonded to a ring nitrogen atom in the Het group; R₁ and R₂ are independently H, C₁-6 alkyl, C₃-6 alkenyl, C₃-6 alkynyl, C₃-7-cycloalkyl(CH₂)₀₋₂, etc.; R₃ is (un)substituted Ph and (un)substituted heteroaryl; and any stereoisomeric forms, mixts. of stereoisomeric forms, and physiol. acceptable salts thereof, are claimed. Example compound II was prepared by cross-coupling of 3,6-dibromopyridazine with 2-fluorophenylboronic acid; the resulting 3-bromo-6-(2-fluorophenyl)pyridazine underwent etherification with hydroxyacetic acid tert-Bu ester to give [6-(2-fluorophenyl)pyridazin-3-yloxy]acetic acid tert-Bu ester, which underwent hydrolysis to give [6-(2-fluorophenyl)pyridazin-3-yloxy]acetic acid, which underwent amidation with piperidine to give compound II. All the invention compds. were evaluated for their endothelial nitric oxide synthase expression stimulating activity (some data given).

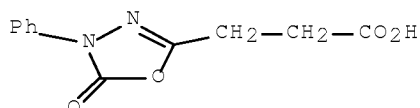
IT 1036227-18-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heteroaryl-substituted carboxamides as endothelial nitric oxide synthase expression stimulators useful in the treatment of diseases)

RN 1036227-18-5 CAPLUS

CN 1,3,4-Oxadiazole-2-propanoic acid, 4,5-dihydro-5-oxo-4-phenyl- (CA INDEX NAME)



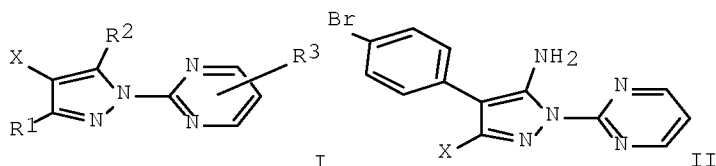
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:773924 CAPLUS Full-text
DOCUMENT NUMBER: 149:104746
TITLE: Preparation of pyrimidinylpyrazoles as insecticides
INVENTOR(S): Frackenpohl, Jens; Gebauer, Olaf; Cerezo-Galvez, Silvia; Es-Sayed, Mazen; Goergens, Ulrich; Franken, Eva-Maria; Malsam, Olga; Schwarz, Hans-Georg; Arnold, Christian; Luemmen, Peter; Schnatterer, Stefan
PATENT ASSIGNEE(S): Bayer Cropscience A.-G., Germany
SOURCE: Ger. Offen., 178pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102007003036	A1	20080626	DE 2007-102007003036	20070120
WO 2008077483	A1	20080703	WO 2007-EP10839	20071212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: DE 2006-102006060230IA 20061220
DE 2007-102007003036A 20070120

OTHER SOURCE(S): MARPAT 149:104746
GI



AB Title compds. I [X = Ph, 2-pyridyl, 3-pyridyl, etc.; R₁ = alkyl, cycloalkyl, haloalkyl, etc.; R₂ = amino with provisos; R₃ = (R₃')_n; R₃' = halo, alkyl, haloalkyl, etc.; n = 0-1] were prepared For example, POCL₃ mediated dehydration of of oxime II [X = CH=NOH] afforded nitrile II [X = CN]. In

phaedon cochleariae brassica pekinensis protection assays, compds. I exhibited 80% protection after 7 days at 500 ppm.

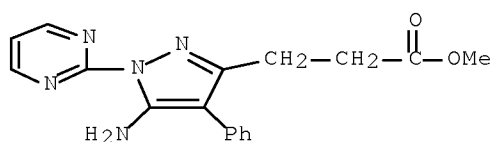
IT 1041295-90-2P 1041295-92-4P 1041295-94-6P
 1041295-96-8P 1041295-98-0P 1041296-00-7P
 1041296-02-9P 1041296-04-1P 1041296-06-3P
 1041296-08-5P 1041296-10-9P 1041296-12-1P
 1041296-14-3P 1041296-17-6P 1041296-19-8P
 1041296-21-2P 1041296-23-4P 1041296-26-7P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinylpyrazoles as insecticides)

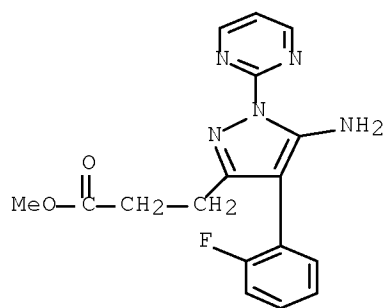
RN 1041295-90-2 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-phenyl-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



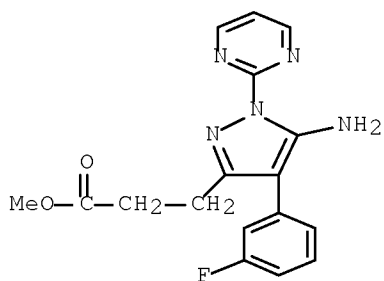
RN 1041295-92-4 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2-fluorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



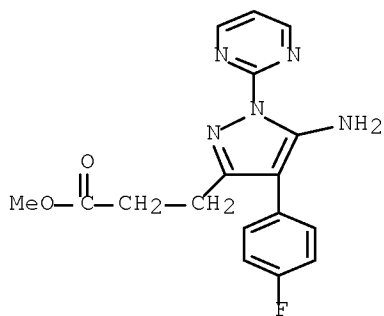
RN 1041295-94-6 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(3-fluorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



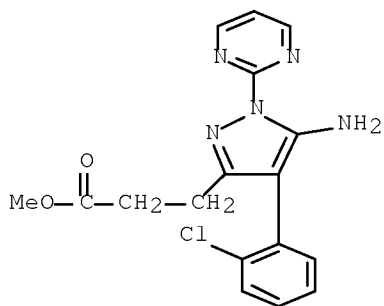
RN 1041295-96-8 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(4-fluorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



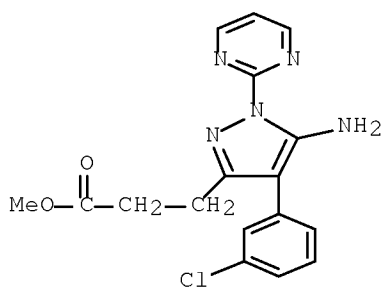
RN 1041295-98-0 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2-chlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



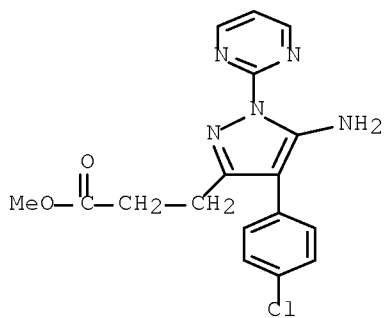
RN 1041296-00-7 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(3-chlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



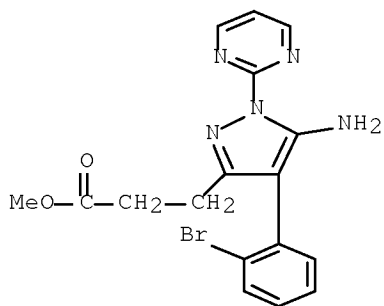
RN 1041296-02-9 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(4-chlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



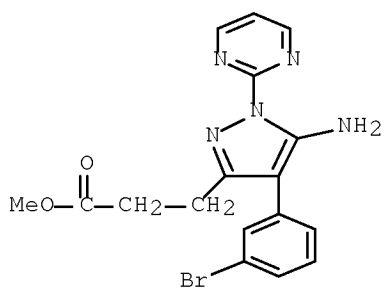
RN 1041296-04-1 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2-bromophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



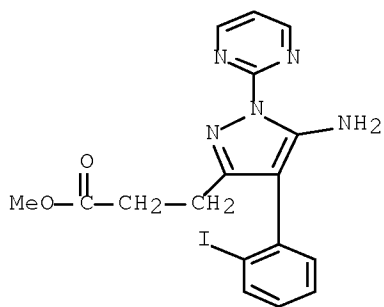
RN 1041296-06-3 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(3-bromophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



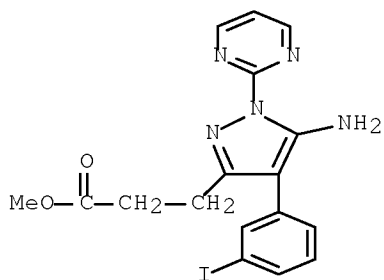
RN 1041296-08-5 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2-iodophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



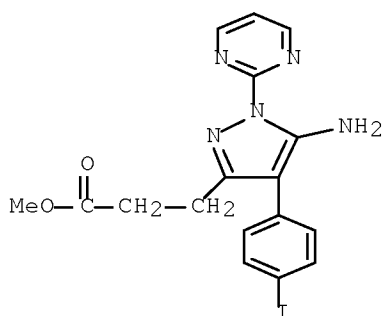
RN 1041296-10-9 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(3-iodophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



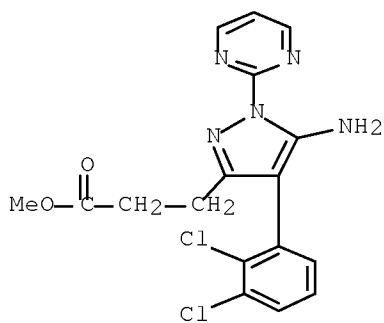
RN 1041296-12-1 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(4-iodophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



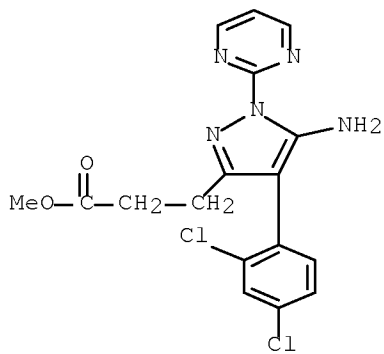
RN 1041296-14-3 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2,3-dichlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



RN 1041296-17-6 CAPLUS

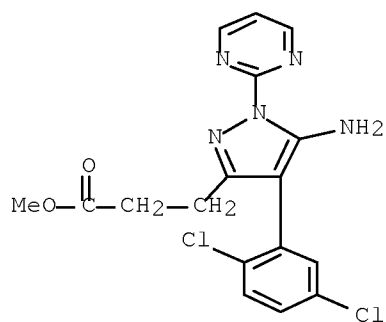
CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2,4-dichlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



RN 1041296-19-8 CAPLUS

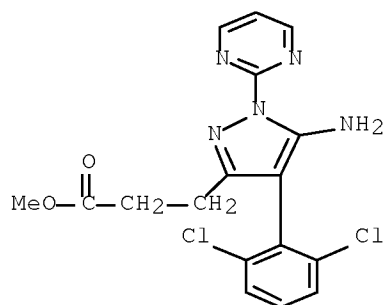
CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2,5-dichlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)

pyrimidinyl)-, methyl ester (CA INDEX NAME)



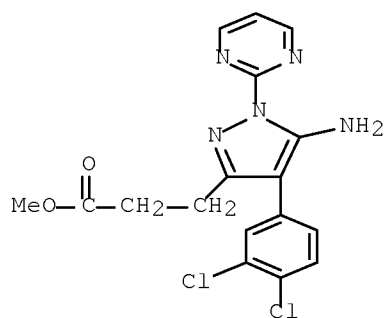
RN 1041296-21-2 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(2,6-dichlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



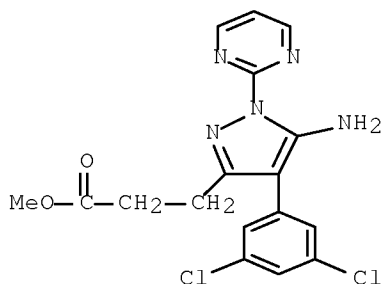
RN 1041296-23-4 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(3,4-dichlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)

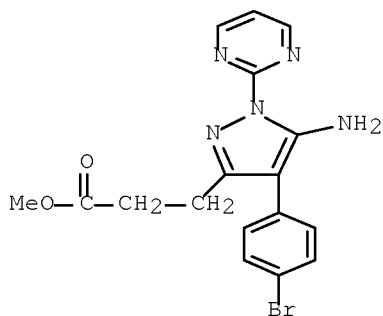


RN 1041296-26-7 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(3,5-dichlorophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



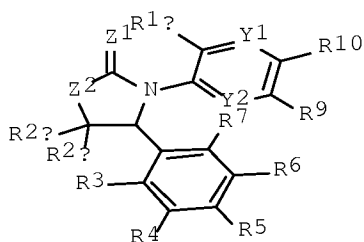
IT 1034283-93-6P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinylpyrazoles as insecticides)
RN 1034283-93-6 CAPLUS
CN 1H-Pyrazole-3-propanoic acid, 5-amino-4-(4-bromophenyl)-1-(2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



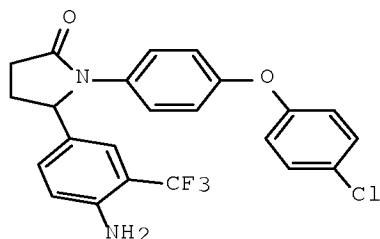
L7 ANSWER 8 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:771087 CAPLUS Full-text
DOCUMENT NUMBER: 149:128815
TITLE: Azacyclic compounds as inhibitors of cannabinoid receptor 1 and their preparation, pharmaceutical compositions and use in the treatment of CB1-mediated diseases
INVENTOR(S): Liu, Hong; He, Xiaohui; Phillips, Dean; Zhu, Xuefeng; Yang, Kunyong; Lau, Thomas; Wu, Baogen; Xie, Yongping; Nguyen, Truc Ngoc; Wang, Xing
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008076754	A2	20080626	WO 2007-US87230	20071212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2006-870339P	P 20061215
			US 2007-953595P	P 20070802
OTHER SOURCE(S):		MARPAT 149:128815		
GI				



I



II

AB The invention provides compds. of formula I, pharmaceutical compns. comprising such compds. and methods of using such compds. to treat or prevent diseases or disorders associated with the activity of cannabinoid receptor 1 (CB1). Compds. of formula I wherein Y1 is N and CR11; Y2 is N and CR8; Z1 is S, O, NH, CH₂NO₂, NSO₂NH₂, NCONH₂, etc.; Z2 is O, CH₂CHR1a, OCHR1a, (un)substituted methylene, and NH and derivs.; R1a is H, CN, C1-6 (cyano)alkyl, C2-6 alkenyl, etc.; R2a is H, C1-6 (halo)alkyl, C6-10 aryl, etc.; R2b is H and C1-6 alkyl; R2aR2b taken together to form =O; R3, R4, R6 and R7 are independently H, halo and amino; R4 is H, halo, OH, C1-6 (halo)alkyl, C1-6 alkoxy, etc.; R8 R9, R11 and R12a are independently H, halo, C1-6 (halo)alkyl, and C1-6 (halo)alkoxy; R10 is halo, CN, C1-6 (halo)alkyl, C1-6 (halo)alkoxy, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their CB1 inhibitory activity (some data given).

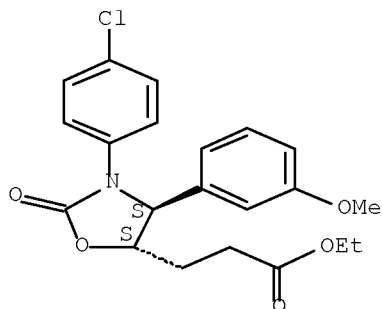
IT 1035486-32-8P 1035486-47-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azacyclic compds. as inhibitors of cannabinoid receptor 1 useful in the treatment of CB1-associated diseases)

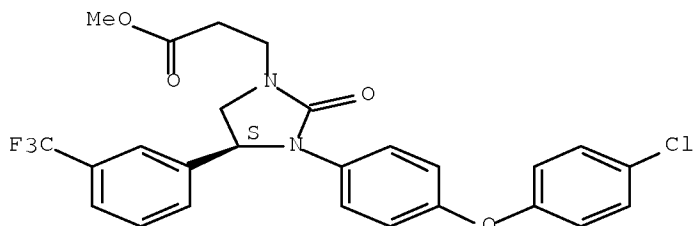
RN 1035486-32-8 CAPLUS
CN 5-Oxazolidinepropanoic acid, 3-(4-chlorophenyl)-4-(3-methoxyphenyl)-2-oxo-, ethyl ester, (4S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1035486-47-5 CAPLUS
CN 1-Imidazolidinepropanoic acid, 3-[4-(4-chlorophenoxy)phenyl]-2-oxo-4-[3-(trifluoromethyl)phenyl]-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 9 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:770900 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 149:96026
TITLE: Methods and compositions for treating gastrointestinal disorders
INVENTOR(S): Jiang, Guang Liang; Im, Wha Bin; Wheeler, Larry A.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: PCT Int. Appl., 51pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008076703	A1	20080626	WO 2007-US87042	20071211
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,			

KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
 MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2006-870444P

P 20061218

AB Methods are provided directed to administering a therapeutically effective amount of a prostaglandin EP4 agonist component to a mammal afflicted with or prone to affliction with a disease or condition selected from an esophageal ulcer, alc. gastropathy, a duodenal ulcer, a gastric ulcer, non-steroidal anti-inflammatory drug-induced gastroenteropathy and intestinal ischemia. Such administration results in treating or preventing the disease or condition. Administration of an EP4 agonist to mice with acetic acid-induced stomach ulceration dosed with indomethacin significantly decreased inflammation and reduced bleeding thereby accelerating healing of the ulcers.

IT 1034647-69-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prostaglandin EP4 agonists for treating gastrointestinal disorders)

RN 1034647-69-2 CAPLUS

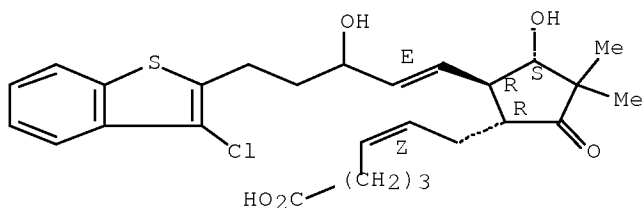
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 885049-28-5

CMF C27 H33 Cl O5 S

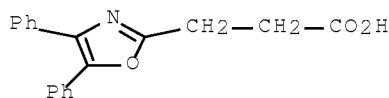
Absolute stereochemistry.
 Double bond geometry as shown.



CM 2

CRN 21256-18-8

CMF C18 H15 N O3



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:735698 CAPLUS Full-text

DOCUMENT NUMBER: 149:128722

TITLE: Preparation of azacyclobutanone derivative, and pharmaceutical composition containing azacyclobutanone derivative

INVENTOR(S): Huang, Wenlong; Zhang, Huibin; Wang, Yubin

PATENT ASSIGNEE(S): China Pharmaceutical University, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 15pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

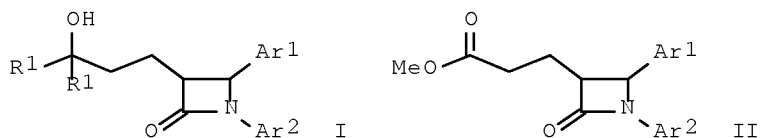
LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101200443	A	20080618	CN 2007-10133305	20071017
PRIORITY APPLN. INFO.:			CN 2007-10133305	20071017

GI



AB The title azacyclobutanone derivative has a general structure I (Ar1 = R2 substituted aryl; Ar2 = R3 substituted aryl; R1 = R4 substituted aryl, benzyl, or low-carbon alkyl; R2, R3, R4 = -OR5, -O(CO)R5, -O(CO)OR5, -O(CH2)1-5OR5, -O(CH2)1-2O-, -O(CO)NR5R6, -NR5R6, -NR5(CO)R6, -NR5(CO)OR6, -NR5(CO)NR6R7, -NR5SO2-low-carbon alkyl, -NR5SO2-aryl, -CONR5R6, -COR5, -SO2NR5R6, S(O)O-2-alkyl, S(O)O-2-aryl, -O(CH2)1-10-COOR5, -O(CH2)1-10CONR5R6, H, o-halogen, m-halogen, p-halogen, o-low-carbon alkyl, m-low-carbon alkyl, p-low-carbon alkyl, aryl, -NO2, CF3, -(low-carbon alkylene)-COOR5, and -CH=CH-COOR5; R5, R6, R7 = H, low-carbon alkyl, aryl, and aryl-substituted low-carbon alkyl). Title compound was prepared from Ar1CH=NAr2 and Me chloroformylbutyrate via cyclization to form II, then Grignard addition to obtain the title product. The azacyclobutanone derivative can be used as plasma cholesterol-reducing medicine for preventing/treating atherosclerosis.

IT 1019333-55-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

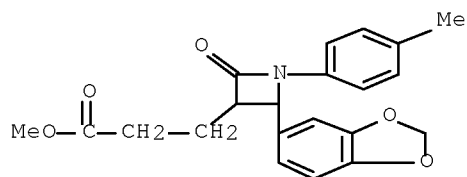
(preparation of azacyclobutanone derivative, and pharmaceutical application

as

antiatherosclerotics)

RN 1019333-55-1 CAPLUS

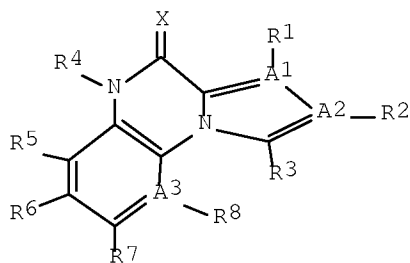
CN 3-Azetidinepropanoic acid, 2-(1,3-benzodioxol-5-yl)-1-(4-methylphenyl)-4-oxo-, methyl ester (CA INDEX NAME)



L7 ANSWER 11 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:733540 CAPLUS Full-text
 DOCUMENT NUMBER: 149:79640
 TITLE: Preparation of quinoxaline derivatives as
 phosphodiesterase type 9 inhibitors for treatment of
 urinary incontinence, hypertension, diabetes, etc.
 INVENTOR(S): Okada, Makoto; Sato, Shuichiro; Kawade, Kenji;
 Gotanda, Kotaro; Shinbo, Atsushi; Nakano, Youichi;
 Kobayashi, Hideo
 PATENT ASSIGNEE(S): Aska Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 228pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008072779	A1	20080619	WO 2007-JP74363	20071212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2006-336215 A 20061213
 OTHER SOURCE(S): MARPAT 149:79640
 GI



I

AB The title compds. I [R1 and R2 independently represent a hydrogen atom, a halogen atom, an (un)substituted alkyl group, etc.; R3 represents an (un)substituted alkyl group, an (un)substituted alkenyl group, an (un)substituted aryl group, etc.; R4 represents a hydrogen atom, a hydroxy group, an (un)substituted alkyl group, etc.; R5 and R8 independently represent a hydrogen atom, a halogen atom, an (un)substituted alkyl group, etc.; R6 and R7 independently represent a hydrogen atom, a halogen atom, an (un)substituted alkyl group, etc.; X represents S or O; and A1, A2 and A3 independently represent N or C; when A1, A2, or A3 is N, there is no substituent attached to N] are prepared. Thus, 7-chloro-1-isopropyl-4-oxo- 4,5-dihydroimidazo[1,5-a]quinoxaline was prepared in a 3-step process starting from 4-chloro-1-fluoro-2-nitrobenzene and 2-isopropylimidazole. In an in vitro assay, compds. of this invention demonstrated high activity against phosphodiesterase type 9 and showed very low activity against phosphodiesterase type 5. A formulation is given.

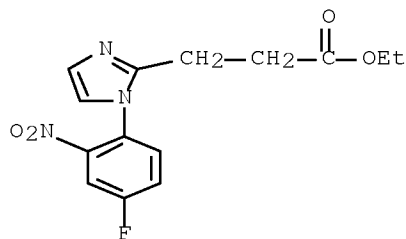
IT 1033717-17-7P 1033717-20-2P 1033717-22-4P
1033717-24-6P 1033717-26-8P 1033717-28-0P
1033717-30-4P 1033717-32-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinoxaline derivs. as phosphodiesterase type 9 inhibitors for treatment of urinary incontinence, hypertension, diabetes, etc.)

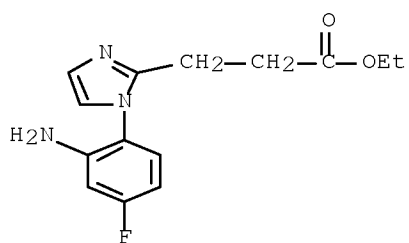
RN 1033717-17-7 CAPLUS

CN 1H-Imidazole-2-propanoic acid, 1-(4-fluoro-2-nitrophenyl)-, ethyl ester
(CA INDEX NAME)

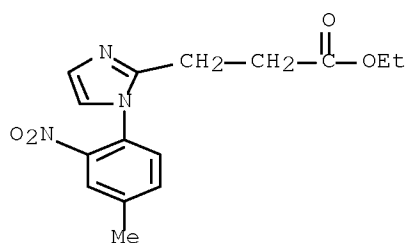


RN 1033717-20-2 CAPLUS

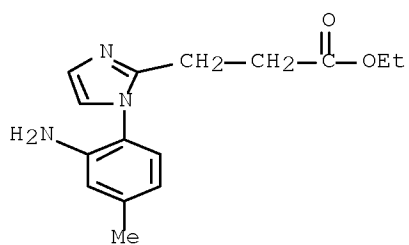
CN 1H-Imidazole-2-propanoic acid, 1-(2-amino-4-fluorophenyl)-, ethyl ester
(CA INDEX NAME)



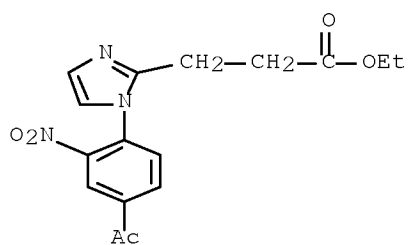
RN 1033717-22-4 CAPLUS
 CN 1H-Imidazole-2-propanoic acid, 1-(4-methyl-2-nitrophenyl)-, ethyl ester
 (CA INDEX NAME)



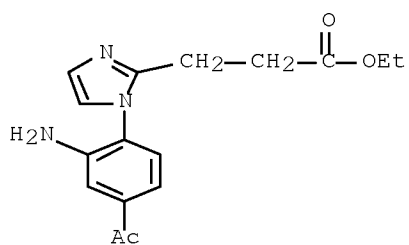
RN 1033717-24-6 CAPLUS
 CN 1H-Imidazole-2-propanoic acid, 1-(2-amino-4-methylphenyl)-, ethyl ester
 (CA INDEX NAME)



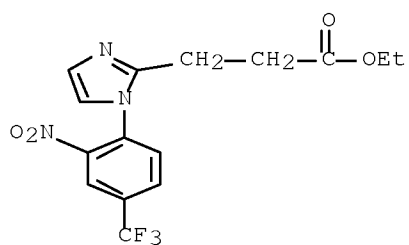
RN 1033717-26-8 CAPLUS
 CN 1H-Imidazole-2-propanoic acid, 1-(4-acetyl-2-nitrophenyl)-, ethyl ester
 (CA INDEX NAME)



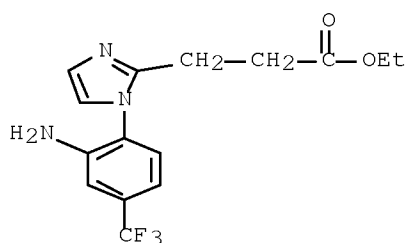
RN 1033717-28-0 CAPLUS
 CN 1H-Imidazole-2-propanoic acid, 1-(4-acetyl-2-aminophenyl)-, ethyl ester
 (CA INDEX NAME)



RN 1033717-30-4 CAPLUS
 CN 1H-Imidazole-2-propanoic acid, 1-[2-nitro-4-(trifluoromethyl)phenyl]-,
 ethyl ester (CA INDEX NAME)



RN 1033717-32-6 CAPLUS
 CN 1H-Imidazole-2-propanoic acid, 1-[2-amino-4-(trifluoromethyl)phenyl]-,
 ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 157 THERE ARE 157 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:606260 CAPLUS Full-text

DOCUMENT NUMBER: 149:176222

TITLE: Assembly of chiral monodentate ligands to chelates by donor-acceptor interactions

AUTHOR(S): Chuzel, Olivier; Magnier-Bouvier, Caroline; Schulz, Emmanuelle

CORPORATE SOURCE: Equipe de Catalyse Moleculaire, ICMO, UMR 8182, Universite Paris-Sud 11, Orsay, 91405, Fr.

SOURCE: Tetrahedron: Asymmetry (2008), 19(8), 1010-1019

CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The assembly of monodentate oxazoline donor and acceptor ligands by charge transfer interactions is described to mimic bidentate ligands in asym. catalysis. The corresponding copper(II) complexes were used in an enantioselective Diels-Alder reaction and showed very high efficiency, but only moderate stereoselectivity. These complexes were successfully recovered and reused after precipitation in pentane.

IT 1040399-85-6P

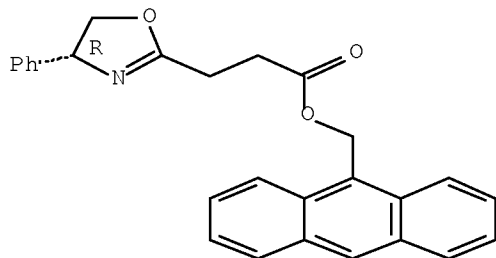
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(assembly of monodentate oxazoline donor and acceptor ligands for use in enantioselective Diels-Alder reactions)

RN 1040399-85-6 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-dihydro-4-phenyl-, 9-anthracenylmethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:580948 CAPLUS Full-text

DOCUMENT NUMBER: 149:143197

TITLE: Synthesis and structure-activity relationship of histone deacetylase (HDAC) inhibitors with triazole-linked cap group

AUTHOR(S): Chen, Po C.; Patil, Vishal; Guerrant, William; Green, Patience; Oyelere, Adegboyega K.

CORPORATE SOURCE: School of Chemistry and Biochemistry, Parker H. Petit Institute for Bioengineering and Bioscience, Georgia Institute of Technology, Atlanta, GA, 30332-0400, USA

SOURCE: Bioorganic & Medicinal Chemistry (2008), 16(9), 4839-4853

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Histone deacetylase (HDAC) inhibition is a recent, clin. validated therapeutic strategy for cancer treatment. Small mol. HDAC inhibitors identified so far fall in to three distinct structural motifs: the zinc-binding group (ZBG), a hydrophobic linker, and a recognition cap group. Here we report the suitability of a 1,2,3-triazole ring as a surface recognition cap group-linking moiety in suberoylanilide hydroxamic acid-like (SAHA-like) HDAC inhibitors. Using "click" chemical (Huisgen cycloaddn. reaction), several triazole-linked SAHA-like hydroxamates were synthesized. Structure-activity relation revealed that the position of the triazole moiety as well as the identity of the cap group markedly affected the in vitro HDAC inhibition and cell growth inhibitory activities of this class of compds.

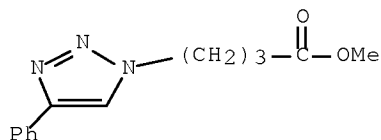
IT 1037510-78-3P 1037510-80-7P 1037510-82-9P
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1037511-29-7P 1037511-30-0P 1037511-31-1P
1037511-32-2P 1037511-34-4P 1037511-35-5P
1037511-37-7P 1037511-39-9P 1037511-41-3P
1037511-42-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn and structure-activity relationship of histone deacetylase inhibitors with triazole-linked cap group)

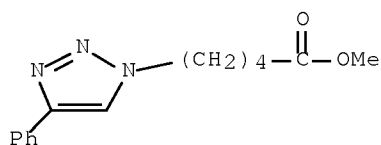
RN 1037510-78-3 CAPLUS

CN 1H-1,2,3-Triazole-1-butanoic acid, 4-phenyl-, methyl ester (CA INDEX NAME)



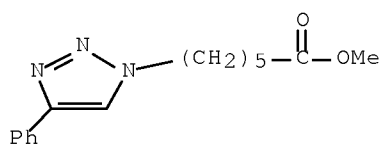
RN 1037510-80-7 CAPLUS

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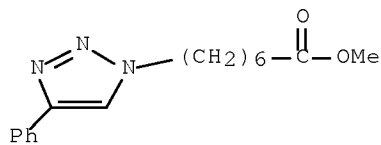
RN 1037510-82-9 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-phenyl-, methyl ester (CA INDEX NAME)



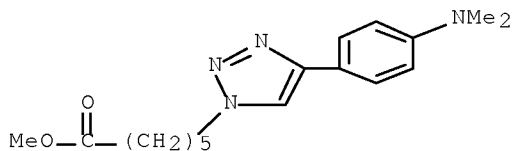
RN 1037510-86-3 CAPLUS

CN 1H-1,2,3-Triazole-1-heptanoic acid, 4-phenyl-, methyl ester (CA INDEX NAME)



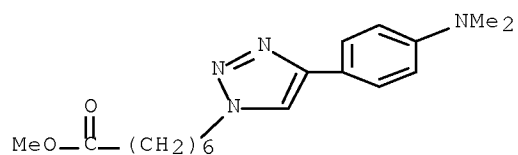
RN 1037511-16-2 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-[4-(dimethylamino)phenyl]-, methyl ester (CA INDEX NAME)



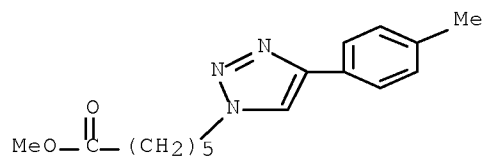
RN 1037511-19-5 CAPLUS

CN 1H-1,2,3-Triazole-1-heptanoic acid, 4-[4-(dimethylamino)phenyl]-, methyl ester (CA INDEX NAME)



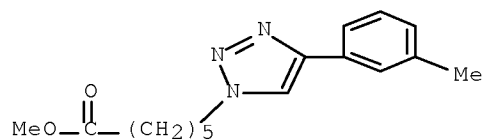
RN 1037511-26-4 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-(4-methylphenyl)-, methyl ester (CA INDEX NAME)



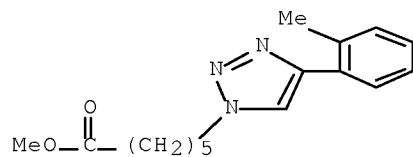
RN 1037511-27-5 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-(3-methylphenyl)-, methyl ester (CA INDEX NAME)



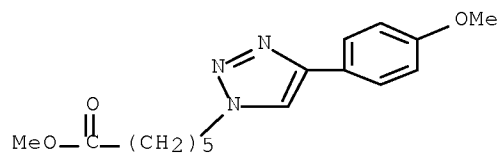
RN 1037511-28-6 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-(2-methylphenyl)-, methyl ester (CA INDEX NAME)



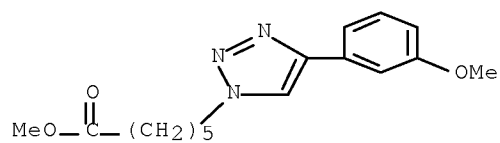
RN 1037511-29-7 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



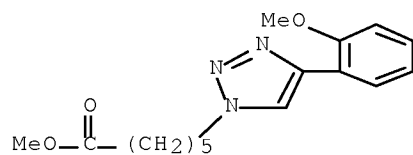
RN 1037511-30-0 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-(3-methoxyphenyl)-, methyl ester (CA INDEX NAME)



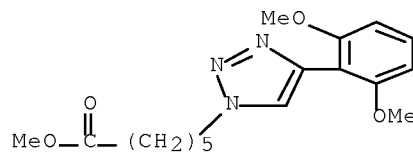
RN 1037511-31-1 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



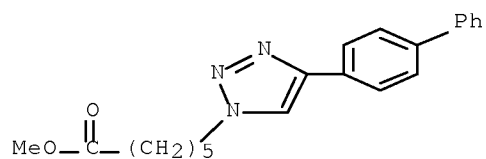
RN 1037511-32-2 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-(2,6-dimethoxyphenyl)-, methyl ester (CA INDEX NAME)



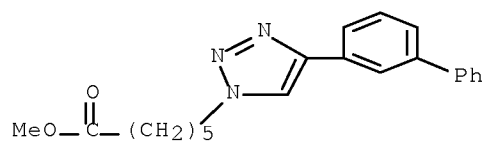
RN 1037511-34-4 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-[1,1'-biphenyl]-4-yl-, methyl ester (CA INDEX NAME)



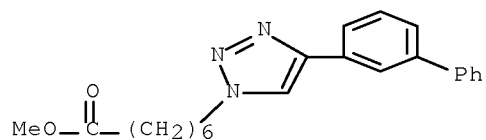
RN 1037511-35-5 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-[1,1'-biphenyl]-3-yl-, methyl ester
(CA INDEX NAME)



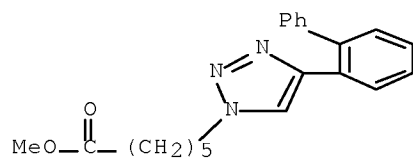
RN 1037511-37-7 CAPLUS

CN 1H-1,2,3-Triazole-1-heptanoic acid, 4-[1,1'-biphenyl]-3-yl-, methyl ester
(CA INDEX NAME)



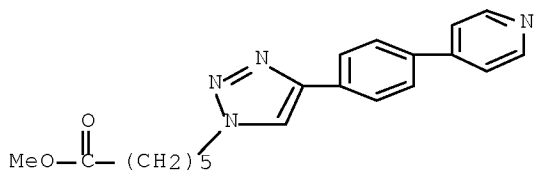
RN 1037511-39-9 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-[1,1'-biphenyl]-2-yl-, methyl ester
(CA INDEX NAME)

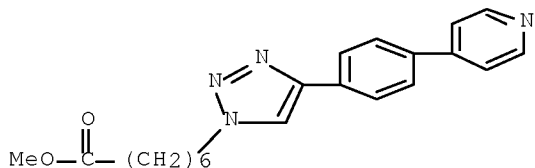


RN 1037511-41-3 CAPLUS

CN 1H-1,2,3-Triazole-1-hexanoic acid, 4-[4-(4-pyridinyl)phenyl]-, methyl ester
(CA INDEX NAME)



RN 1037511-42-4 CAPLUS
 CN 1H-1,2,3-Triazole-1-heptanoic acid, 4-[4-(4-pyridinyl)phenyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:528970 CAPLUS Full-text
 DOCUMENT NUMBER: 148:517707
 TITLE: Preparation of indazole compounds as niacin receptor agonists
 INVENTOR(S): Beresis, Richard T.; Colletti, Steven L.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 61pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

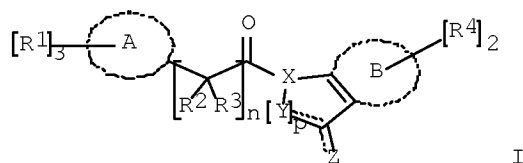
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WO 2008051403	A2	20080502	WO 2007-US22072	20071016
WO 2008051403	A3	20080710		

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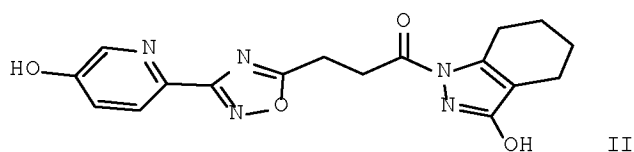
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-853221P P 20061020

OTHER SOURCE(S): MARPAT 148:517707
GI



I



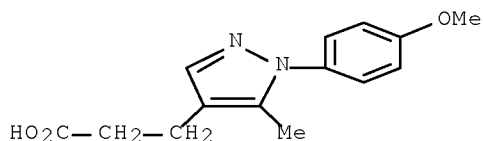
II

AB The title compds. I [X = N or C; Y = C or N; when Y = N, N atom may be optionally substituted with H or R6 (R6 = alkyl, haloalkyl); when Y = C, C atom may be substituted with H or halo; p = 1-2, such that when p = 2, no more than one Y = N; Z = O, S, NH, OH, SH, NH2, CO2H, SO3H; ring B = Ph, 5-7 membered carbocycle, 5-6 membered heteroaryl, etc.; R4 = H, halo, PH, etc.; ring A = 6-10 membered aryl, heteroaryl or partially aromatic heterocyclic group; R2, R3 = H, alkyl, haloalkyl, etc.; n = 1-5; R1 = H, halo, OH, CO2H, etc.] that are useful for treating atherosclerosis, dyslipidemia, diabetes and metabolic syndrome, were prepared E.g., a multi-step synthesis of II, starting from 5-bromo-2-cyanopyridine, was given. Compds. I generally have an IC50 in the 3H-nicotinic acid competition binding assay within the range of 1 nM to about 25 μ M. Pharmaceutical compns. and methods of use are also included.

IT 1022145-13-6P 1022145-16-9P 1022145-17-0P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indazole compds. as niacin receptor agonists)

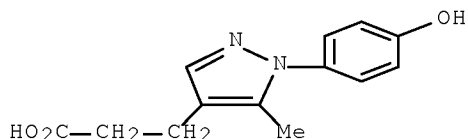
RN 1022145-13-6 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 1-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)

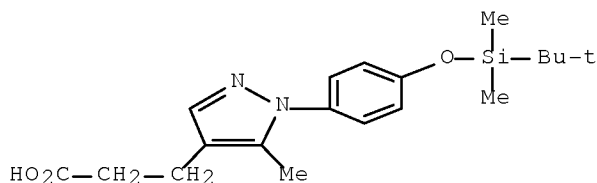


RN 1022145-16-9 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 1-(4-hydroxyphenyl)-5-methyl- (CA INDEX NAME)



RN 1022145-17-0 CAPLUS
 CN 1H-Pyrazole-4-propanoic acid, 1-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-5-methyl- (CA INDEX NAME)



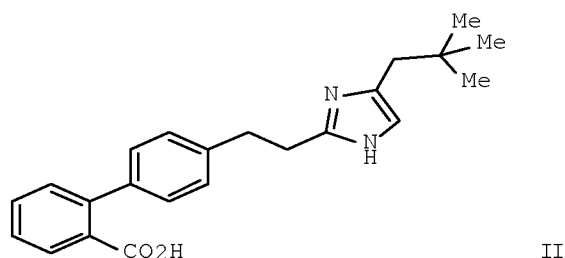
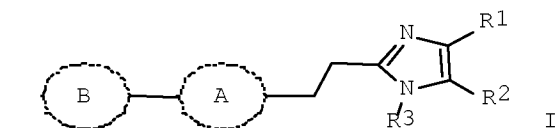
L7 ANSWER 15 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:528896 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 148:517717
 TITLE: Preparation of substituted imidazoles as bombesin receptor subtype-3 modulators
 INVENTOR(S): Dobbelaar, Peter H.; Franklin, Christopher L.; Goodman, Allan; Guo, Cheng; Guzzo, Peter R.; Hadden, Mark; He, Shuwen; Henderson, Alan J.; Jian, Tianying; Lin, Linus S.; Liu, Jian; Nargund, Ravi P.; Ruenz, Megan; Sargent, Bruce J.; Sebhat, Iyassu K.; Yet, Larry
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 149pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051405	A1	20080502	WO 2007-US22081	20071016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				

BY, KG, KZ, MD, RU, TJ, TM
 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 148:517717
 GI

US 2006-853272P

P 20061020



AB The title compds. I [A = (un)substituted (hetero)aryl; B = (un)substituted cycloalkyl, aryl, heteroaryl, etc.; R1, R2 = H, alkyl, (CH2)naryl, etc. (wherein n = 0-5); R3 = H, alkyl, CO(alkyl); with the proviso] that are ligands of the human bombesin receptor and, in particular, are selective ligands of the human bombesin receptor subtype-3 (BRS-3), were prepared and formulated. E.g., a multi-step synthesis of II, starting from 3-(4-bromophenyl)propionic acid, was given. II showed IC50 of 38 nM when tested for BRS-3 receptor binding activity. Compds. I are useful for the treatment, control, or prevention of diseases and disorders responsive to the modulation of BRS-3, such as obesity, and diabetes.

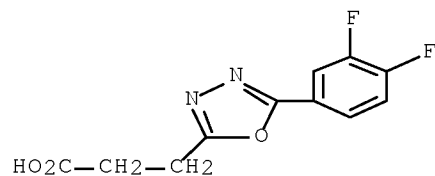
IT 1021938-99-7F 1021939-01-4F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted imidazoles as bombesin receptor subtype-3 modulators)

RN 1021938-99-7 CAPLUS

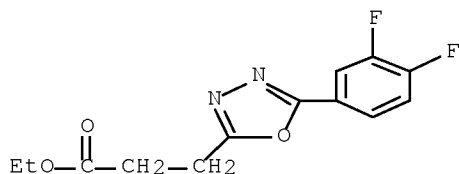
CN 1,3,4-Oxadiazole-2-propanoic acid, 5-(3,4-difluorophenyl)- (CA INDEX NAME)



RN 1021939-01-4 CAPLUS

CN 1,3,4-Oxadiazole-2-propanoic acid, 5-(3,4-difluorophenyl)-, ethyl ester

(CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:352509 CAPLUS Full-text

DOCUMENT NUMBER: 148:355561

TITLE: Improved process for the preparation of ezetimibe and its intermediates

INVENTOR(S): Satyanarayana Reddy, Manne; Sahadeva Reddy, Maramreddy

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 46pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

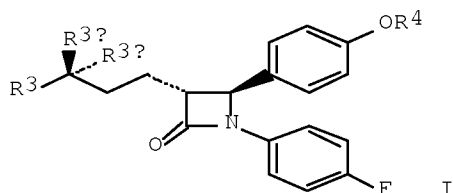
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008032338	A2	20080320	WO 2007-IN400	20070910
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: IN 2006-CH1648 A 20060911

OTHER SOURCE(S): CASREACT 148:355561; MARPAT 148:355561

GI



AB An improved process was disclosed for the preparation of highly pure β -lactam cholesterol absorption inhibitor ezetimibe I ($R_3 = C_6H_4-4-F$, $R_{3a} = H$, $R_{3b} = OH$, $R_4 = H$) and comprised a synthetic sequence which included the formation of organic carboxylate amine salts, such as I ($R_3 = OH.HNR_1R_2$, $R_{3a}R_{3b} = O$, $R_4 = CH_2Ph$; $R_1, R_2 = H$, alkyl, cycloalkyl, etc., or $HNR_1R_2 =$ cyclic amine, such as piperazine), and subsequent stereoselective reduction of intermediate ketone I ($R_3 = C_6H_4-4-F$, $R_{3a}R_{3b} = O$, $R_4 = CH_2Ph$) to give intermediate alc. I ($R_3 = C_6H_4-4-F$, $R_{3a} = H$, $R_{3b} = OH$, $R_4 = CH_2Ph$).

IT 1013025-01-8P 1013025-02-9P 1013025-03-0P
 1013025-05-2P 1013025-06-3P 1013025-07-4P
 1013025-08-5P 1013025-09-6P 1013025-10-9P
 1013025-11-0P 1013025-12-1P 1013025-13-2P
 1013025-14-3P 1013025-15-4P 1013025-16-5P
 1013025-17-6P 1013025-18-7P 1013025-19-8P
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 1013025-26-7P 1013025-27-8P 1013025-28-9P
 1013025-29-0P 1013025-30-3P 1013025-32-5P
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 1013025-40-5P 1013025-41-6P

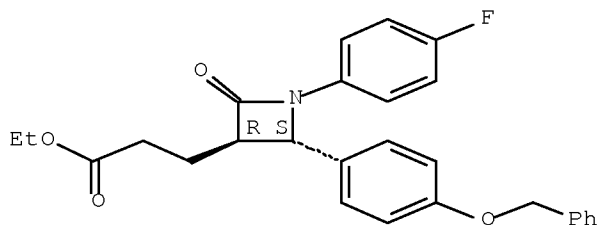
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(claimed compound; process for preparation of the cholesterol absorption inhibitor ezetimibe and its intermediates)

RN 1013025-01-8 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, ethyl ester, (3R,4S)- (CA INDEX NAME)

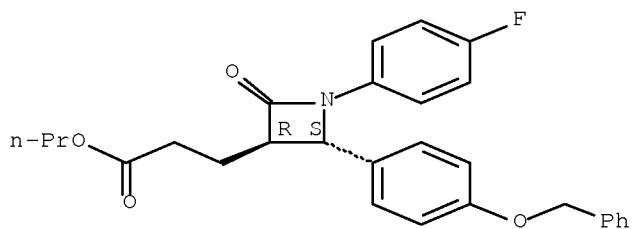
Absolute stereochemistry.



RN 1013025-02-9 CAPLUS

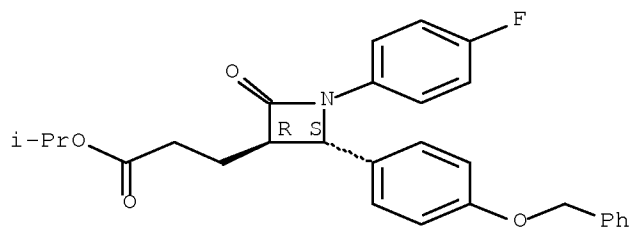
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, propyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1013025-03-0 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, 1-methylethyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

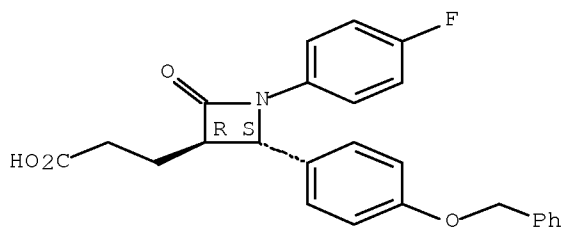


RN 1013025-05-2 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 3-methyl-2-butanamine (1:1)
 (CA INDEX NAME)

CM 1

CRN 204589-82-2
 CMF C25 H22 F N O4

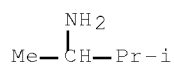
Absolute stereochemistry.



CM 2

CRN 598-74-3

CMF C5 H13 N



RN 1013025-06-3 CAPLUS

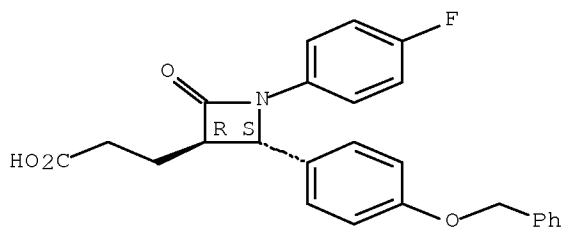
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N1-(2-aminoethyl)-1,3-propanediamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

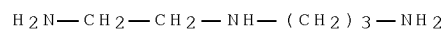
Absolute stereochemistry.



CM 2

CRN 13531-52-7

CMF C5 H15 N3



RN 1013025-07-4 CAPLUS

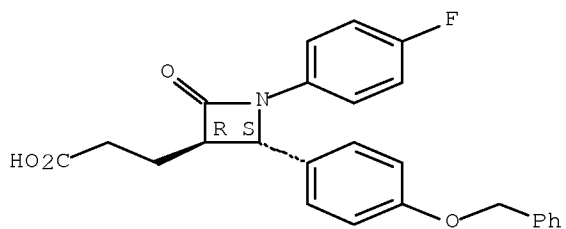
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 1-butanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

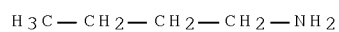
Absolute stereochemistry.



CM 2

CRN 109-73-9

CMF C4 H11 N



RN 1013025-08-5 CAPLUS

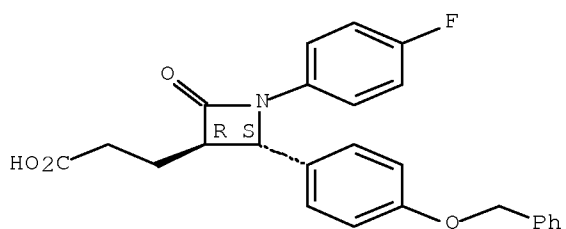
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 2-butanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

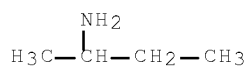
Absolute stereochemistry.



CM 2

CRN 13952-84-6

CMF C4 H11 N

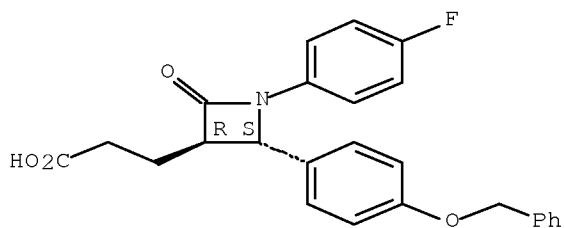


RN 1013025-09-6 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N-butyl-1-butanamine (1:1)
(CA INDEX NAME)

CM 1

CRN 204589-82-2
CMF C25 H22 F N O4

Absolute stereochemistry.



CM 2

CRN 111-92-2
CMF C8 H19 N

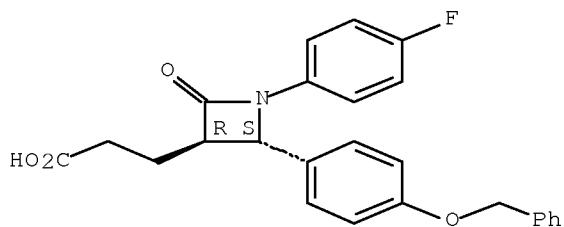
n-Bu-NH-Bu-n

RN 1013025-10-9 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 2-methyl-2-butanamine (1:1)
(CA INDEX NAME)

CM 1

CRN 204589-82-2
CMF C25 H22 F N O4

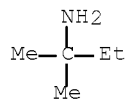
Absolute stereochemistry.



CM 2

CRN 594-39-8

CMF C5 H13 N



RN 1013025-11-0 CAPLUS

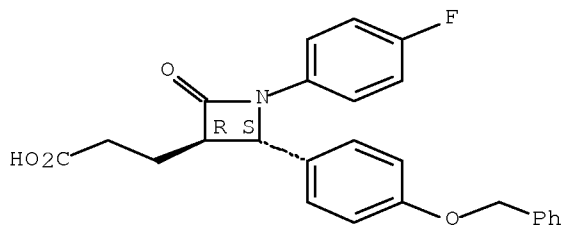
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with cyclopentanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

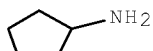
Absolute stereochemistry.



CM 2

CRN 1003-03-8

CMF C5 H11 N



RN 1013025-12-1 CAPLUS

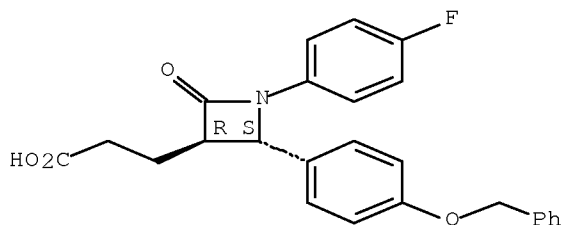
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with cyclohexanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

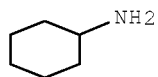
Absolute stereochemistry.



CM 2

CRN 108-91-8

CMF C6 H13 N



RN 1013025-13-2 CAPLUS

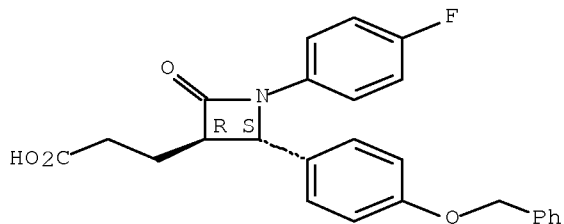
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with cycloheptanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

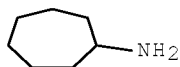
Absolute stereochemistry.



CM 2

CRN 5452-35-7

CMF C7 H15 N



RN 1013025-14-3 CAPLUS

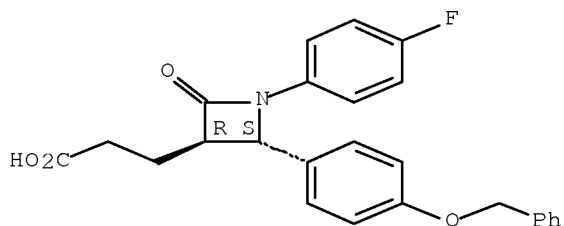
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N-cyclohexylcyclohexanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

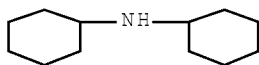
Absolute stereochemistry.



CM 2

CRN 101-83-7

CMF C12 H23 N



RN 1013025-15-4 CAPLUS

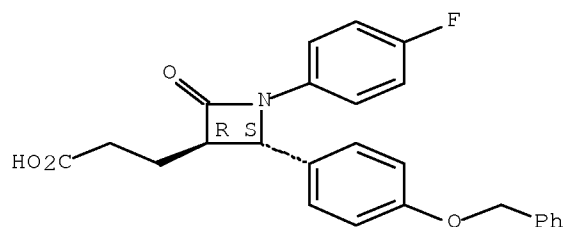
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N-methylcyclohexanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

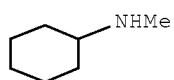
Absolute stereochemistry.



CM 2

CRN 100-60-7

CMF C7 H15 N



RN 1013025-16-5 CAPLUS

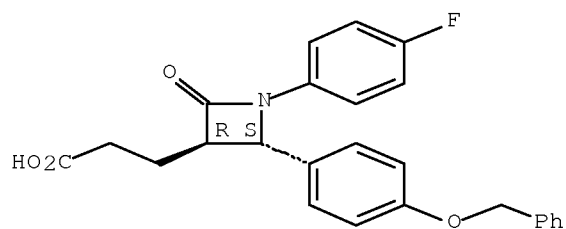
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N1,N2-bis(1-methylethyl)-1,2-ethanediamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

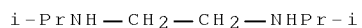
Absolute stereochemistry.



CM 2

CRN 4013-94-9

CMF C8 H20 N2

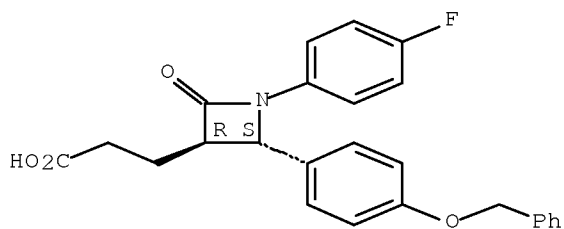


RN 1013025-17-6 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with piperazine (1:1) (CA INDEX NAME)

CM 1

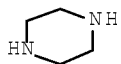
CRN 204589-82-2
 CMF C25 H22 F N O4

Absolute stereochemistry.



CM 2

CRN 110-85-0
 CMF C4 H10 N2

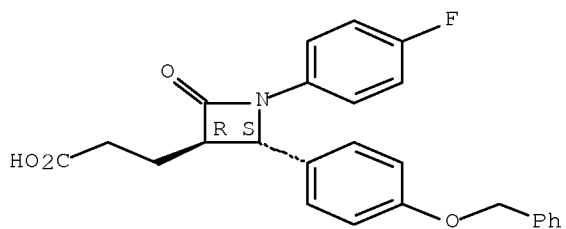


RN 1013025-18-7 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N1-methyl-1,3-propanediamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2
 CMF C25 H22 F N O4

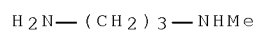
Absolute stereochemistry.



CM 2

CRN 6291-84-5

CMF C4 H12 N2



RN 1013025-19-8 CAPLUS

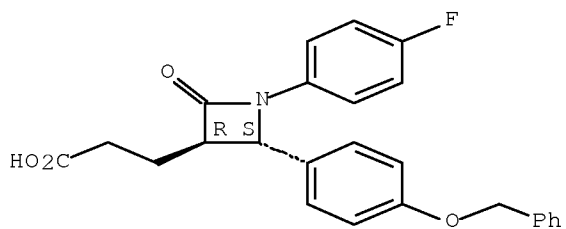
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N1-methyl-1,2-ethanediamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

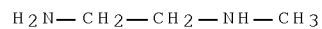
Absolute stereochemistry.



CM 2

CRN 109-81-9

CMF C3 H10 N2

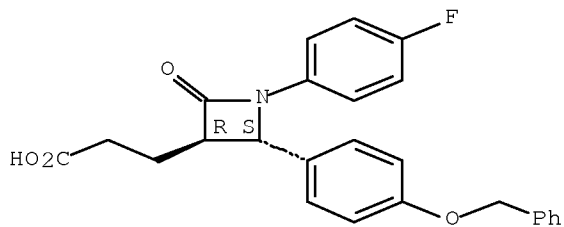


RN 1013025-20-1 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N1,N1,N2,N2-tetramethyl-1,2-ethanediamine (1:1) (CA INDEX NAME)

CM 1

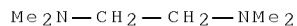
CRN 204589-82-2
CMF C25 H22 F N O4

Absolute stereochemistry.



CM 2

CRN 110-18-9
CMF C6 H16 N2

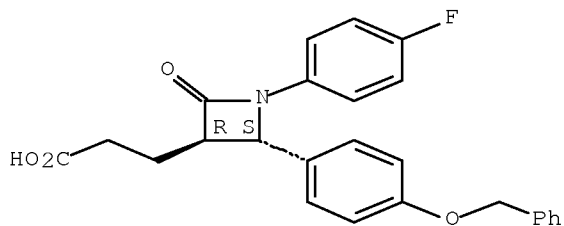


RN 1013025-21-2 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N1,N1,N4,N4-tetramethyl-1,4-butanediamine (1:1) (CA INDEX NAME)

CM 1

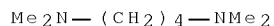
CRN 204589-82-2
CMF C25 H22 F N O4

Absolute stereochemistry.



CM 2

CRN 111-51-3
CMF C8 H20 N2

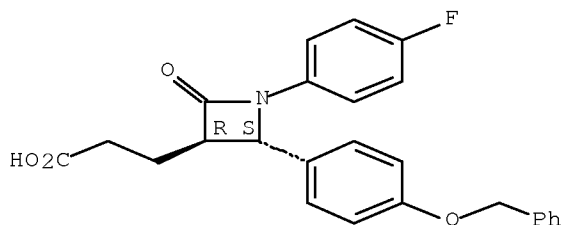


RN 1013025-22-3 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N1,N1,N6,N6-tetramethyl-1,6-hexanediamine (1:1) (CA INDEX NAME)

CM 1

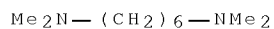
CRN 204589-82-2
CMF C25 H22 F N O4

Absolute stereochemistry.



CM 2

CRN 111-18-2
CMF C10 H24 N2

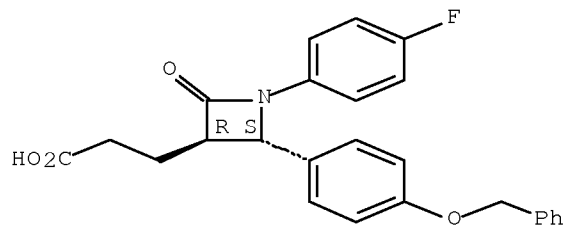


RN 1013025-23-4 CAPLUS
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 1,1'-(1,2-ethanediyl)bis[piperidine] (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2
CMF C25 H22 F N O4

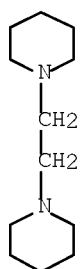
Absolute stereochemistry.



CM 2

CRN 1932-04-3

CMF C12 H24 N2



RN 1013025-24-5 CAPLUS

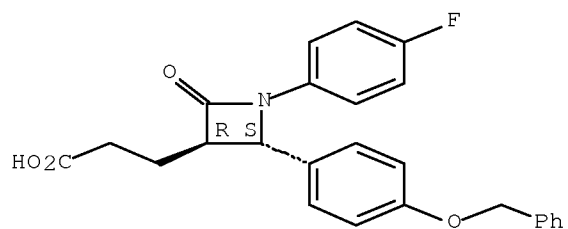
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 1,1'-methylenebis(piperidine) (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

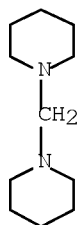
Absolute stereochemistry.



CM 2

CRN 880-09-1

CMF C11 H22 N2



RN 1013025-25-6 CAPLUS

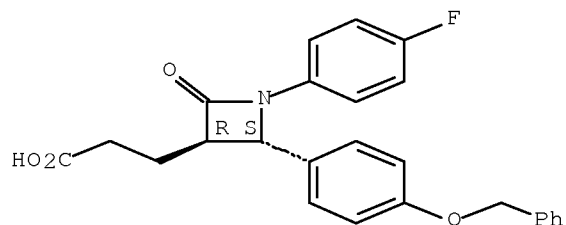
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 3,3-dimethyl-2-butanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

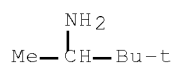
Absolute stereochemistry.



CM 2

CRN 3850-30-4

CMF C6 H15 N



RN 1013025-26-7 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-

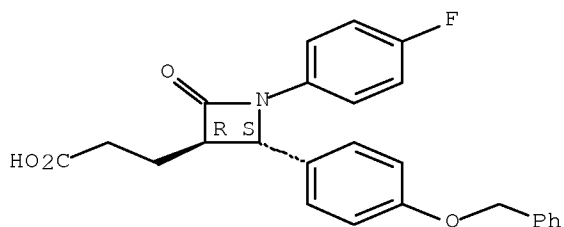
(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N,N-dimethylcyclohexanamine
(1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

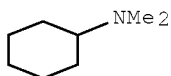
Absolute stereochemistry.



CM 2

CRN 98-94-2

CMF C8 H17 N



RN 1013025-27-8 CAPLUS

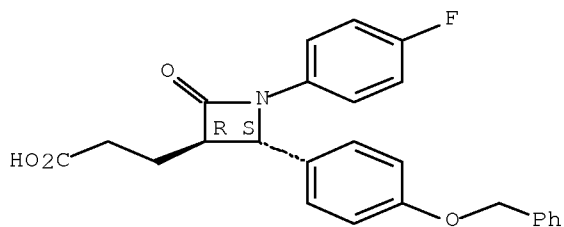
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 2,2-dimethyl-1-propanamine
(1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

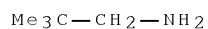
Absolute stereochemistry.



CM 2

CRN 5813-64-9

CMF C5 H13 N



RN 1013025-28-9 CAPLUS

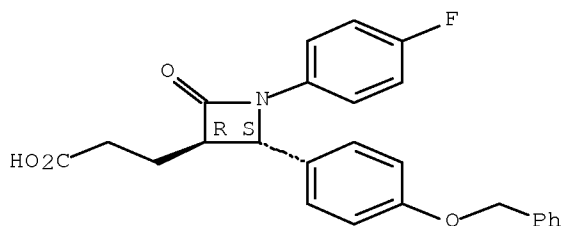
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, compd. with tricyclo[3.3.1.3^{1,7}]decan-1-amine (1:1), (3R,4S)- (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

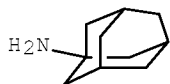
Absolute stereochemistry.



CM 2

CRN 768-94-5

CMF C10 H17 N



RN 1013025-29-0 CAPLUS

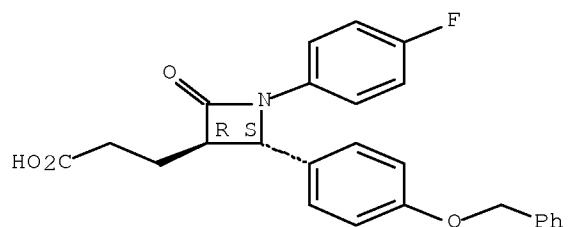
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with cyclobutanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

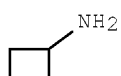
Absolute stereochemistry.



CM 2

CRN 2516-34-9

CMF C4 H9 N



RN 1013025-30-3 CAPLUS

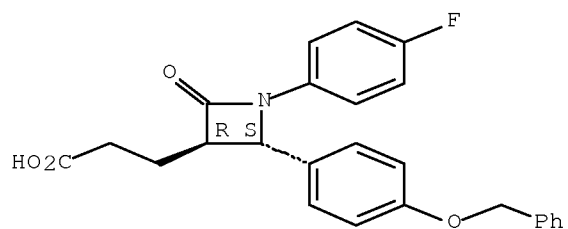
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N-(1-methylethyl)cyclohexanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

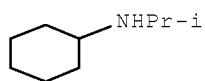
Absolute stereochemistry.



CM 2

CRN 1195-42-2

CMF C9 H19 N

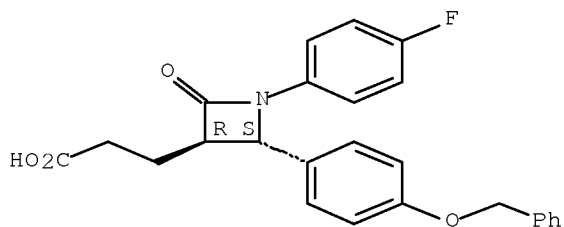


RN 1013025-32-5 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N,N-diethylcyclohexanamine (1:1) (CA INDEX NAME)

CM 1

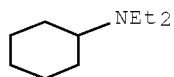
CRN 204589-82-2
 CMF C25 H22 F N O4

Absolute stereochemistry.



CM 2

CRN 91-65-6
 CMF C10 H21 N

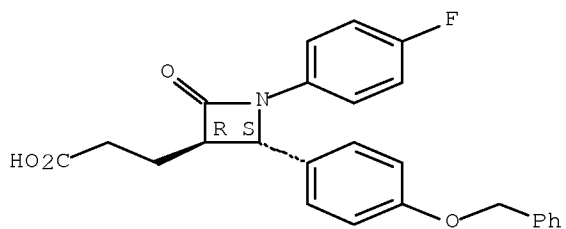


RN 1013025-34-7 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, compd. with bicyclo[2.2.1]heptan-2-amine (1:1), (3R,4S)- (CA INDEX NAME)

CM 1

CRN 204589-82-2
 CMF C25 H22 F N O4

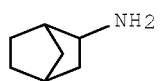
Absolute stereochemistry.



CM 2

CRN 822-98-0

CMF C7 H13 N



RN 1013025-36-9 CAPLUS

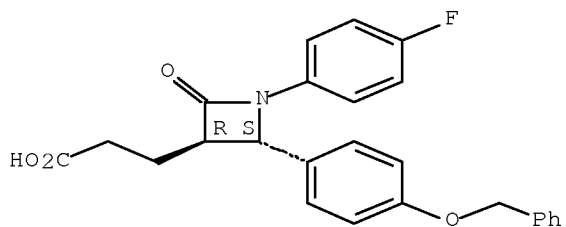
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N,N-diethylethanamine (1:1)
(CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

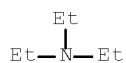
Absolute stereochemistry.



CM 2

CRN 121-44-8

CMF C6 H15 N



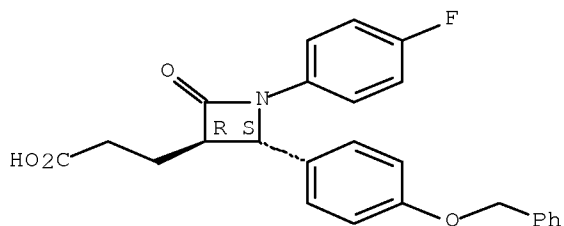
RN 1013025-38-1 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N,N-bis(1-methylethyl)-2-propanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

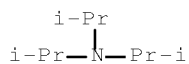
Absolute stereochemistry.



CM 2

CRN 3424-21-3

CMF C9 H21 N



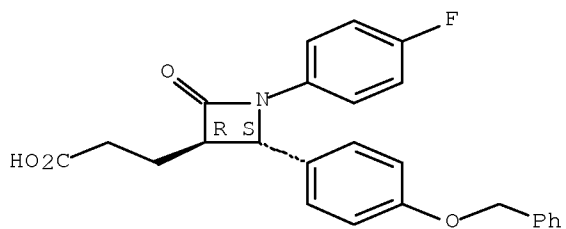
RN 1013025-40-5 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with N,N-diethyl-2-propanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

Absolute stereochemistry.



CM 2

CRN 6006-15-1

CMF C7 H17 N



RN 1013025-41-6 CAPLUS

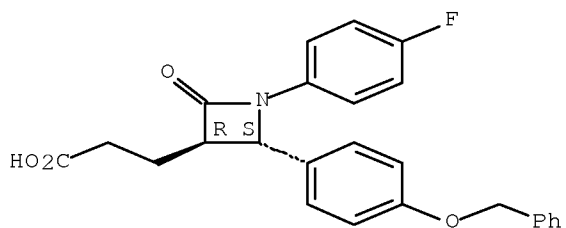
CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-, compd. with methanamine (1:1) (CA INDEX NAME)

CM 1

CRN 204589-82-2

CMF C25 H22 F N O4

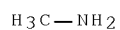
Absolute stereochemistry.



CM 2

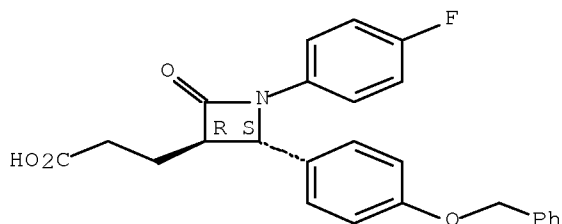
CRN 74-89-5

CMF C H5 N

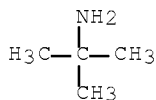


IT 1013024-94-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (process for preparation of the cholesterol absorption inhibitor ezetimibe
 and its intermediates)
 RN 1013024-94-6 CAPLUS
 CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-
 (phenylmethoxy)phenyl]-, (3R,4S)-, compd. with 2-methyl-2-propanamine
 (1:1) (CA INDEX NAME)
 CM 1
 CRN 204589-82-2
 CMF C25 H22 F N O4

Absolute stereochemistry.

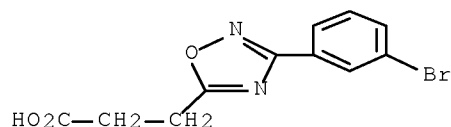


CM 2
 CRN 75-64-9
 CMF C4 H11 N

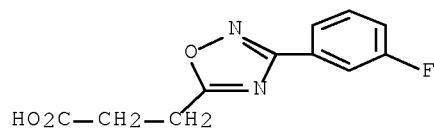


L7 ANSWER 17 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:255555 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 148:308569
 TITLE: Preparation of erythromycin macrolides and ketolides
 having antimicrobial activity
 INVENTOR(S): Sindkhedkar, Milind Dattatraya; Desai, Vijaya Narayan;
 Loriya, Rajesh Maganlal; Patel, Mahesh Vithalbhai;
 Trivedi, Bharat Kalidas; Bora, Rajesh Onkardas;
 Diwakar, Santosh Devidas; Jadhav, Ganesh Rajaram;
 Pawar, Shivaji Sampatrao
 PATENT ASSIGNEE(S): Wockhardt Research Centre, India
 SOURCE: PCT Int. Appl., 123pp.

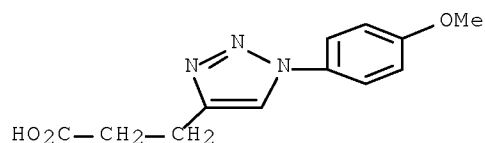
IT 889946-81-0 937664-99-8 1009562-18-8
 1009562-19-9 1009562-20-2 1009562-21-3
 1009562-22-4 1009562-25-7 1009562-26-8
 1009562-27-9 1009562-28-0 1009562-29-1
 1009562-30-4 1009562-31-5 1009562-34-8
 1009562-35-9 1009562-36-0 1009562-37-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of erythromycin macrolides and ketolides having antimicrobial activity)
 RN 889946-81-0 CAPLUS
 CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-bromophenyl)- (CA INDEX NAME)



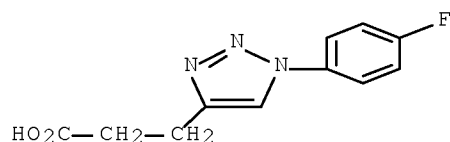
RN 937664-99-8 CAPLUS
 CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-fluorophenyl)- (CA INDEX NAME)



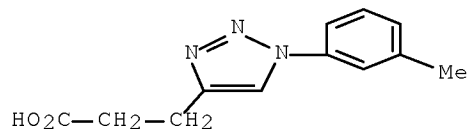
RN 1009562-18-8 CAPLUS
 CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(4-methoxyphenyl)- (CA INDEX NAME)



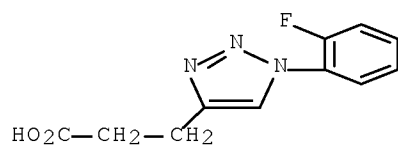
RN 1009562-19-9 CAPLUS
 CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(4-fluorophenyl)- (CA INDEX NAME)



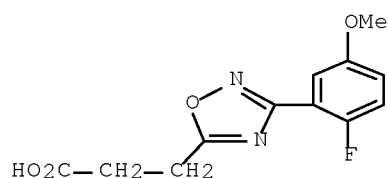
RN 1009562-20-2 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(3-methylphenyl)- (CA INDEX NAME)



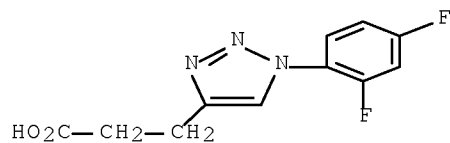
RN 1009562-21-3 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(2-fluorophenyl)- (CA INDEX NAME)



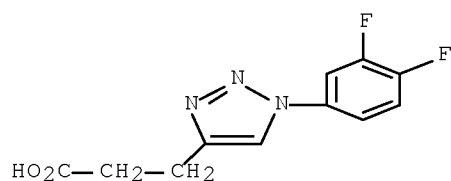
RN 1009562-22-4 CAPLUS
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2-fluoro-5-methoxyphenyl)- (CA INDEX NAME)



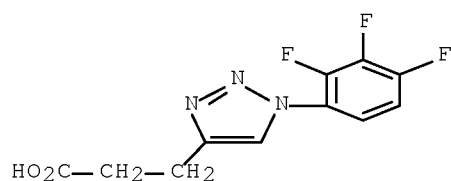
RN 1009562-25-7 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(2,4-difluorophenyl)- (CA INDEX NAME)



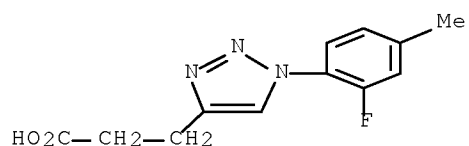
RN 1009562-26-8 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(3,4-difluorophenyl)- (CA INDEX NAME)



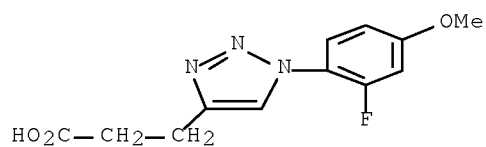
RN 1009562-27-9 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



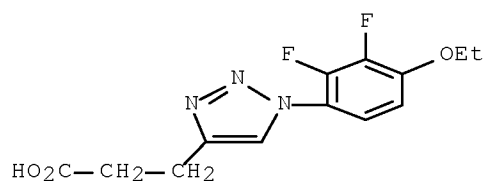
RN 1009562-28-0 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(2-fluoro-4-methylphenyl)- (CA INDEX NAME)



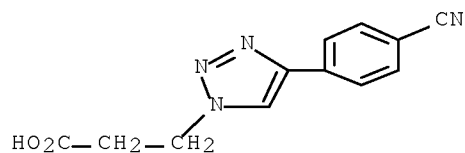
RN 1009562-29-1 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(2-fluoro-4-methoxyphenyl)- (CA INDEX NAME)



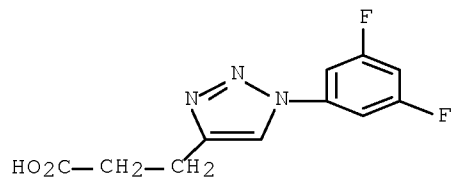
RN 1009562-30-4 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(4-ethoxy-2,3-difluorophenyl)- (CA INDEX NAME)



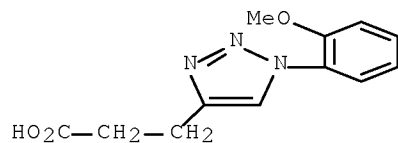
RN 1009562-31-5 CAPLUS
CN 1H-1,2,3-Triazole-1-propanoic acid, 4-(4-cyanophenyl)- (CA INDEX NAME)



RN 1009562-34-8 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(3,5-difluorophenyl)- (CA INDEX NAME)

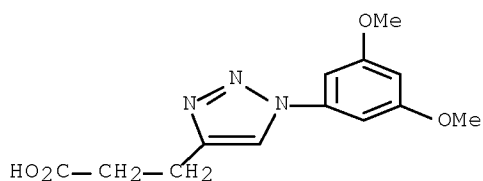


RN 1009562-35-9 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(2-methoxyphenyl)- (CA INDEX NAME)

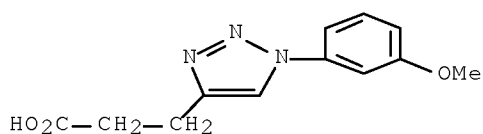


RN 1009562-36-0 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(3,5-dimethoxyphenyl)- (CA INDEX NAME)

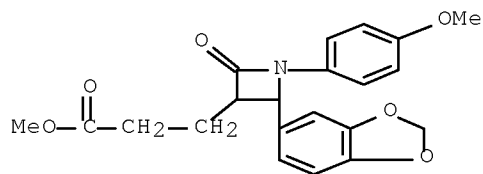
NAME)



RN 1009562-37-1 CAPLUS
CN 1H-1,2,3-Triazole-4-propanoic acid, 1-(3-methoxyphenyl)- (CA INDEX NAME)

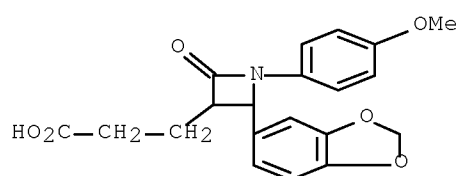


L7 ANSWER 18 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:242524 CAPLUS Full-text
DOCUMENT NUMBER: 148:440276
TITLE: Design and synthesis of 2-azetidinone cholesterol
absorption inhibitors
AUTHOR(S): Wang, Yubin; Zhao, Rui; Zhang, Huibin; Huang, Wenlong;
Li, Yunman; Zhou, Jinpei
CORPORATE SOURCE: Department of Medicinal Chemistry, College of
Pharmacy, China Pharmaceutical University, Nanjing,
210009, Peop. Rep. China
SOURCE: Letters in Drug Design & Discovery (2008), 5(1), 39-42
CODEN: LDDDAW; ISSN: 1875-628X
URL: <http://www.ingentaconnect.com/content/ben/lddd/2008/000000005/00000001>
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:440276
AB In this paper we reported the design, synthesis of a series 2-azetidinones
with ester or amide group in C-3 sidechain. Their cholesterol absorption
inhibition activity was assessed in orally dosed, cholesterol-fed hamsters.
It was demonstrated that compound 20c-d with amide group in C-3 sidechain
exhibited high cholesterol absorption inhibition activity.
IT 1019333-43-7P 1019333-44-8P 1019333-55-1P
1019333-56-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(azetidinone cholesterol absorption inhibitors)
RN 1019333-43-7 CAPLUS
CN 3-Azetidinepropanoic acid, 2-(1,3-benzodioxol-5-yl)-1-(4-methoxyphenyl)-4-
oxo-, methyl ester (CA INDEX NAME)



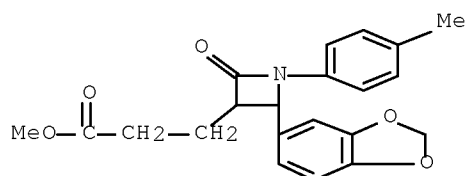
RN 1019333-44-8 CAPLUS

CN 3-Azetidinepropanoic acid, 2-(1,3-benzodioxol-5-yl)-1-(4-methoxyphenyl)-4-oxo- (CA INDEX NAME)



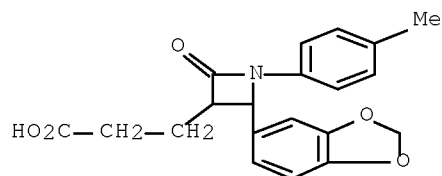
RN 1019333-55-1 CAPLUS

CN 3-Azetidinepropanoic acid, 2-(1,3-benzodioxol-5-yl)-1-(4-methylphenyl)-4-oxo-, methyl ester (CA INDEX NAME)



RN 1019333-56-2 CAPLUS

CN 3-Azetidinepropanoic acid, 2-(1,3-benzodioxol-5-yl)-1-(4-methylphenyl)-4-oxo- (CA INDEX NAME)



REFERENCE COUNT:

16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:231441 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 148:449874

TITLE: Stereoselective total synthesis of
(2S,3R)-3-hydroxypipericolic acid

AUTHOR(S): Pham, Van-Thoi; Joo, Jae-Eun; Tian, Yong-Shou; Chung,
Yun-Sung; Lee, Kee-Young; Oh, Chang-Young; Ham,
Won-Hun

CORPORATE SOURCE: College of Pharmacy, SungKyunKwan University, Suwon,
440-746, S. Korea

SOURCE: Tetrahedron: Asymmetry (2008), 19(3), 318-321
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A concise, stereocontrolled synthesis of (2S,3R)-3-hydroxypipericolic acid is described. Key features involve diastereoselective oxazoline formation catalyzed by palladium(0) and intramol. cyclization by catalytic hydrogenation of an oxazoline.

IT 1018785-52-8P

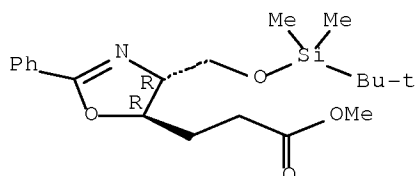
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(asym. total synthesis of hydroxypipericolic acid via formation of
oxazoline as chiral building block and intramol. cyclization by
catalytic hydrogenation)

RN 1018785-52-8 CAPLUS

CN 5-Oxazolepropanoic acid, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-
4,5-dihydro-2-phenyl-, methyl ester, (4R,5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:221687 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 148:276731

TITLE: Positively charged water-soluble prodrugs of aryl- and
heteroarylpropionic acids with very fast skin
penetration rate

INVENTOR(S): Yu, Chongxi; Xu, Lina

PATENT ASSIGNEE(S): Techfields Biochem Co. Ltd, Peop. Rep. China

SOURCE: PCT Int. Appl., 51pp.

CODEN: PIXXD2

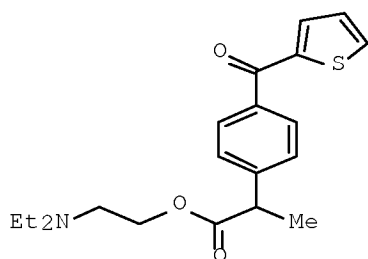
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008020270	A1	20080221	WO 2006-IB52815	20060815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			WO 2006-IB52815	20060815
OTHER SOURCE(S):	MARPAT 148:276731			
GI				



I

AB Novel pos. charged pro-drugs of aryl- and heteroarylpropionic acids were designed and synthesized. The compds. can be prepared from functional derivs. of, e.g., naproxen, suprofen, or α -methyl-(p-chlorobenzoyl)- 5-methoxy-2-methylindole-3-acetic acid and related compds., (for example acid halides or mixed anhydrides), by reaction with suitable alcs., thiols, or amines. The pos. charged amino groups of these prodrugs not only largely increases the solubility of the drugs, but also bonds to the neg. charge on the phosphate head group of membranes and pushes the prodrug into the cytosol. The results suggest that the prodrugs diffuses through human skin 100-130 times faster than do their parent drugs. It takes 2-4 h for the parent drugs to reach the peak plasma level when they are taken orally, but the prodrugs only took about 40-50 min to reach the peak plasma level when they are taken transdermally. In plasma, more than 90% of these prodrugs can change back to the drug in a few minutes. The prodrugs can be used medicinally in treating any NSAIAs-treatable conditions in humans or animals. The prodrugs can be administered not only orally, but also transdermally for any kind of medical treatments and avoid most of the side effects of NSAIAs, most notably GI disturbances such as dyspepsia, gastroduodenal bleeding, gastric ulcerations, and gastritis. Controlled transdermal administration systems of the prodrugs reach constantly optimal therapeutic blood levels to increase effectiveness and reduce the side effects of NSAIAs. Another great benefit of transdermal administration of these prodrugs is that administering medication, especially to children, will

be much easier. E.g., I.ACOH was prepared from α -methyl-4-(2-phenylcarbonyl)benzeneacetyl chloride and diethylaminoethanol. I and a number of similar prodrugs were tested for writhing inhibition in mice as well as antipyretic activity.

IT 1007554-24-6P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pos. charged water-soluble prodrugs of aryl- and heteroarylpropionic acids with very fast skin penetration rate)

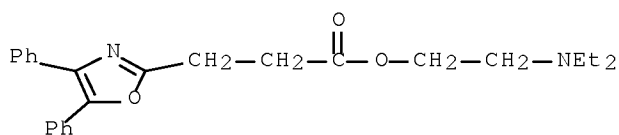
RN 1007554-24-6 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, 2-(diethylamino)ethyl ester, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 1007554-23-5

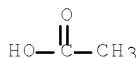
CMF C24 H28 N2 O3



CM 2

CRN 64-19-7

CMF C2 H4 O2



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:202657 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 148:381390

TITLE: Syntheses and spectral properties of functionalized, water-soluble BODIPY derivatives

AUTHOR(S): Li, Lingling; Han, Junyan; Nguyen, Binh; Burgess, Kevin

CORPORATE SOURCE: Department of Chemistry, Texas A&M University, College Station, TX, 77841, USA

SOURCE: Journal of Organic Chemistry (2008), 73(5), 1963-1970
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:381390

AB The objective of this work was to form water-soluble 4,4-difluoro-4-bora-3a,4a-diaza-s-indacene (BODIPY) derivs. Sulfonation conditions were developed for several BODIPY dyes to give 3 types each of monosulfonated products and of disulfonated products. One type of sulfonated compds. is functionalized with an aryl iodide for organometallic couplings. Similarly, the second type has not only an aromatic bromide but also two chlorine atoms that could be replaced via SNAr reactions. The amine group of the third type is amenable to coupling with biomols. via acylation reactions. A diazotization/azide reaction sequence was used to convert the amines into azides; the latter may be functionalized via click reactions to give an acid-functional group compound which can be activated and coupled to amines. Spectral data for these materials indicate they are highly fluorescent probes in aqueous environments.

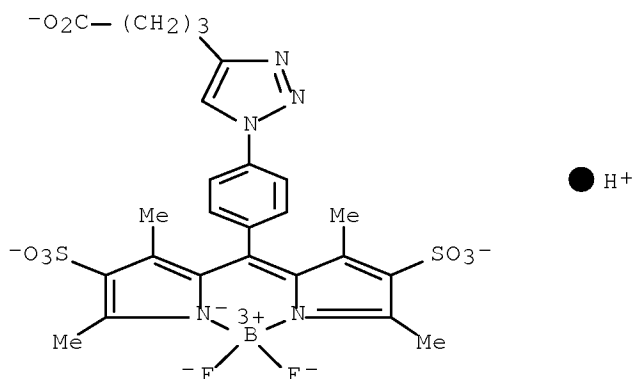
IT 1013643-29-2F

RL: PRP (Properties); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(orange dye; preparation and spectral properties of functionalized, water-soluble BODIPY dyes)

RN 1013643-29-2 CAPLUS

CN Borate(3-), [1-[4-[(3,5-dimethyl-4-sulfo-1H-pyrrol-2-yl-κN)(3,5-dimethyl-4-sulfo-2H-pyrrol-2-ylidene-κN)methyl]phenyl]-1H-1,2,3-triazole-4-butanoato(4-)]difluoro-, sodium hydrogen (1:2:1), (T-4)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

2 Na⁺

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:123339 CAPLUS Full-text

DOCUMENT NUMBER: 148:214873

TITLE: Isoflavone derivatives as ALDH-2 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of drug addiction

INVENTOR(S): Zablocki, Jeff; Abelman, Matthew; Organ, Michael;
Diamond, Ivan; Arolfo, Maria Pia; Yao, Lina; Fan,
Peidong; Elzein, Elfatih; Kalla, Rao; Perry, Thao;
Kobayashi, Tetsuya; Li, Xiaofen
PATENT ASSIGNEE(S): Cv Therapeutics, Inc., USA; Bilokin, Yaroslav
SOURCE: PCT Int. Appl., 132pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

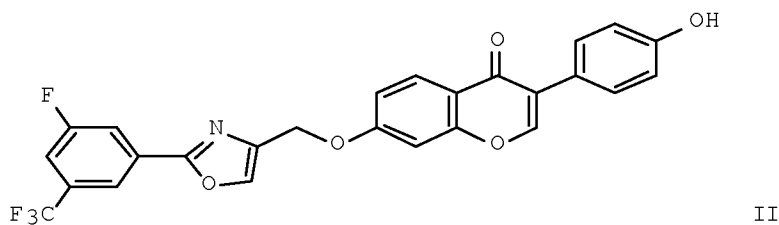
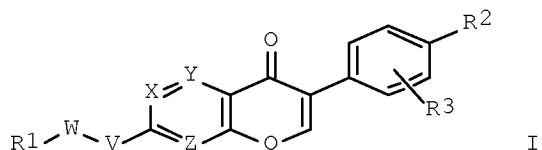
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008014497	A2	20080131	WO 2007-US74665	20070727
WO 2008014497	A3	20080410		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-834083P P 20060727
US 2006-846428P P 20060921

OTHER SOURCE(S): MARPAT 148:214873
GI



AB Isoflavone derivs. of formula I, which are useful as ALDH-2 inhibitors for treating mammals for dependence upon drug addiction, for example addiction to dopamine-producing agent such as cocaine, morphine, amphetamines, nicotine, and alc., are disclosed. Compds. of formula I wherein R1 is (un)substituted

Ph, (un)substituted heteroaryl, (un)substituted heterocyclyl; R2 is H, OH, halo, (un)substituted lower alkoxy, (un)substituted alkyl, CN, (un)substituted heteroaryl, CO2H and derivs., etc.; R3 is H, CN, NH2 and derivs., lower alkyl, lower alkoxy and halo; X, Y and Z are independently (un)substituted methine and N; V is O, S and NH; W is Q1-T-Q2; Q1 is a covalent bond and C1-6 (un)substituted alkylene; Q2 is (un)substituted alkylene; T is a covalent bond, O and NH; T and Q1 taken together to form a covalent bond; are claimed. Example compound II was prepared by O-alkylation of 4',7-dihydroxyisoflavone with 4-(chloromethyl)-2-[5-fluoro-3-(trifluoromethyl)phenyl]-1,3-oxazole. All the invention compds. were evaluated for their ALDH-2 inhibitory activity. From the assay, it was determined that the example compound II exhibited an IC50 value of 0.02 μ M against ALDH-2.

IT 1005336-21-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

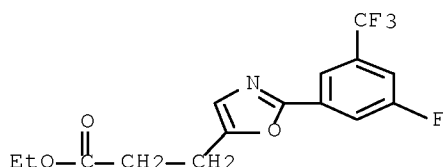
(intermediate; preparation of isoflavone derivs. as ALDH-2 inhibitors

useful

in the treatment of drug addiction)

RN 1005336-21-9 CAPLUS

CN 5-Oxazolepropanoic acid, 2-[3-fluoro-5-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



L7 ANSWER 23 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1470668 CAPLUS Full-text

DOCUMENT NUMBER: 148:100432

TITLE: Preparation of purinone derivatives as HM74a agonists

INVENTOR(S): Zheng, Changsheng; Xue, Chu-Biao; Cao, Ganfeng; Xia, Michael; Wang, Anlai; Ye, Hai Fen; Metcalf, Brian

PATENT ASSIGNEE(S): Incyte Corporation, USA

SOURCE: PCT Int. Appl., 205pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

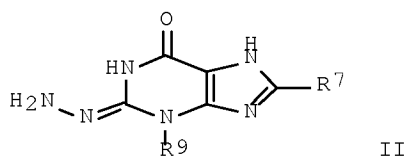
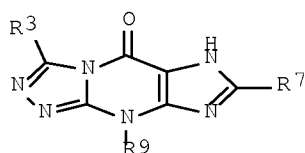
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007150025	A2	20071227	WO 2007-US71891	20070622
WO 2007150025	A3	20080207		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080045554 A1 20080221 US 2007-766981 20070622
 PRIORITY APPLN. INFO.: US 2006-815955P P 20060623
 US 2007-922818P P 20070411
 OTHER SOURCE(S): MARPAT 148:100432
 GI



AB Purinone derivs., such as I [R3 = H, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, cyanoalkyl, etc.; R7 = CN, halogen, haloalkyl, etc.; R9 = alkyl], were prepared for therapeutic use as agonists of the HM74a receptor. These purinone derivs. were claimed for use in the treatment of diseases associated with elevated plasma free fatty acids (FFAs), such as dyslipidemia, highly-active anti-retroviral therapy (HAART) associated lipodystrophy, insulin resistance, diabetes, metabolic syndrome, atherosclerosis, coronary heart disease, stroke, obesity, elevated body mass index (BMI), elevated waist circumference, nonalcoholic fatty liver disease, hepatic steatosis, or hypertension. Thus, 3-methyl-9-pentyl-7-(trifluoromethyl)-6,9-dihydro-5H-[1,2,4]triazolo[4,3-a]purin-5-one II [R3 = Me, R7 = CF3, R9 = (CH2)4Me] was prepared via a multistep synthetic scheme starting from Me(CH2)4NCS, NCCH2CO2Et, and trifluoroacetic anhydride via a cyclocondensation reaction of the corresponding hydrazone II with MeC(OEt)3. The prepared purinones were tested for pharmacol. activity using nicotinic acid displacement, FLIPR, cAMP and adipocyte lipolysis assays.

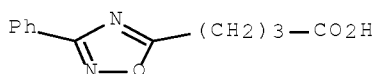
IT 875164-21-9P 1000167-13-4P 1000167-26-9P
 1000167-30-5P 1000167-31-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of purinone derivs. for therapeutic use as HM74a agonists for treatment of diseases associated with elevated plasma free fatty acids)

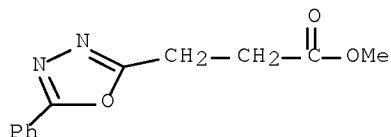
RN 875164-21-9 CAPLUS

CN 1,2,4-Oxadiazole-5-butanoic acid, 3-phenyl- (CA INDEX NAME)

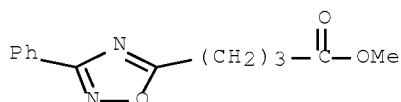


RN 1000167-13-4 CAPLUS

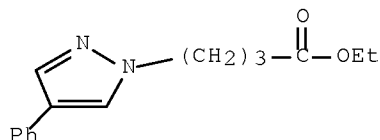
CN 1,3,4-Oxadiazole-2-propanoic acid, 5-phenyl-, methyl ester (CA INDEX NAME)



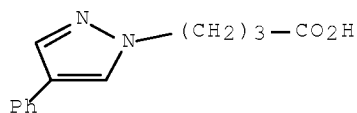
RN 1000167-26-9 CAPLUS
 CN 1,2,4-Oxadiazole-5-butanoic acid, 3-phenyl-, methyl ester (CA INDEX NAME)



RN 1000167-30-5 CAPLUS
 CN 1H-Pyrazole-1-butanoic acid, 4-phenyl-, ethyl ester (CA INDEX NAME)



RN 1000167-31-6 CAPLUS
 CN 1H-Pyrazole-1-butanoic acid, 4-phenyl- (CA INDEX NAME)

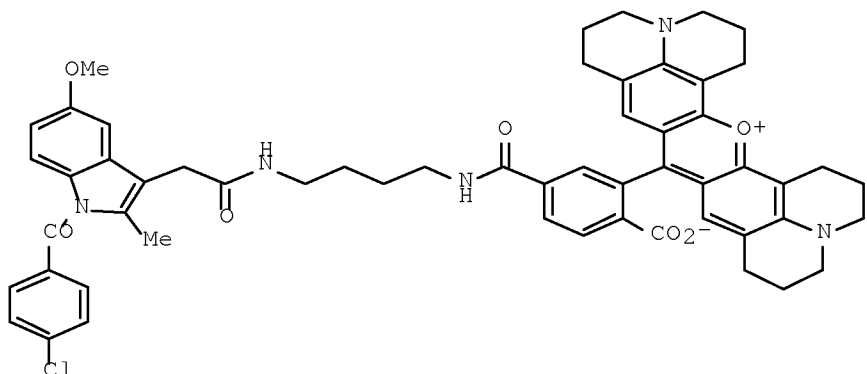


L7 ANSWER 24 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1447789 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 148:79202
 TITLE: Preparation of NSAID-cyclooxygenase-2 compounds for
 diagnostic and therapeutic targeting of COX-2
 INVENTOR(S): Marnett, Lawrence J.; Uddin, Md. Jashim; Crews, Brenda
 C.
 PATENT ASSIGNEE(S): Vanderbilt University, USA
 SOURCE: U.S. Pat. Appl. Publ., 134pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070292352	A1	20071220	US 2007-820481	20070619
WO 2007149456	A2	20071227	WO 2007-US14315	20070619
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-814854P P 20060619
OTHER SOURCE(S): MARPAT 148:79202
GI



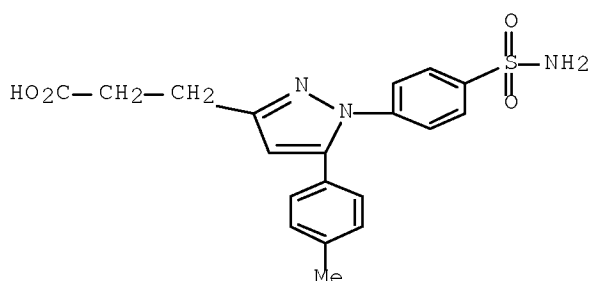
I

AB Compds. containing a cyclooxygenase-2-selective moiety and an NSAID derivative are prepared Also provided are methods for using the disclosed compns. for diagnosing (i.e., by imaging) a target cell and/or treating a disorder associated with a cyclooxygenase-2 biol. activity. Thus, I was prepared, and was used for imaging liver tumors in nude mice.

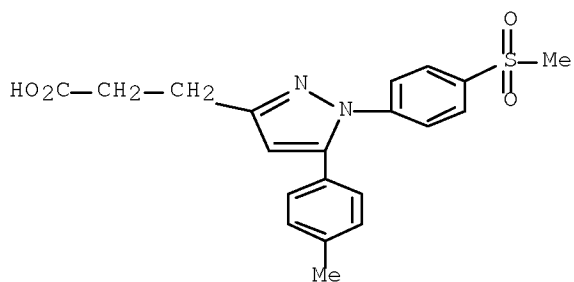
IT 960215-02-5P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of NSAID-cyclooxygenase-2 conjugates as diagnostic and therapeutic agents)

RN 960215-02-5 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 1-[4-(aminosulfonyl)phenyl]-5-(4-methylphenyl)- (CA INDEX NAME)



IT 960215-01-4P
 RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of NSAID-cyclooxygenase-2 conjugates as diagnostic and therapeutic agents)
 RN 960215-01-4 CAPLUS
 CN 1H-Pyrazole-3-propanoic acid, 5-(4-methylphenyl)-1-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)



L7 ANSWER 25 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1396362 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 148:55060
 TITLE: Imidazolidine derivatives as selective androgen modulators, preparation thereof and compositions comprising such compounds
 INVENTOR(S): Nique, Francoise; Robin-Jagerschmidt, Catherine; Clement-Lacroix, Philippe
 PATENT ASSIGNEE(S): Proskelia Sas, Fr.
 SOURCE: PCT Int. Appl., 181pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007137874	A2	20071206	WO 2007-EP5145	20070531

WO 2007137874

A3

20080410

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:

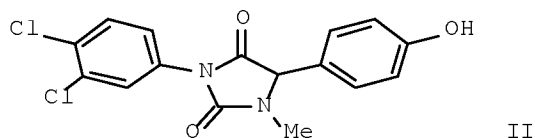
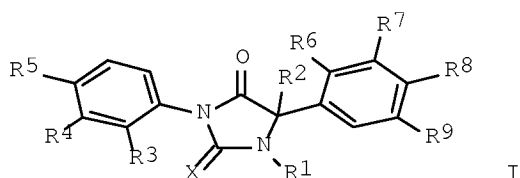
GB 2006-10765

A 20060531

OTHER SOURCE(S):

MARPAT 148:55060

GI



AB Compds. of formula I and pharmaceutically acceptable salts and esters thereof, are useful as selective androgen modulators. Compds. of formula I wherein X is O and S; R1 is acyl, aldehyde, cycloalkyl, (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 is H, (un)branched alkyl, hydroxyalkyl, haloalkyl, alkenyl, alkynyl, etc.; R3 and R4 are independently H, halo, (un)branched alkyl, alkenyl, alkynyl, alkoxy, alkylthio, hydroxyalkyl, etc.; R5 is H, halo, CF3, CN, and NO2; provided that not all of R3, R4, and R5 are H; R6 and R9 are independently H, halo, OH, (un)branched alkyl, hydroxyalkyl, alkoxy, etc.; R7 and R8 are H, halo, OH, SH, (un)branched alkoxy, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyclization of 3,4-dichlorophenyl isocyanate with Me 2-(4-hydroxyphenyl)-2- methylaminoacetate. All the invention compds. were evaluated for their androgen modulatory activity (some data given).

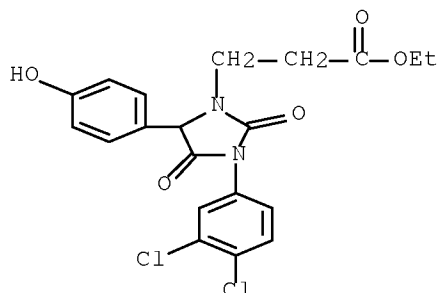
IT 959690-20-1P 959690-91-6P 959690-92-7P
959690-93-8P 959690-94-9P 959690-95-0P
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959692-48-9P 959692-50-3P 959692-81-0P
959692-83-2P 959693-31-3P 959693-33-5P
959693-36-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazolidine derivs. as selective androgen modulators useful in the treatment of diseases)

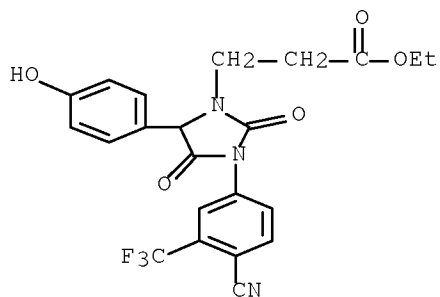
RN 959690-20-1 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-(3,4-dichlorophenyl)-5-(4-hydroxyphenyl)-2,4-dioxo-, ethyl ester (CA INDEX NAME)



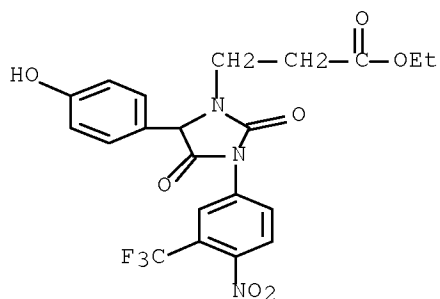
RN 959690-91-6 CAPLUS

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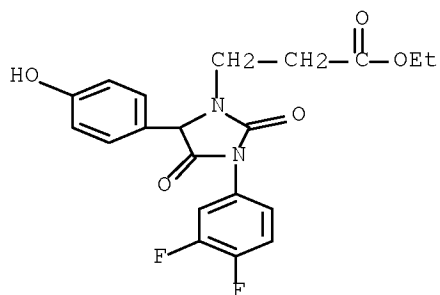
RN 959690-92-7 CAPLUS

CN 1-Imidazolidinepropanoic acid, 5-(4-hydroxyphenyl)-3-[4-nitro-3-(trifluoromethyl)phenyl]-2,4-dioxo-, ethyl ester (CA INDEX NAME)



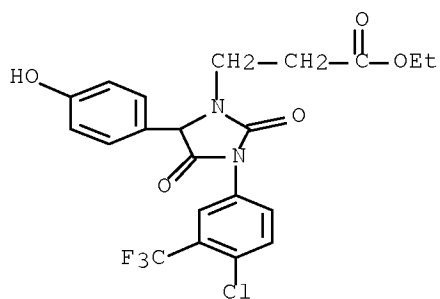
RN 959690-93-8 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-(3,4-difluorophenyl)-5-(4-hydroxyphenyl)-
2,4-dioxo-, ethyl ester (CA INDEX NAME)



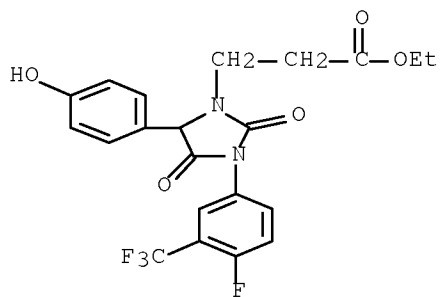
RN 959690-94-9 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-[4-chloro-3-(trifluoromethyl)phenyl]-5-(4-hydroxyphenyl)-2,4-dioxo-, ethyl ester (CA INDEX NAME)



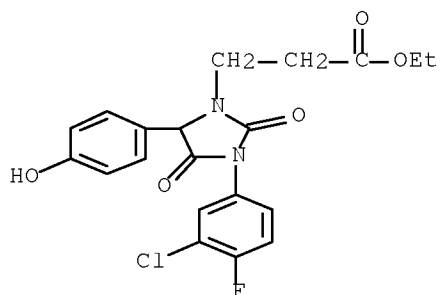
RN 959690-95-0 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-[4-fluoro-3-(trifluoromethyl)phenyl]-5-(4-hydroxyphenyl)-2,4-dioxo-, ethyl ester (CA INDEX NAME)



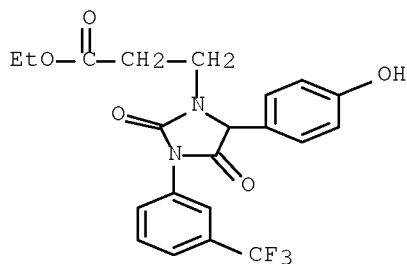
RN 959690-96-1 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-(3-chloro-4-fluorophenyl)-5-(4-hydroxyphenyl)-2,4-dioxo-, ethyl ester (CA INDEX NAME)



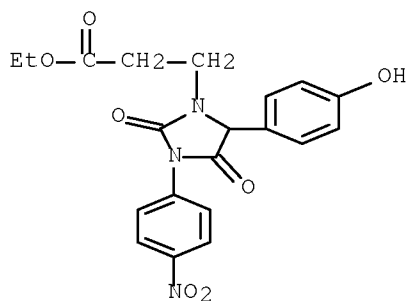
RN 959690-97-2 CAPLUS

CN 1-Imidazolidinepropanoic acid, 5-(4-hydroxyphenyl)-2,4-dioxo-3-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



RN 959690-98-3 CAPLUS

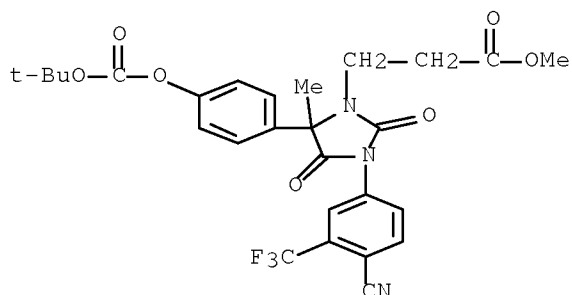
CN 1-Imidazolidinepropanoic acid, 5-(4-hydroxyphenyl)-3-(4-nitrophenyl)-2,4-dioxo-, ethyl ester (CA INDEX NAME)



RN 959692-48-9 CAPLUS

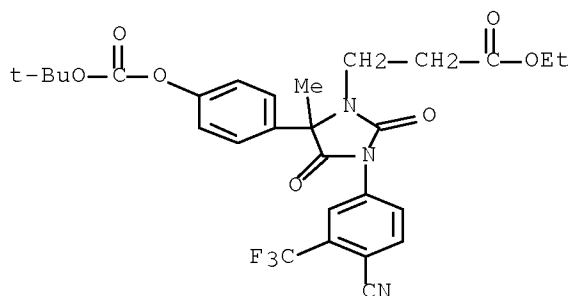
CN 1-Imidazolidinepropanoic acid, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5-[4-

[[[(1,1-dimethylethoxy)carbonyl]oxy]phenyl]-5-methyl-2,4-dioxo-, methyl ester (CA INDEX NAME)



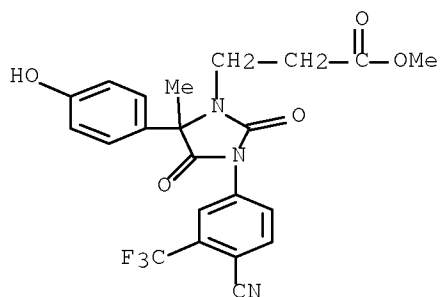
RN 959692-50-3 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5-[4-[[[(1,1-dimethylethoxy)carbonyl]oxy]phenyl]-5-methyl-2,4-dioxo-, ethyl ester (CA INDEX NAME)



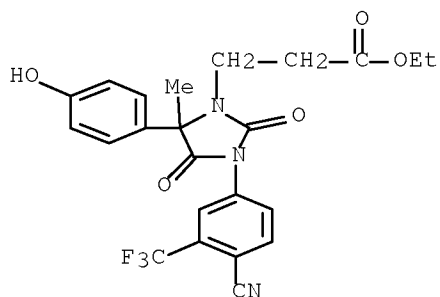
RN 959692-81-0 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5-(4-hydroxyphenyl)-5-methyl-2,4-dioxo-, methyl ester (CA INDEX NAME)



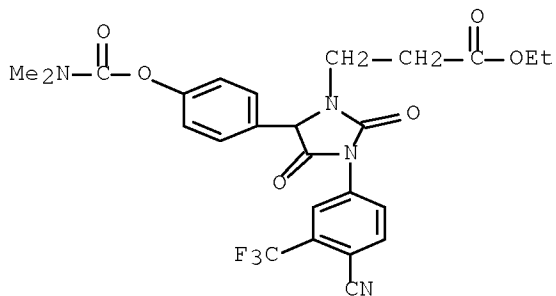
RN 959692-83-2 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5-(4-hydroxyphenyl)-2,4-dioxo-, ethyl ester (CA INDEX NAME)



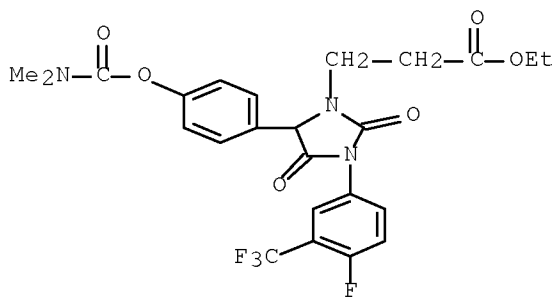
RN 959693-31-3 CAPLUS

CN 1-Imidazolidinepropanoic acid, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5-[4-[[(dimethylamino)carbonyl]oxy]phenyl]-2,4-dioxo-, ethyl ester (CA INDEX NAME)

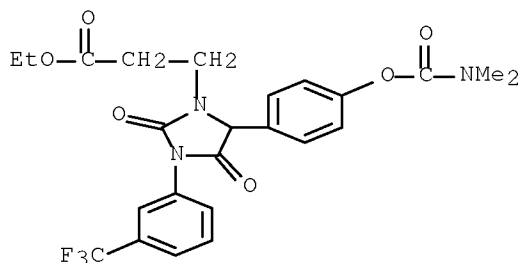


RN 959693-33-5 CAPLUS

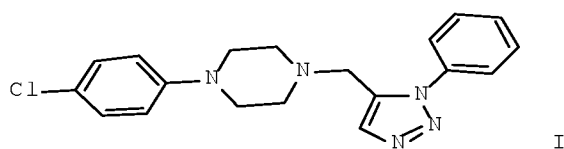
CN 1-Imidazolidinepropanoic acid, 5-[4-[[(dimethylamino)carbonyl]oxy]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]-2,4-dioxo-, ethyl ester (CA INDEX NAME)



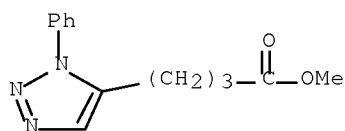
RN 959693-36-8 CAPLUS
 CN 1-Imidazolidinepropanoic acid, 5-[4-[[[(dimethylamino)carbonyl]oxy]phenyl]-2,4-dioxo-3-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



L7 ANSWER 26 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1373023 CAPLUS Full-text
 DOCUMENT NUMBER: 148:191891
 TITLE: Ruthenium-Catalyzed Cycloaddition of Aryl Azides and Alkynes
 AUTHOR(S): Rasmussen, Lars Kyhn; Boren, Brant C.; Fokin, Valery V.
 CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA
 SOURCE: Organic Letters (2007), 9(26), 5337-5339
 CODEN: ORLEF7; ISSN: 1523-7060
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 148:191891
 GI

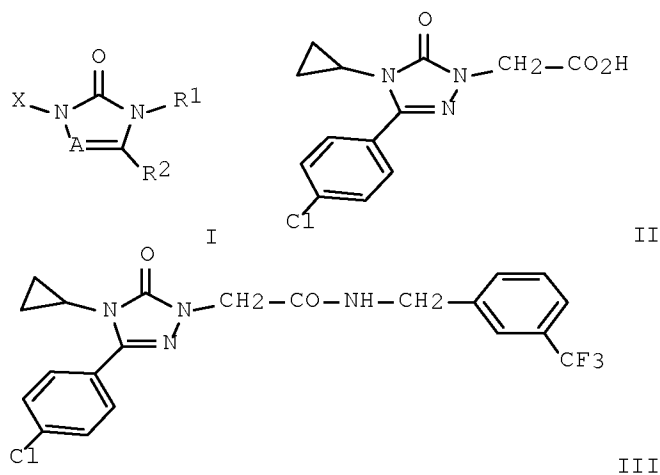


AB The formation of 1,5-disubstituted 1,2,3-triazoles, e.g., I, from aryl azides and alkynes was readily accomplished using [Cp*RuCl]₄ catalyst in DMF. It was also demonstrated that the reaction provided higher yields, cleaner product, and shorter reaction times when carried out under microwave irradiation
 IT 1003001-09-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of disubstituted triazoles via ruthenium-catalyzed regioselective cycloaddn. of aryl azides with alkynes under microwave irradiation)
 RN 1003001-09-9 CAPLUS
 CN 1H-1,2,3-Triazole-5-butanoic acid, 1-phenyl-, methyl ester (CA INDEX NAME)



L7 ANSWER 27 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1361066 CAPLUS Full-text
 DOCUMENT NUMBER: 148:33775
 TITLE: Preparation of 4-arylimidazol-2-ones and
 5-aryl-1,2,4-triazolones as vasopressin receptor
 inhibitors
 INVENTOR(S): Meier, Heinrich; Bender, Eckhard; Brueggemeier, Ulf;
 Flamme, Ingo; Karthaus, Dagmar; Kolkhof, Peter;
 Meibom, Daniel; Schneider, Dirk; Voehringer, Verena;
 Fuerstner, Chantal; Keldenich, Joerg; Lang, Dieter;
 Pook, Elisabeth; Schmeck, Carsten
 PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany
 SOURCE: PCT Int. Appl., 444pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007134862	A1	20071129	WO 2007-EP4615	20070521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM DE 102006024024 A1 20071129 DE 2006-102006024024 20060523 PRIORITY APPLN. INFO.: DE 2006-102006024024A 20060523 OTHER SOURCE(S): MARPAT 148:33775 GI				



AB Title compds. I [X = L1-CO-NH-L2-R3; A = N, CR4; R4 = H, alkyl; R1 = alkyl, alkenyl, alkynyl, etc.; R2 = Ph, naphthyl, thienyl, etc.; L1 = (CR5aR5b)m; R5a, R5b = H, alkyl; m = 1-3; L2 = CR6aR6b-(CR7aR7b)q, etc.; R6a = H, alkyl; R6b = H, alkyl, CF3, etc.; R7a = H, F, alkyl, etc.; R7b = H, F, alkyl, etc.; q = 0-2; R3 = Ph, naphthyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, coupling of 3-trifluoromethylbenzylamine and carboxylic acid II afforded triazolone III in 99% yield. In V1a receptor binding assays, 66-examples of compds. I exhibited IC50 values ranging from 0.003-3.4 μ M.

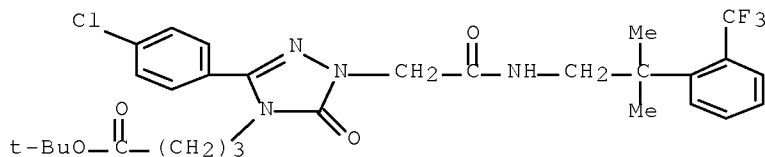
IT 959134-32-8P 959134-33-9P 959134-34-0P
959134-35-1P 959135-27-4P 959135-28-5P
959135-30-9P 959135-96-7P 959136-02-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylimidazolones and aryltriazolones as vasopressin receptor inhibitors)

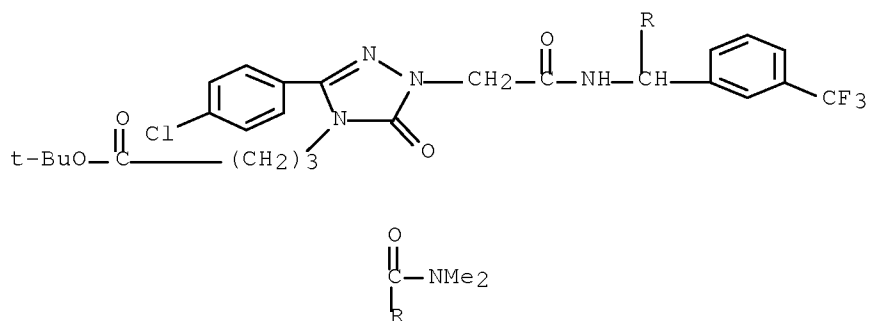
RN 959134-32-8 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1,5-dihydro-1-[2-[[2-methyl-2-[2-(trifluoromethyl)phenyl]propyl]amino]-2-oxoethyl]-5-oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)



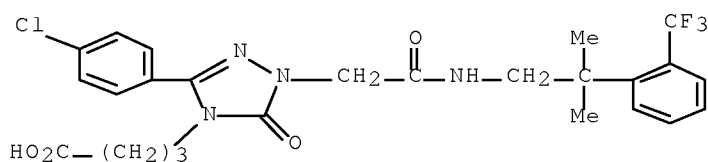
RN 959134-33-9 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1-[2-[[2-(dimethylamino)-2-oxo-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-2-oxoethyl]-1,5-dihydro-5-oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)



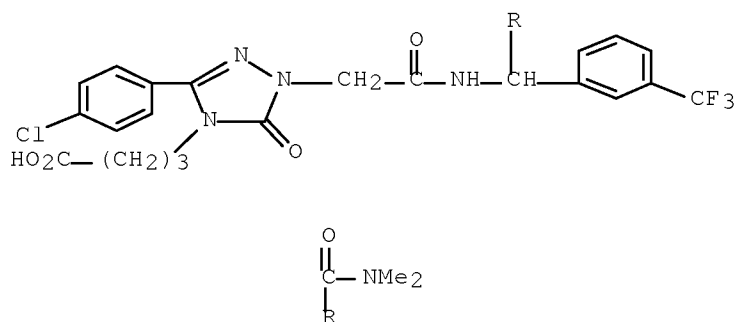
RN 959134-34-0 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1,5-dihydro-1-[2-[[2-methyl-2-[2-(trifluoromethyl)phenyl]propyl]amino]-2-oxoethyl]-5-oxo- (CA INDEX NAME)



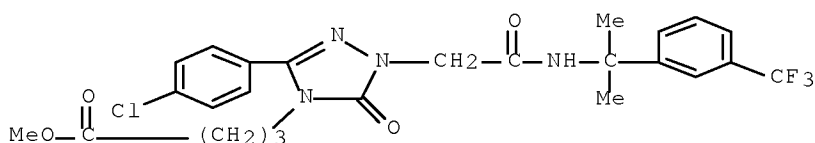
RN 959134-35-1 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1-[2-[[2-(dimethylamino)-2-oxo-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-2-oxoethyl]-1,5-dihydro-5-oxo- (CA INDEX NAME)



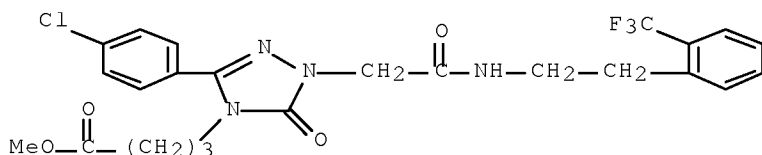
RN 959135-27-4 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1,5-dihydro-1-[2-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-2-oxoethyl]-5-oxo-, methyl ester (CA INDEX NAME)



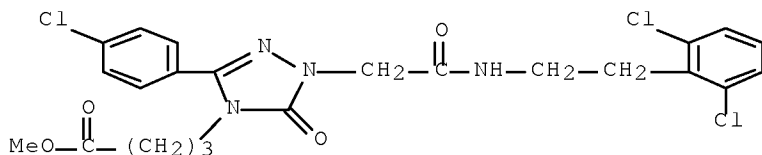
RN 959135-28-5 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1,5-dihydro-5-oxo-1-[2-oxo-2-[[2-[2-(trifluoromethyl)phenyl]ethyl]amino]ethyl]-, methyl ester (CA INDEX NAME)



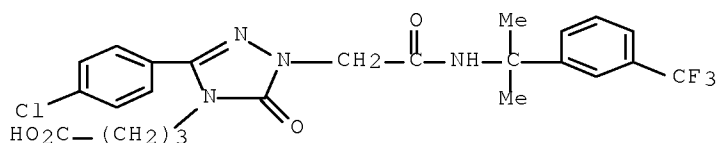
RN 959135-30-9 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1-[2-[[2-(2,6-dichlorophenyl)ethyl]amino]-2-oxoethyl]-1,5-dihydro-5-oxo-, methyl ester (CA INDEX NAME)



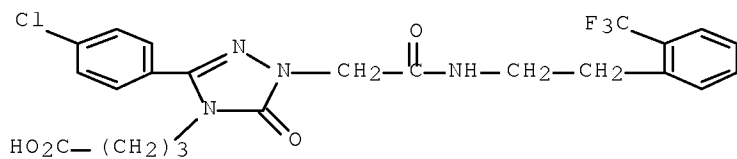
RN 959135-96-7 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1,5-dihydro-1-[2-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-2-oxoethyl]-5-oxo- (CA INDEX NAME)



RN 959136-02-8 CAPLUS

CN 4H-1,2,4-Triazole-4-butanoic acid, 3-(4-chlorophenyl)-1,5-dihydro-5-oxo-1-[2-oxo-2-[[2-[2-(trifluoromethyl)phenyl]ethyl]amino]ethyl]- (CA INDEX NAME)



IT 959138-48-8P 959138-91-1P 959140-54-6P

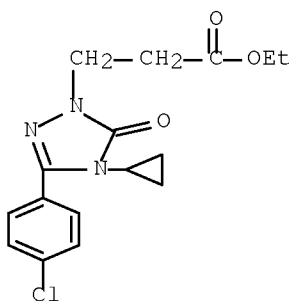
959140-55-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylimidazolones and aryltriazolones as vasopressin receptor inhibitors)

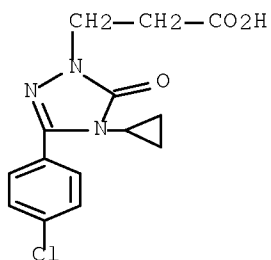
RN 959138-48-8 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 3-(4-chlorophenyl)-4-cyclopropyl-4,5-dihydro-5-oxo-, ethyl ester (CA INDEX NAME)



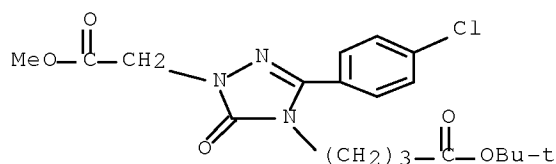
RN 959138-91-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 3-(4-chlorophenyl)-4-cyclopropyl-4,5-dihydro-5-oxo- (CA INDEX NAME)

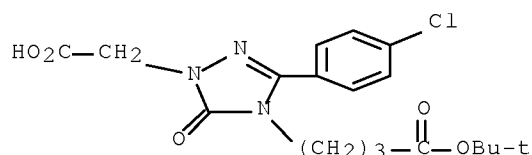


RN 959140-54-6 CAPLUS

CN 1H-1,2,4-Triazole-4(5H)-butanoic acid, 3-(4-chlorophenyl)-1-(2-methoxy-2-oxoethyl)-5-oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 959140-55-7 CAPLUS
 CN 1H-1,2,4-Triazole-4(5H)-butanoic acid, 1-(carboxymethyl)-3-(4-chlorophenyl)-5-oxo-, 4-(1,1-dimethylethyl) ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1302767 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 147:548042
 TITLE: Pharmaceutical combination comprising
 3-(3-dimethylamino-1-ethyl-2-methylpropyl)phenol and
 an NSAID
 INVENTOR(S): Schiene, Klaus; Bloms-Funke, Petra
 PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 43pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007128412	A1	20071115	WO 2007-EP3631	20070425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.:

EP 2006-8850

A 20060428

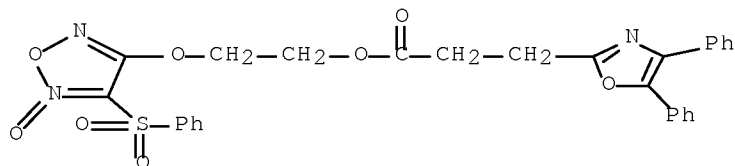
AB A combination comprises as components (a) 3-(3-dimethylamino-1-ethyl-2-methylpropyl)phenol, and (b) one or more nonsteroidal anti-inflammatory drugs (NSAIDs); a pharmaceutical salt comprising the components; a compound derived from the components; a pharmaceutical formulation and a dosage form comprising the drug, combination, or salt; as well as a method of treating pain, e.g., chronic or acute pain, in a mammal characterized in that components (a) and (b) are administered simultaneously or sequentially to a mammal, wherein component (a) may be administered before or after component (b) and wherein components (a) or (b) are administered to the mammal either via the same or a different pathway of administration. Thus, a 3-layer tablet contained (1R,2R)-3-(3-dimethylamino-1-ethyl-2-methylpropyl)phenol-HCl 100.0 and diclofenac sodium 50.00 mg.

IT 936635-38-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Oxaprozin E; pharmaceutical combination comprising
(dimethylaminoethylmethylpropyl)phenol and NSAIDS)

RN 936635-38-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, 2-[[5-oxido-4-(phenylsulfonyl)-1,2,5-oxadiazol-3-yl]oxy]ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1293191 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 148:69038

TITLE: Discovery of Biaryl Anthranilides as Full Agonists for the High Affinity Niacin Receptor

AUTHOR(S): Shen, Hong C.; Ding, Fa-Xiang; Luell, Silvi; Forrest, Michael J.; Carballo-Jane, Ester; Wu, Kenneth K.; Wu, Tsuei-Ju; Cheng, Kang; Wilsie, Larissa C.; Krsmanovic, Mihajlo L.; Taggart, Andrew K.; Ren, Ning; Cai, Tian-Quan; Deng, Qiaolin; Chen, Qing; Wang, Junying; Wolff, Michael S.; Tong, Xinchun; Holt, Tom G.; Waters, M. Gerard; Hammond, Milton L.; Tata, James R.; Colletti, Steven L.

CORPORATE SOURCE: Merck Research Laboratories, Merck & Co., Inc., Rahway, NJ, 07065-0900, USA

SOURCE: Journal of Medicinal Chemistry (2007), 50(25), 6303-6306

CODEN: JMCMAR; ISSN: 0022-2623

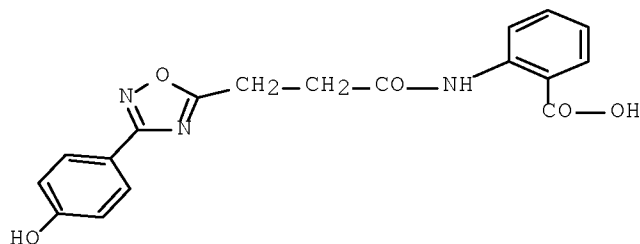
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:69038

GI



I

AB Biaryl anthranilides are reported as potent and selective full agonists for the high affinity niacin receptor GPR109A. The SAR presented outlines approaches to reduce serum shift and both CYP2C8 and CYP2C9 liabilities, while improving PK and maintaining excellent receptor activity. Compound 2i (I) exhibited good in vivo antilipolytic efficacy while providing a significantly improved therapeutic index over vasodilation (flushing) with respect to niacin in the mouse model.

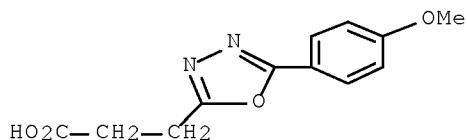
IT 960605-35-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(biaryl anthranilides as niacin receptor GPR109A agonists with decreased side-effects)

RN 960605-35-0 CAPLUS

CN 1,3,4-Oxadiazole-2-propanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1272539 CAPLUS Full-text

DOCUMENT NUMBER: 147:511509

TITLE: Silver halide color photographic material containing stabilizer

INVENTOR(S): Aoki, Atsushi

PATENT ASSIGNEE(S): Konica Minolta Medical & Graphic, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 80pp.

CODEN: JKXXAF

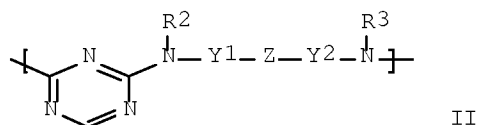
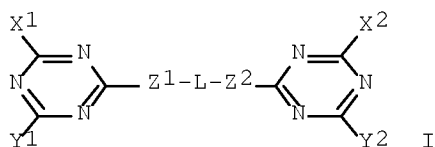
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007293167	A	20071108	JP 2006-123266	20060427
PRIORITY APPLN. INFO.:			JP 2006-123266	20060427
OTHER SOURCE(S):	MARPAT 147:511509			
GI				



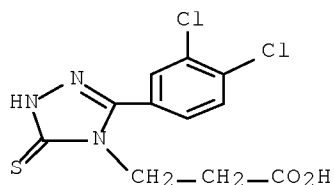
AB The material has (a) each ≥ 1 blue-, green-, and red-sensitive Ag halide emulsion layers in which ≥ 1 layer contains the stabilizer I [X1, X2, Y1, Y2 = NR1R2, OR3, SR3, heterocycle, etc.; Z1, Z2 = NR4, O, S; L = arylene, alkylene, alkenylene, heterocycle; R1, R2 = H, alkyl, aryl, heterocycle; R3 = alkyl, aryl, heterocycle; R4 = H, aryl, heterocycle, alkyl; I contains no azo or diaminostilbene structure] or II [R1 = OR, SR NRR'; R, R' = H, (substituted) alkyl, aryl, aralkyl, heterocycle, etc.; R2, R3 = H, (substituted) alkyl; Y1, Y2 = (substituted) polymethine, arylene, cycloalkylene; Z = O, SO2, CH2; m = 0, 1] and (b) light-insensitive layers in which ≥ 1 layer adjacent to ≥ 1 emulsion layer contains black colloidal Ag on a support. The material shows improved background whiteness and stability on storage and processing.

IT 956024-59-2

RL: MOA (Modifier or additive use); USES (Uses)
(silver halide color photog. material having nonphotosensitive layer
containing black colloidal Ag prepared in presence of mercapto compound)

RN 956024-59-2 CAPLUS

CN 4H-1,2,4-Triazole-4-propanoic acid, 3-(3,4-dichlorophenyl)-1,5-dihydro-5-thio- (CA INDEX NAME)



L7 ANSWER 31 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1270381 CAPLUS [Full-text](#)

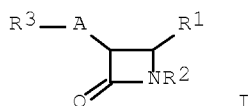
DOCUMENT NUMBER: 147:515067

TITLE: Azulene derivatives and serum cholesterol lowering

agents containing them
 INVENTOR(S): Toyama, Yasushi; Yokota, Masayuki
 PATENT ASSIGNEE(S): Kotobuki Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 17pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007291004	A	20071108	JP 2006-119984	20060425
PRIORITY APPLN. INFO.:			JP 2006-119984	20060425
OTHER SOURCE(S):	MARPAT	147:515067		

GI

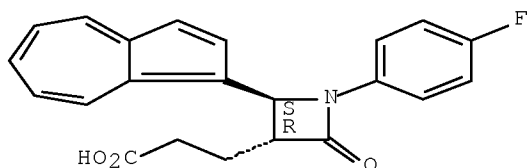


AB Title agents contain the derivs. I [R1-R3 = (un)substituted azulene or benzene ring; ≥ 1 R1-R3 = azulene ring; A = (CH₂)_m, O(CH₂)_n, CH:CH(CH₂)_n, CH(OH)(CH₂)_n, CO(CH₂)_n; m = 1-5; n = 1-4] or their pharmaceutically acceptable salts and optional β -lactamase inhibitors. Thus, serum cholesterol lowering rate of rel-(3R,4S)-3-[3-(azulen-1-yl)propyl]-1-(4-fluorophenyl)-4-(4-hydroxyphenyl)azetidin-2-one (preparation given) against hamsters given cholesterol-rich diet was 88.8% in 4-day feeding trial.

IT 956024-46-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of azulene derivs. and serum cholesterol lowering agents containing them and β -lactamase inhibitors)

RN 956024-46-7 CAPLUS
 CN 3-Azetidinepropanoic acid, 2-(1-azulenyl)-1-(4-fluorophenyl)-4-oxo-, (2R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.



DOCUMENT NUMBER: 147:508479
 TITLE: Prodrugs of carboxylic acids using alcohols with homotopic hydroxy groups
 INVENTOR(S): Delong, Mitchell A.; Mcfadden, Jill M.; Royalty, Susan M.; Toone, Eric J.; Yingling, Jeffrey D.
 PATENT ASSIGNEE(S): Aerie Pharmaceuticals, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 46pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070254920	A1	20071101	US 2006-412207	20060426
WO 2007127639	A2	20071108	WO 2007-US66782	20070417
WO 2007127639	A3	20080612		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-412207 A 20060426

OTHER SOURCE(S): MARPAT 147:508479

AB This invention relates to novel homotopic prodrugs and medicaments and methods for their preparation, testing and use. In one embodiment, the homotopic prodrug has the general formula wherein is a biol.-active moiety comprising a carboxylic acid functional group, and Rb is a homotopically-sym. alc. bonded to the biol.-active moiety through the carboxylic acid functional group to form an ester linkage, as well as optical isomers, enantiomers, pharmaceutically acceptable salts, biohydrolyzable amides, esters, and imides thereof and combinations thereof.

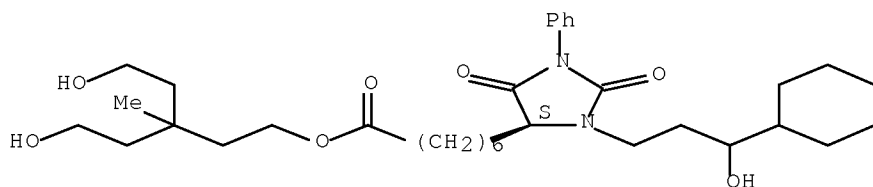
IT 955007-17-7 955131-40-5, BW 868

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (prodrugs of carboxylic acids using alcs. with homotopic hydroxy groups)

RN 955007-17-7 CAPLUS

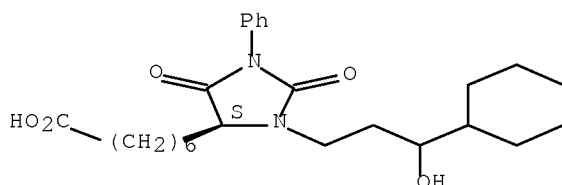
CN 4-Imidazolidineheptanoic acid, 3-(3-cyclohexyl-3-hydroxypropyl)-2,5-dioxo-1-phenyl-, 5-hydroxy-3-(2-hydroxyethyl)-3-methylpentyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 955131-40-5 CAPLUS
CN 4-Imidazolidineheptanoic acid, 3-(3-cyclohexyl-3-hydroxypropyl)-2,5-dioxo-1-phenyl-, (4S)- (CA INDEX NAME)

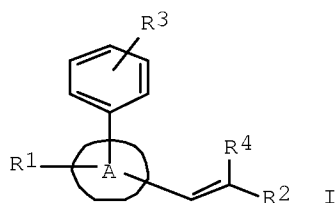
Absolute stereochemistry.



L7 ANSWER 33 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1238751 CAPLUS Full-text
DOCUMENT NUMBER: 147:496349
TITLE: Ligand capable of binding to nuclear receptor
INVENTOR(S): Shiraki, Takuma
PATENT ASSIGNEE(S): Osaka University, Japan
SOURCE: PCT Int. Appl., 36pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007122970	A1	20071101	WO 2007-JP56780	20070329
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2006-117239 A 20060420
OTHER SOURCE(S): MARPAT 147:496349
GI



AB Disclosed is a peroxisome proliferator-activated receptor gamma (PPAR γ) agonist which comprises a compound represented by the general formula (I) or a salt thereof or a prodrug of the compound or the salt: (I) wherein the ring A represents a heterocyclic ring; R1 represents a hydrogen atom or a carboxyalkyl group which may be esterified; R2 represents -COR5 (wherein R5 represents a C6-14 aryl group which may have a substituent or a heterocyclic group which may have a substituent) or -NO₂; R3 represents a hydrogen atom, a halogen atom or a carboxyl group which may be esterified; and R4 represents a hydrogen atom or a C1-6 alkyl group.

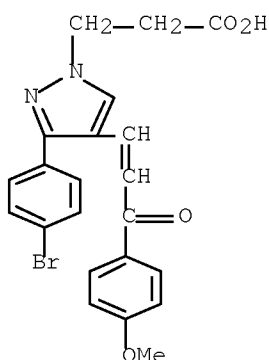
IT 882226-70-2 882230-05-9 882230-09-3
882230-13-9 882230-17-3 882230-21-9
882230-25-3 882230-29-7 882232-51-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(PPAR γ agonists ligand capable of binding to nuclear receptors as antiobesity, antidiabetic, antiarteriosclerotic, and hypolipidemic agents)

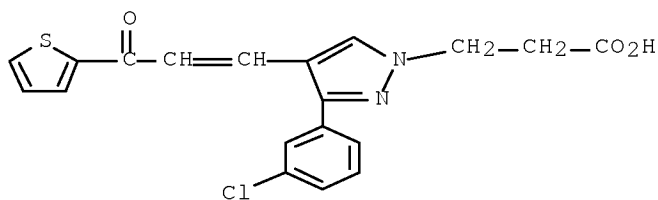
RN 882226-70-2 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(4-bromophenyl)-4-[3-(4-methoxyphenyl)-3-oxo-1-propen-1-yl]- (CA INDEX NAME)



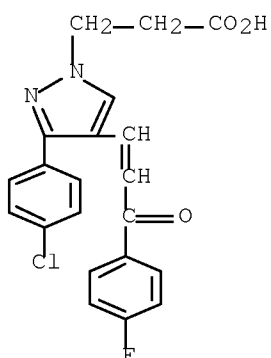
RN 882230-05-9 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(3-chlorophenyl)-4-[3-oxo-3-(2-thienyl)-1-propen-1-yl]- (CA INDEX NAME)



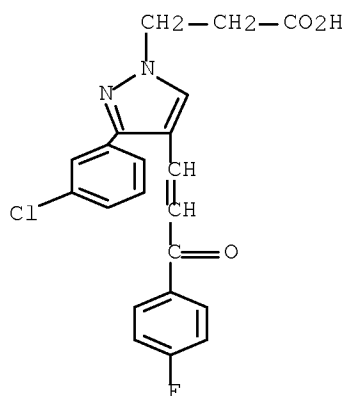
RN 882230-09-3 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(4-chlorophenyl)-4-[3-(4-fluorophenyl)-3-oxo-1-propen-1-yl]- (CA INDEX NAME)



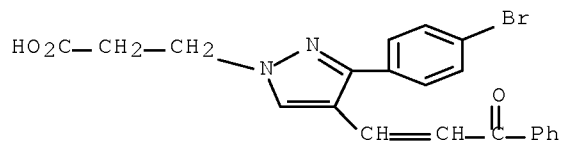
RN 882230-13-9 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(3-chlorophenyl)-4-[3-(4-fluorophenyl)-3-oxo-1-propen-1-yl]- (CA INDEX NAME)



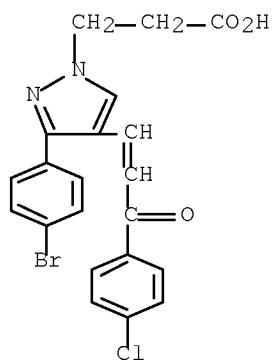
RN 882230-17-3 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(4-bromophenyl)-4-(3-oxo-3-phenyl-1-propen-1-yl)- (CA INDEX NAME)



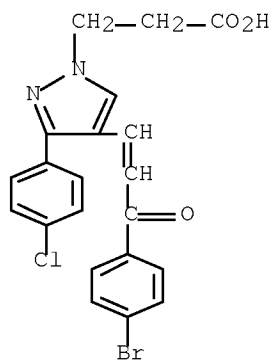
RN 882230-21-9 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(4-bromophenyl)-4-[3-(4-chlorophenyl)-3-oxo-1-propen-1-yl]- (CA INDEX NAME)



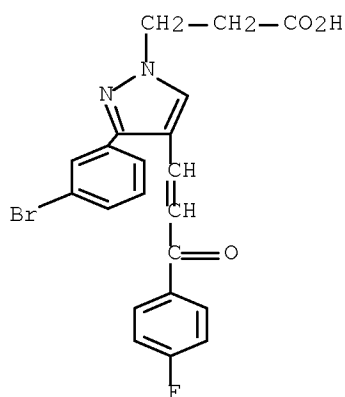
RN 882230-25-3 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[3-(4-bromophenyl)-3-oxo-1-propen-1-yl]-3-(4-chlorophenyl)- (CA INDEX NAME)



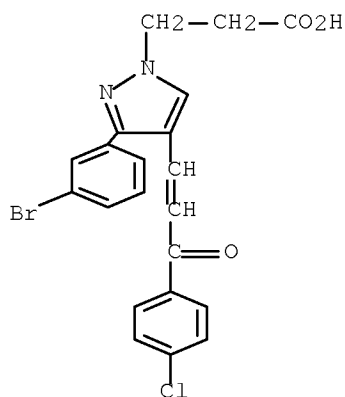
RN 882230-29-7 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(3-bromophenyl)-4-[3-(4-fluorophenyl)-3-oxo-1-propen-1-yl]- (CA INDEX NAME)



RN 882232-51-1 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(3-bromophenyl)-4-[3-(4-chlorophenyl)-3-oxo-1-propen-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

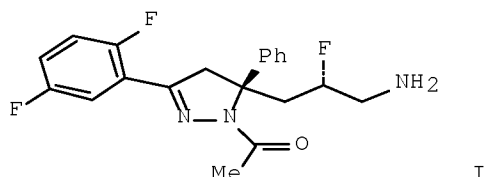
ACCESSION NUMBER: 2007:1050791 CAPLUS Full-text

DOCUMENT NUMBER: 147:522144

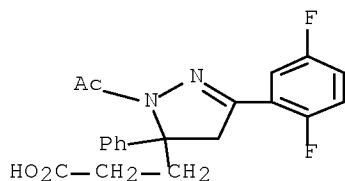
TITLE: Kinesin spindle protein (KSP) inhibitors. Part 6: Design and synthesis of 3,5-diaryl-4,5-dihydropyrazole amides as potent inhibitors of the mitotic kinesin KSP
 AUTHOR(S): Coleman, Paul J.; Schreier, John D.; Cox, Christopher D.; Fraley, Mark E.; Garbaccio, Robert M.; Buser, Carolyn A.; Walsh, Eileen S.; Hamilton, Kelly; Lobell, Robert B.; Rickert, Keith; Tao, Weikang; Diehl, Ronald E.; South, Vicki J.; Davide, Joseph P.; Kohl, Nancy E.; Yan, Youwei; Kuo, Lawrence; Prueksaritanont, Thomayant; Li, Chunze; Mahan, Elizabeth A.; Fernandez-Metzler, Carmen; Salata, Joseph J.; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research

SOURCE: Laboratories, West Point, PA, 19486, USA
 Bioorganic & Medicinal Chemistry Letters (2007),
 17(19), 5390-5395
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB 3,5-Diaryl-4,5-dihydropyrazoles, e.g. I, were discovered to be potent KSP inhibitors with excellent in vivo potency. These enzyme inhibitors possess desirable phys. properties that can be readily modified by incorporation of a weakly basic amine. Careful adjustment of amine basicity was essential for preserving cellular potency in a multidrug resistant cell line while maintaining good aqueous solubility
 IT 956532-77-7E
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (stereoselective preparation of diarylpyrazoles as potent kinesin spindle protein inhibitors via cyclocondensation, nucleophilic substitution with amines, and diastereoselective fluorination)
 RN 956532-77-7 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 1-acetyl-3-(2,5-difluorophenyl)-4,5-dihydro-5-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:993708 CAPLUS Full-text
 DOCUMENT NUMBER: 147:323018
 TITLE: Triazine 11-beta hydroxysteroid dehydrogenase type 1 inhibitors for treatment of diabetes and other diseases

INVENTOR(S): Li, Jun; Robl, Jeffrey A.; Kennedy, Lawrence J.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
 SOURCE: U.S. Pat. Appl. Publ., 50pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

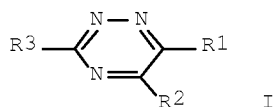
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070207985	A1	20070906	US 2007-679898	20070228
WO 2007103694	A2	20070913	WO 2007-US63012	20070301
WO 2007103694	A3	20071101		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-778159P P 20060301
 US 2007-679898 A 20070228

OTHER SOURCE(S): CASREACT 147:323018; MARPAT 147:323018
 GI



AB Novel triazine compds. (I; R1 = alkyl, aryl, heteroaryl, cycloalkyl, adamantyl, heterocyclyl, etc.; R2,R3 = H, halo, cyano, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, etc.), enantiomers, diastereomers, solvates, prodrugs or pharmaceutically acceptable salts thereof are provided which are 11 β -hydroxysteroid dehydrogenase type I inhibitors. 11 β -Hydroxysteroid dehydrogenase type I inhibitors are useful in treating, preventing, or slowing the progression of diseases requiring 11 β -hydroxysteroid dehydrogenase type I inhibitor therapy, such as diabetes and related conditions, vascular complications associated with diabetes, cardiovascular diseases, metabolic syndrome and other disorders. Pharmaceutical compns. comprising a compound of formula I and optionally at least one addnl. therapeutic agent are also described. Thus, 3-adamantan-1-yl-5,6-dimethyl-[1,2,4]triazine was prepared by heating admantane-1-carbohydrazide (0.5 mmol) and 2,3-butanedione (0.6 mmol) in presence of NH₄OAc (7.5 mmol) in glacial HOAc (yield 45 mg).

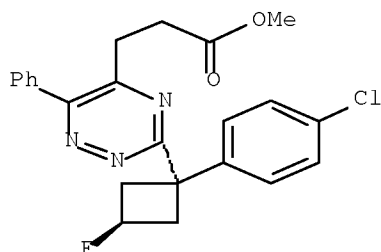
IT 947758-28-3P 947758-30-7P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazine 11-beta hydroxysteroid dehydrogenase type 1 inhibitors for prevention and treatment of diabetes and other diseases)

RN 947758-28-3 CAPLUS

CN 1,2,4-Triazine-5-propanoic acid, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-6-phenyl-, methyl ester (CA INDEX NAME)

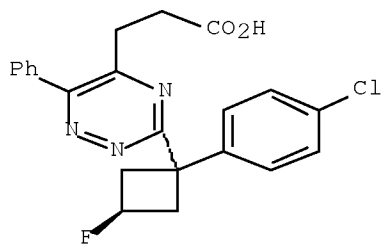
Relative stereochemistry.



RN 947758-30-7 CAPLUS

CN 1,2,4-Triazine-5-propanoic acid, 3-[trans-1-(4-chlorophenyl)-3-fluorocyclobutyl]-6-phenyl- (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 36 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:963933 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:322708

TITLE: Preparation of biaryls compounds, such as hydroxy- and alkoxybiphenyls and biphenyl ethers as inhibitors of 17 β -hydroxysteroid dehydrogenase

INVENTOR(S): Vicker, Nigel; Allan, Gillian Margaret; Lawrence, Harshani Rithma Ruchiranani; Day, Joanna Mary; Purohit, Atul; Reed, Michael John; Potter, Barry Victor Lloyd

PATENT ASSIGNEE(S): Sterix Limited, UK

SOURCE: PCT Int. Appl., 187pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

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WO 2007096647	A2	20070830	WO 2007-GB655	20070226
WO 2007096647	A3	20080117		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.:

GB 2006-3894

A 20060227

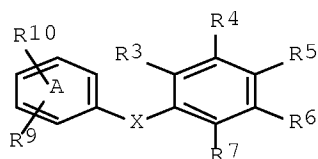
GB 2006-15464

A 20060803

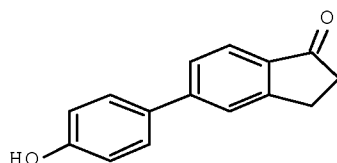
OTHER SOURCE(S):

MARPAT 147:322708

GI



I



II

AB Title compds. I [ring A = (un)substituted (hetero)aryl; X = bond or linker group; at least one of R3-7 = substituted acyl; CN, -CH=N-O-alkyl, -CH=N-OH, alkylheterocycle, alkenylheterocycle, alkylheteroaryl, alkenylheteroaryl, heteroaryl, etc.; or R3-7 together with another of R3-7 forms a (hetero)cyclyl ring; R9 = alkyl or halo; R10 = OH, oxyhydrocarbyl, -OSO₂NH₂, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of 17 β -hydroxysteroid dehydrogenase (17 β -HSD). Thus, Suzuki coupling reaction of 5-bromoindan-1-one with (4-benzyloxyphenyl)boronic acid to generate 5-[4-(benzyloxy)phenyl]indan-1-one which undergoes hydrolysis provided II. Select compds. of the invention were evaluated for their inhibitory activity on 17 β -HSD (type 1), e.g., II exhibited > 80% inhibition at the concentration of 10 μ M.

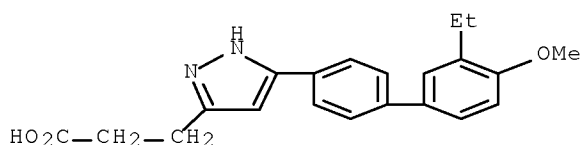
IT 947547-95-7DP, oxime resin-bound 947547-95-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

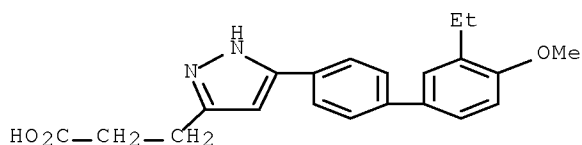
(preparation of biaryls compds., such as hydroxy- and alkoxybiphenyls and biphenyl ethers as inhibitors of 17 β -hydroxysteroid dehydrogenase)

RN 947547-95-7 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-(3'-ethyl-4'-methoxy[1,1'-biphenyl]-4-yl)- (CA INDEX NAME)



RN 947547-95-7 CAPLUS
 CN 1H-Pyrazole-3-propanoic acid, 5-(3'-ethyl-4'-methoxy[1,1'-biphenyl]-4-yl)-
 (CA INDEX NAME)



L7 ANSWER 37 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:816907 CAPLUS Full-text
 DOCUMENT NUMBER: 147:197357
 TITLE: Compositions comprising oxaprozin and a vitamin D3 analog and their for the treatment of psoriasis
 INVENTOR(S): Weidner, Morten Sloth
 PATENT ASSIGNEE(S): Astion Pharma A/S, Den.
 SOURCE: PCT Int. Appl., 22pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007082542	A1	20070726	WO 2007-DK50002	20070117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2006-927 A 20060117
 AB The invention provides novel compns. for the treatment of psoriasis and variants thereof. The compns. comprise a vitamin D3 analog and oxaprozin or a salt thereof. A topical pharmaceutical composition was prepared by dissolving 2.5% of a monoethanolamine salt of oxaprozin in a liniment of calcipotriol in

a carrier consisting of hydroxypropyl cellulose, iso-Pr alc., levomenthol, sodium citrate, propylenglycol and purified water.

IT 911109-69-8 944260-27-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. comprising oxaprozin and vitamin D3 analog for treatment of psoriasis)

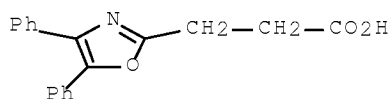
RN 911109-69-8 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, compd. with 2-aminoethanol (1:1) (CA INDEX NAME)

CM 1

CRN 21256-18-8

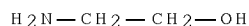
CMF C18 H15 N O3



CM 2

CRN 141-43-5

CMF C2 H7 N O



RN 944260-27-9 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, mixt. with (1R,3S)-5-[(2E)-2-[(1R,3aS,4E,7aR)-1-[(1R,2E)-4-cyclopropyl-4-hydroxy-1-methyl-2-buten-1-yl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-4-methylene-1,3-cyclohexanediol (CA INDEX NAME)

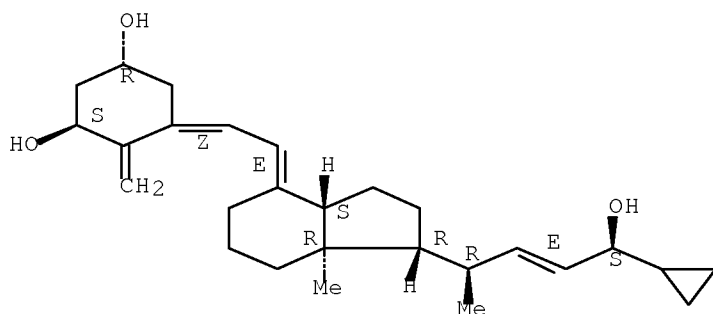
CM 1

CRN 112965-21-6

CMF C27 H40 O3

Absolute stereochemistry.

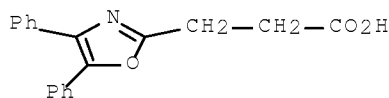
Double bond geometry as shown.



CM 2

CRN 21256-18-8

CMF C18 H15 N O3



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:775890 CAPLUS Full-text

DOCUMENT NUMBER: 148:332

TITLE: Studies on the interaction between a kind of furoxan oxaprozin and bovine serum albumin by spectroscopic methods

AUTHOR(S): Sun, Shao-fa; Song, Gong-wu; Liu, Jie

CORPORATE SOURCE: Department of Chemistry and Life Sciences, Xianning College, Xianning, Hubei, 437005, Peop. Rep. China

SOURCE: Fenxi Ceshi Xuebao (2007), 26(3), 327-330
CODEN: FCEXES; ISSN: 1004-4957

PUBLISHER: Fenxi Ceshi Xuebao Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The interaction between a kind of furoxan oxaprozin (FBO) and bovine serum albumin (BSA) was studied by fluorescence and UV-Vis spectrophotometry. The quenching mechanism of fluorescence of BSA by FBO was confirmed to be a dynamic quenching process. The number of binding sites n and apparent binding constant K were measured by fluorescence quenching method. The thermodynamic parameters ΔH , ΔG , and ΔS were calculated. The results indicated that the binding reaction was mainly entropy-driven and hydrophobic forces played the major role in the reaction. The distance r between donor (BSA) and acceptor (FBO) was obtained according to Forster theory of non-radiation energy transfer.

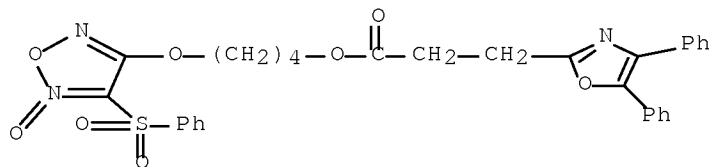
IT 958637-58-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(interaction between a kind of furoxan oxaprozin and bovine serum albumin detected by spectroscopic methods)

RN 958637-58-6 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, 4-[[5-oxido-4-(phenylsulfonyl)-1,2,5-oxadiazol-3-yl]oxy]butyl ester (CA INDEX NAME)



L7 ANSWER 39 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:769759 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:343929

TITLE: Synthesis of four-membered ring spiro- β -lactams by epoxide ring-opening

AUTHOR(S): Benfatti, Fides; Cardillo, Giuliana; Gentilucci, Luca; Tolomelli, Alessandra

CORPORATE SOURCE: Dipartimento di Chimica "G. Ciamician", Universita di Bologna, Bologna, 40126, Italy

SOURCE: European Journal of Organic Chemistry (2007), (19), 3199-3205

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:343929

AB A variety of hydroxy epoxides have been obtained from well defined hydroxy-alkenyl derivs. Their subsequent intramol. ring-opening allowed unprecedented classes of spiro-lactams to be obtained. The effect of the epoxide stereochem. and of the reaction temperature on the regioselective formation of five- or four-membered ring spiro derivs. was explored. This transformation is part of a program directed towards the synthesis of polyfunctionalized β -lactams as cholesterol absorption inhibitors (CAIs).

IT 948851-17-0P 948851-24-9P

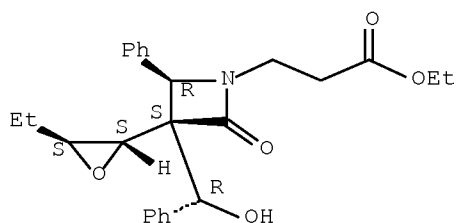
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective preparation of spirolactams via epoxidn. of alkenyllactams followed by regioselective ring-opening)

RN 948851-17-0 CAPLUS

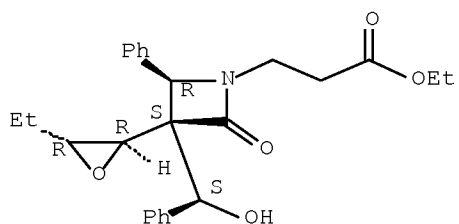
CN 1-Azetidinepropanoic acid, 3-[(2R,3R)-3-ethyl-2-oxiranyl]-3-[(S)-hydroxyphenylmethyl]-2-oxo-4-phenyl-, ethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 948851-24-9 CAPLUS
 CN 1-Azetidinepropanoic acid, 3-[(2R,3R)-3-ethyl-2-oxiranyl]-3-[(S)-hydroxyphenylmethyl]-2-oxo-4-phenyl-, ethyl ester, (3S,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

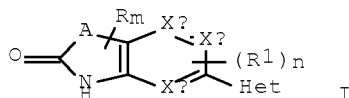
L7 ANSWER 40 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:755449 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 147:166327
 TITLE: Preparation of fused heterocycles as mineralocorticoid receptor antagonists
 INVENTOR(S): Fukumoto, Shoji; Matsunaga, Nobuyuki; Ohra, Taiichi; Ohyabu, Norio; Hasui, Tomoaki; Motoyaji, Takashi; Siedem, Christopher Stephen; Tang, Tony Pisal; Demeese, Lisa A.; Gauthier, Cassandra
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 533pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007077961	A2	20070712	WO 2006-JP326367	20061227
WO 2007077961	A3	20071122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,

MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-754416P P 20051228
 US 2006-818803P P 20060706
 OTHER SOURCE(S): MARPAT 147:166327
 GI



AB Title compds. [I; A = X1, X2, X3; X1, X2 = bond, CH2, CH, O, NH, N, S, SO,
 SO2; X3 = CH2, CH, O, NH, N, S, SO, SO2; R, R1 = halo, NO2, cyano,
 (substituted) alipharyl, OH, amino, CO2H, carbamoyl, SH, acyl; CRR = atoms to
 form a spiro ring; m = 0-4; n = 0-3; Xa, Xb, Xc = CH, N; Het = (substituted)
 pyridyl, pyrazolyl, imidazolyl, imidazopyridyl, etc.; with provisos], were
 prepared Thus, 6-[bromo(phenyl)acetyl]-2H-1,4-benzoxazin- 3(4H)-one and 4-
 amino-4H-1,2,4-triazole-3-thiol were refluxed together for 24 h in EtOH/PhMe
 to give 6-[7-phenyl-7H-[1,2,4]triazolo[3,4- b][1,3,4]thiadiazin-6-yl]-2H-1,4-
 benzoxazin-3(4H)-one. The latter and other I showed ≥70% MR antagonist
 activity at 10⁻⁵ M.

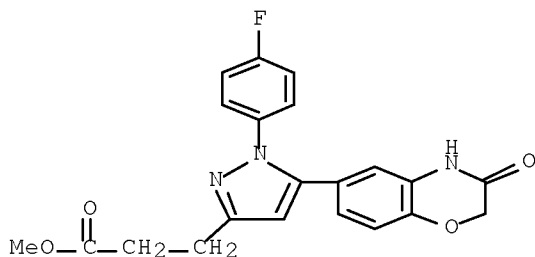
IT 943993-38-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of fused heterocycles as mineralocorticoid receptor
 antagonists)

RN 943993-38-2 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-
 1-(4-fluorophenyl)-, methyl ester (CA INDEX NAME)



ACCESSION NUMBER: 2007:733244 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:143460

TITLE: Substituted bis-amides as metalloprotease inhibitors and their preparation, pharmaceutical composition and use in the treatment of MMP-mediated diseases

INVENTOR(S): Sucholeiki, Irving; Powers, Timothy; Gege, Christian; Bluhm, Harald; Dodd, Rory; Deng, Hongbo; Wu, Xinyuan; Steeneck, Christoph

PATENT ASSIGNEE(S): Alantos Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 103pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070155739	A1	20070705	US 2006-646650	20061228
AU 2006332694	A1	20070712	AU 2006-332694	20061228
WO 2007079199	A2	20070712	WO 2006-US49521	20061228
WO 2007079199	A3	20070913		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

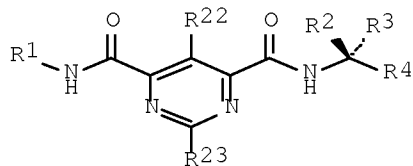
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-755539P P 20051230

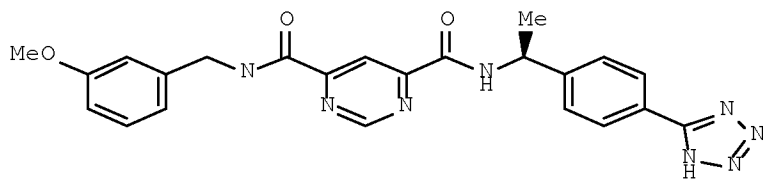
WO 2006-US49521 W 20061228

OTHER SOURCE(S): MARPAT 147:143460

GI



I



II

AB This invention relates to substituted bis-amide pyrimidine compds. of Formula I, which are useful for the treatment of metalloprotease mediated diseases, in particular MMP-13 related diseases. Compds. of formula I wherein R1 is H, alkyl, alkenyl, alkynyl, (hetero)cycloalkyl, etc.; R2 and R3 are independently H, (halo)alkyl, fluoroalkyl, cycloalkyl, alkenyl, etc.; R4 is alkyl, alkenyl, alkynyl, (hetero)cycloalkyl, bis(cycloalkyl), etc.; R22 and R23 are independently H, OH, halo, alkyl, cycloalkyl, alkoxy, alkenyl, alkynyl, NO2, etc.; and their N-oxides, pharmaceutically acceptable salts, prodrugs, formulations, polymorphs, racemic mixts. and stereoisomers thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their MMP-13 inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of < 10 nM.

IT 943727-88-6F

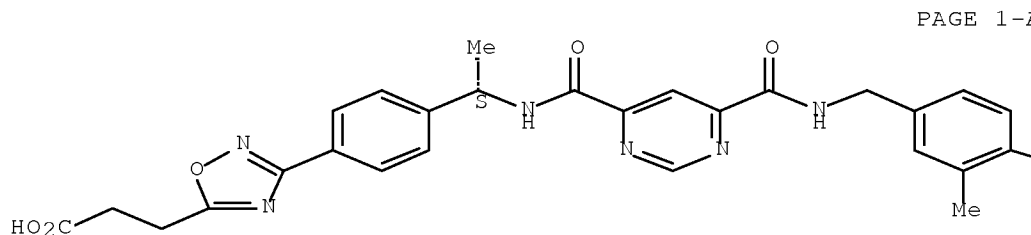
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of substituted bis-amides as metalloprotease inhibitors useful in the treatment of MMP-mediated diseases)

RN 943727-88-6 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[4-[(1S)-1-[[[6-[[[(4-fluoro-3-methylphenyl)methyl]amino]carbonyl]-4-pyrimidinyl]carbonyl]amino]ethyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

—F

L7 ANSWER 42 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:719564 CAPLUS Full-text

DOCUMENT NUMBER: 147:322889

TITLE: Microwave-assisted solid-phase synthesis of hydantoin derivatives

AUTHOR(S): Colacino, Evelina; Lamaty, Frederic; Martinez, Jean; Parrot, Isabelle
CORPORATE SOURCE: Institut des Biomolécules Max Mousseron, UMR 5247 CNRS, Universites Montpellier 1 et 2, Montpellier, 34095, Fr.
SOURCE: Tetrahedron Letters (2007), 48(30), 5317-5320
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:322889

AB A microwave-assisted synthesis of 3,5- and 1,3,5-substituted hydantoins starting from various resins for solid-phase combinatorial chemical has been developed. The hydantoins were synthesized from pre-loaded resins with amino acids via treatment with isocyanate or Ph isocyanate and subsequent intramol. cyclization. Both reactions were performed under microwave irradiation. The cyclative cleavage leading to hydantoin compds. was found to be dependent on the nature of the amino acid and the nucleofuge properties of the resin.

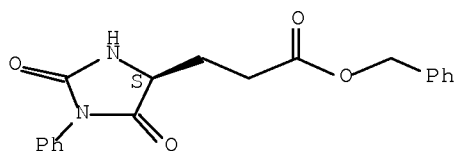
IT 947596-19-2P 947596-23-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(microwave-assisted solid-phase synthesis of hydantoins by addition of resin-bound amino acids to isocyanates followed by intramol. cyclization/cleavage)

RN 947596-19-2 CAPLUS

CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-, phenylmethyl ester, (4S)- (CA INDEX NAME)

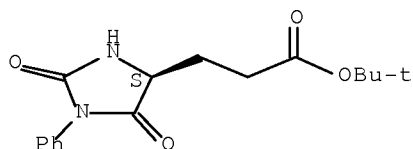
Absolute stereochemistry.



RN 947596-23-8 CAPLUS

CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-, 1,1-dimethylethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



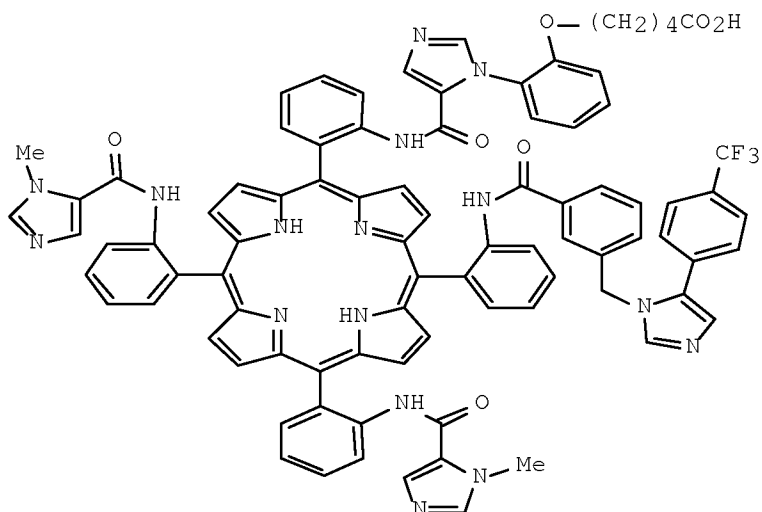
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:664086 CAPLUS Full-text

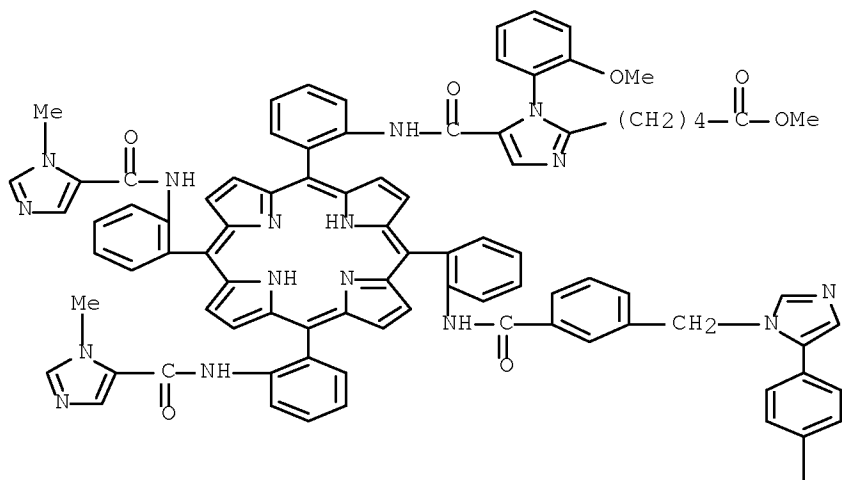
DOCUMENT NUMBER: 147:300884

TITLE: Synthesis of Nitric Oxide Reductase Active Site Models
 Bearing Key Components at Both Distal and Proximal
 Sites
 AUTHOR(S): Collman, James P.; Yang, Ying; Decreau, Richard A.
 CORPORATE SOURCE: Department of Chemistry, Stanford University,
 Stanford, CA, 94305-5080, USA
 SOURCE: Organic Letters (2007), 9(15), 2855-2858
 CODEN: ORLEF7; ISSN: 1523-7060
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 147:300884
 GI

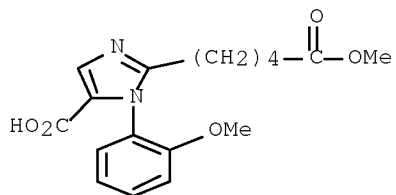


I

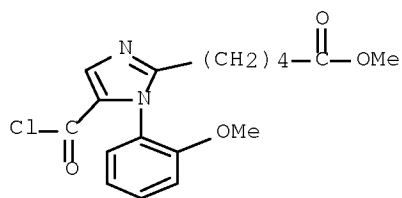
AB Porphyrins, e.g. I, were successfully synthesized from cis- α 2-bisimidazole- β -imidazole-tail porphyrins and two newly synthesized imidazole pickets containing an aliphatic ester chain following a [2+1] approach. The four compds. possess a distal trisimidazole set, a distal carboxylic acid, and a proximal imidazole, which constitute all the key features of the coordination environment of the active site in Bacterial Nitric Oxide Reductase (NOR) and make them the closest synthetic NOR model ligands to date.
 IT 946573-15-5P 946573-20-2P 946573-24-6P
 946573-31-5P 946573-36-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation tetrakisimidazole porphyrins as nitric oxide reductase active site models)
 RN 946573-15-5 CAPLUS
 CN 1H-Imidazole-2-pentanoic acid, 5-[[[2-[10,15-bis[2-[[[1-methyl-1H-imidazol-5-yl)carbonyl]amino]phenyl]-20-[2-[3-[5-[4-(trifluoromethyl)phenyl]-1H-imidazol-1-yl]methyl]benzoyl]amino]phenyl]-21H,23H-porphin-5-yl]phenyl]amino]carbonyl]-1-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 946573-20-2 CAPLUS
 CN 1H-Imidazole-2-pentanoic acid, 5-carboxy-1-(2-methoxyphenyl)-, 2-methyl ester (CA INDEX NAME)

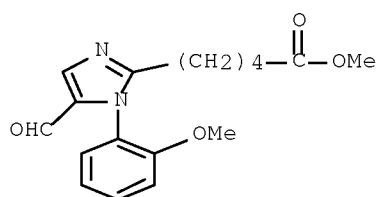


RN 946573-24-6 CAPLUS
 CN 1H-Imidazole-2-pentanoic acid, 5-(chlorocarbonyl)-1-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 946573-31-5 CAPLUS

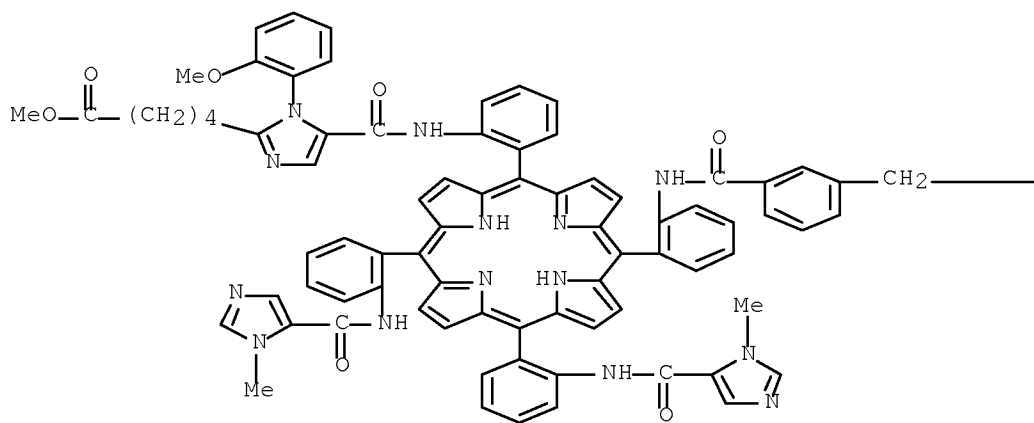
CN 1H-Imidazole-2-pentanoic acid, 5-formyl-1-(2-methoxyphenyl)-, methyl ester
(CA INDEX NAME)

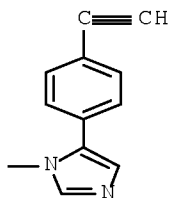


RN 946573-36-0 CAPLUS

CN 1H-Imidazole-2-pentanoic acid, 5-[[[2-[10-[2-[3-[5-(4-ethynylphenyl)-1H-imidazol-1-yl]methyl]benzoyl]amino]phenyl]-15,20-bis[2-[[1-methyl-1H-imidazol-5-yl]carbonyl]amino]phenyl]-21H,23H-porphin-5-yl]phenyl]amino]carbonyl]-1-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)

PAGE 1-A





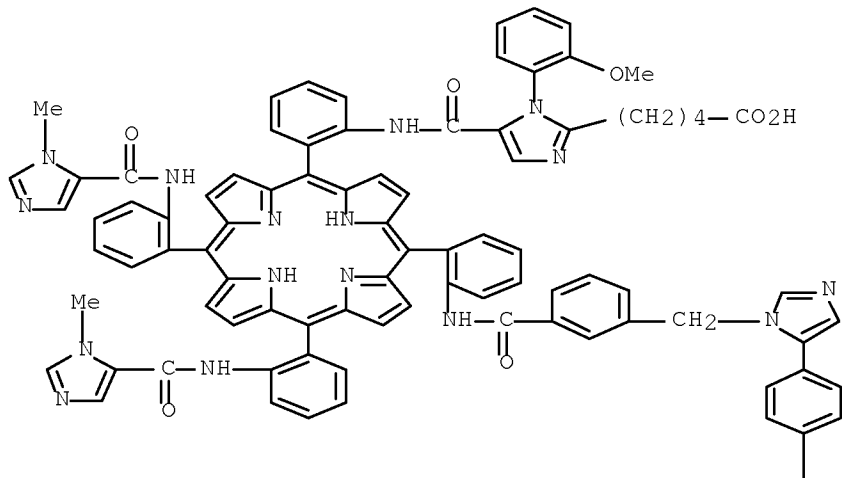
IT 946573-11-1P 946573-33-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation tetrakisimidazole porphyrins as nitric oxide reductase active site models)

RN 946573-11-1 CAPLUS

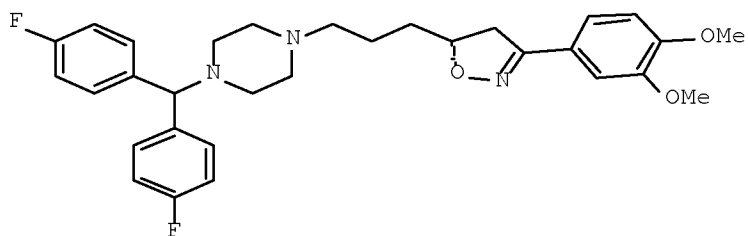
CN 1H-Imidazole-2-pentanoic acid, 5-[[[2-[10,15-bis[2-[[[1-methyl-1H-imidazol-5-yl]carbonyl]amino]phenyl]-20-[2-[[3-[[5-[4-(trifluoromethyl)phenyl]-1H-imidazol-1-yl]methyl]benzoyl]amino]phenyl]-21H,23H-porphin-5-yl]phenyl]amino]carbonyl]-1-(2-methoxyphenyl)- (CA INDEX NAME)



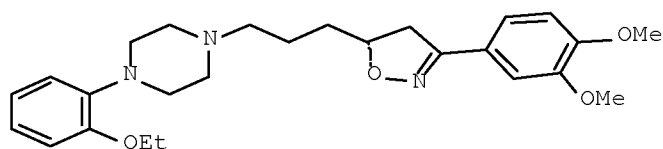
RN 946573-33-7 CAPLUS

CN 1H-Imidazole-2-pentanoic acid, 5-[[[2-[10-[2-[[3-[[5-(4-ethynylphenyl)-1H-imidazol-1-yl]methyl]benzoyl]amino]phenyl]-15,20-bis[2-[[[1-methyl-1H-imidazol-5-yl]carbonyl]amino]phenyl]-21H,23H-porphin-5-yl]phenyl]amino]carbonyl]-1-(2-methoxyphenyl)- (CA INDEX NAME)

L7 ANSWER 44 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:652006 CAPLUS Full-text
DOCUMENT NUMBER: 147:277560
TITLE: Asymmetric synthesis of chiral
piperazinylpropylisoxazoline ligands for dopamine
receptors
AUTHOR(S): Jung, Ji Young; Jung, Sun Ho; Koh, Hun Yeong
CORPORATE SOURCE: Department of Chemistry and Institute of Basic
Science, Sungshin Women's University, Seoul, 136-742,
S. Korea
SOURCE: European Journal of Medicinal Chemistry (2007), 42(7),
1044-1048
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:277560
GI



I



II

AB The asym. synthesis of chiral piperazinylpropylisoxazoline analogs, e.g., I and II, was accomplished through a seven-step sequence of reactions, which involved asym. 1,3-dipolar cycloaddn., alkyl chain extension, and reductive amination as key reactions. Chiral ligands I and II exhibited the higher binding affinity and selectivity for the D3 receptor over the D4 receptor than their enantiomers, resp.

IT 946168-14-5P 946168-15-6P

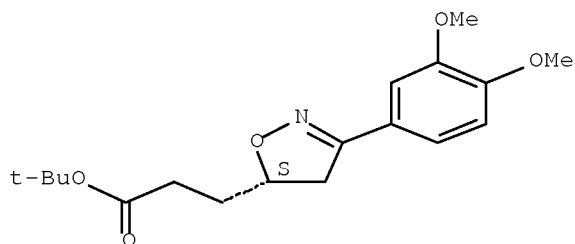
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective preparation and dopamine receptor binding affinity of (piperazinylpropyl)isoxazolines via triflation and nucleophilic substitution of isoxazolinemethanols followed by reduction, oxidation, and reductive amination)

RN 946168-14-5 CAPLUS

CN 5-Isoxazolepropanoic acid, 3-(3,4-dimethoxyphenyl)-4,5-dihydro-, 1,1-dimethylethyl ester, (5S)- (CA INDEX NAME)

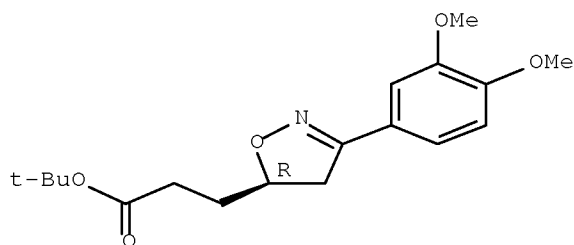
Absolute stereochemistry. Rotation (-).



RN 946168-15-6 CAPLUS

CN 5-Isoxazolepropanoic acid, 3-(3,4-dimethoxyphenyl)-4,5-dihydro-, 1,1-dimethylethyl ester, (5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 45 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:640534 CAPLUS Full-text

DOCUMENT NUMBER: 147:72763

TITLE: 1,1,3-Trioxo-1,2,5-thiadiazolidines as PTPases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of disease

INVENTOR(S): Barnes, David; Coppola, Gary Mark; Damon, Robert Edson; Nakajima, Katsumasa; Raudenbush, Brian Christopher; Stams, Travis; Topiol, Sidney Wolf; Vedananda, Thalaththani Ralalage

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 94pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

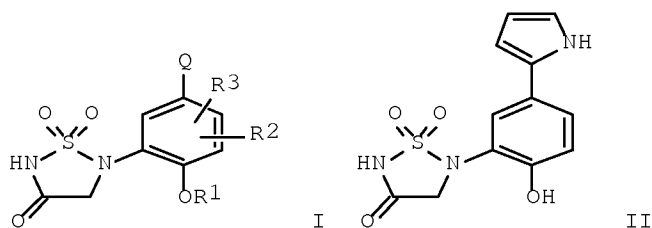
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007067614	A1	20070614	WO 2006-US46544	20061206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006321904	A1	20070614	AU 2006-321904	20061206
CA 2630448	A1	20070614	CA 2006-2630448	20061206
EP 1960377	A1	20080827	EP 2006-839093	20061206
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2008DN04703	A	20080815	IN 2008-DN4703	20080530
KR 2008074966	A	20080813	KR 2008-713698	20080605
PRIORITY APPLN. INFO.:			US 2005-748493P	P 20051208
			WO 2006-US46544	W 20061206

OTHER SOURCE(S): MARPAT 147:72763

GI



AB Compds. of formula I are inhibitors of protein tyrosine phosphatases (PTPases) and, thus, may be employed for the treatment of conditions mediated by PTPase activity. Compds. of formula I wherein Q is alkoxy, alkylthio, alkylthiono, sulfonyl, aryl, etc.; R1 is H, CHO, acyl, CONH2 and derivs., and CO2H and derivs.; R2 and R3 are independently H, halo, C1-3 alkyl and C1-3 alkoxy; and their pharmaceutically acceptable salts thereof, are claimed. The compds. of the invention may also be employed as inhibitors of other enzymes characterized with a phosphotyrosine binding region such as the SH2 domain. Accordingly, the compds. of formula I may be employed for prevention and/or treatment of insulin resistance associated with obesity, glucose intolerance, diabetes mellitus, hypertension and ischemic diseases of the large and small blood vessels, conditions that accompany type-2 diabetes, including hyperlipidemia, hypertriglyceridemia, atherosclerosis, vascular restenosis, irritable bowel syndrome, pancreatitis, adipose cell tumors and carcinomas such as liposarcoma, dyslipidemia, and other disorders where insulin resistance is indicated. In addition, the compds. of the invention may be employed to treat and/or prevent cancer, osteoporosis, neurodegenerative and infectious diseases, and diseases involving inflammation and the immune system. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their PTPase inhibitory activity.

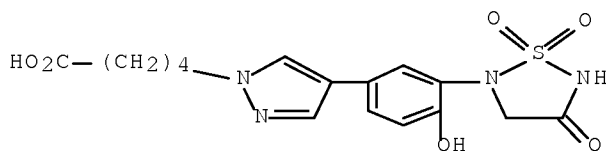
IT 941310-07-2P 941310-13-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of trioxothiadiazolidines as PTPases inhibitors and use in treatment of disease)

RN 941310-07-2 CAPLUS

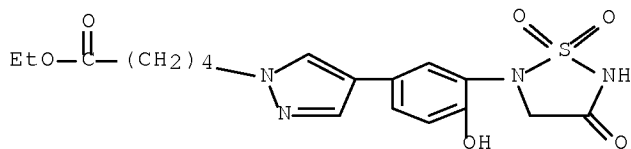
CN 1H-Pyrazole-1-pentanoic acid, 4-[3-(1,1-dioxido-4-oxo-1,2,5-thiadiazolidin-2-yl)-4-hydroxyphenyl]- (CA INDEX NAME)



RN 941310-13-0 CAPLUS

CN 1H-Pyrazole-1-pentanoic acid, 4-[3-(1,1-dioxido-4-oxo-1,2,5-thiadiazolidin-

2-yl)-4-hydroxyphenyl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 46 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:636437 CAPLUS Full-text
DOCUMENT NUMBER: 148:355672
TITLE: A microwave-enhanced, lewis acid-catalyzed synthesis of 1,3-dioxolanes and oxazolines from epoxides
AUTHOR(S): Benfatti, Fides; Cardillo, Giuliana; Gentilucci, Luca; Tolomelli, Alessandra; Monari, Magda; Piccinelli, Fabio
CORPORATE SOURCE: Department of Chemistry "G. Ciamician", University of Bologna, Bologna, Italy
SOURCE: Advanced Synthesis & Catalysis (2007), 349(7), 1256-1264
CODEN: ASCAF7; ISSN: 1615-4150
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A fast and highly regio- and stereoselective transformation of non-conventional β -lactam-containing epoxides into the corresponding cyclic 1,3-dioxolanes and oxazolines is herein reported, using microwave irradiation as an efficient source of energy, in the presence of stoichiometric or catalytic amts. of Lewis acids, without an addnl. solvent. These cyclic compds. are the protected forms of diols and amino alcs. For example, β -lactam-containing epoxides [I; R = CH₂Ph, (S)-CH(Me)Ph, CH₂CH₂CO₂Et] and (II; R = same as above) were treated with cyclopentanone in the presence of BF₃.OEt₂, In(OTf)₃ (best catalyst), or Cu(BF₄).H₂O under microwave irradiation to give spiroketal-containing β -lactams (III) or (IV; R = same as above) in 50-90% yields. Acetonitrile or benzonitrile was treated with I or II (R = benzyl) in the presence of BF₃.OEt₂ in CH₂Cl₂ under microwave irradiation to give oxazoline-containing β -lactams (V) or (VI; R = same as above) in 65-72% yields.

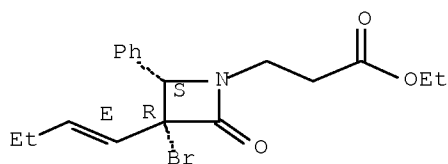
IT 1012343-11-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(microwave-enhanced, lewis acid-catalyzed synthesis of 1,3-dioxolanes and oxazolines from epoxides by cycloaddn. with cyclopentanone or nitriles)

RN 1012343-11-1 CAPLUS

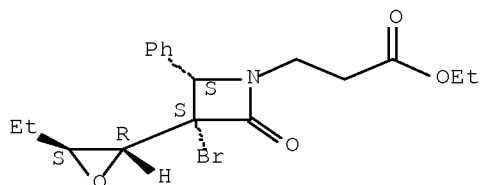
CN 1-Azetidinepropanoic acid, 3-bromo-3-(1E)-1-buten-1-yl-2-oxo-4-phenyl-, ethyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



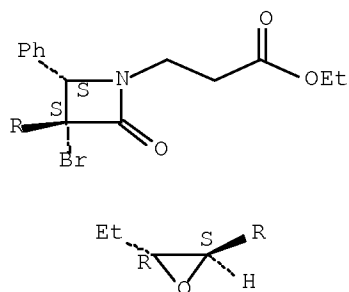
IT 1012343-13-3P 1012343-15-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (microwave-enhanced, lewis acid-catalyzed synthesis of 1,3-dioxolanes
 and oxazolines from epoxides by cycloaddn. with cyclopentanone or
 nitriles)
 RN 1012343-13-3 CAPLUS
 CN 1-Azetidinepropanoic acid, 3-bromo-3-[(2R,3S)-3-ethyl-2-oxiranyl]-2-oxo-4-
 phenyl-, ethyl ester, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1012343-15-5 CAPLUS
 CN 1-Azetidinepropanoic acid, 3-bromo-3-[(2S,3R)-3-ethyl-2-oxiranyl]-2-oxo-4-
 phenyl-, ethyl ester, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



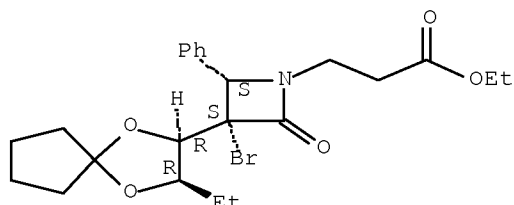
IT 1012343-18-8P 1012343-21-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)

(microwave-enhanced, lewis acid-catalyzed synthesis of 1,3-dioxolanes and oxazolines from epoxides by cycloaddn. with cyclopentanone or nitriles)

RN 1012343-18-8 CAPLUS

CN 1-Azetidinepropanoic acid, 3-bromo-3-[(2R,3R)-3-ethyl-1,4-dioxaspiro[4.4]non-2-yl]-2-oxo-4-phenyl-, ethyl ester, (3S,4S)- (CA INDEX NAME)

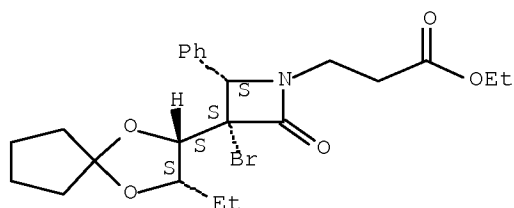
Absolute stereochemistry.



RN 1012343-21-3 CAPLUS

CN 1-Azetidinepropanoic acid, 3-bromo-3-[(2S,3S)-3-ethyl-1,4-dioxaspiro[4.4]non-2-yl]-2-oxo-4-phenyl-, ethyl ester, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 47 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:602596 CAPLUS Full-text

DOCUMENT NUMBER: 147:180529

TITLE: Novel inhibitors of fatty acid amide hydrolase

AUTHOR(S): Sit, S. Y.; Conway, Charlie; Bertekap, Robert; Xie, Kai; Bourin, Clotilde; Burris, Kevin; Deng, Hongfeng

CORPORATE SOURCE: Department of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492-7660, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(12), 3287-3291

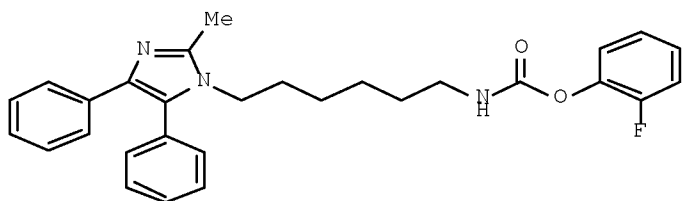
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB A class of bisarylimidazole derivs. are identified as potent inhibitors of the enzyme fatty acid amide hydrolase (FAAH). Compound (I) ($IC_{50} = 2$ nM) dose-dependently (0.1-10 mg/kg, iv) potentiates the effects of exogenous anandamide (1 mg/kg, iv) in a rat thermal escape test (Hargreaves test), and shows robust antinociceptive activity in animal models of persistent (formalin test) and neuropathic (Chung model) pain. I (20 mg/kg, iv) demonstrates activity in the formalin test that is comparable to morphine (3 mg/kg, iv), and is dose-dependently inhibited by the CB1 antagonist SR141716A. In the Chung model, I shows antineuropathic effects similar to high-dose (100 mg/kg) gabapentin. FAAH inhibition shows potential utility for the clin. treatment of persistent and neuropathic pain.

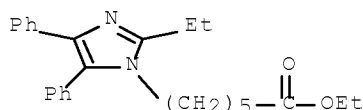
IT 944324-68-9F 944324-69-0F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relations of fatty acid amide hydrolase inhibitors)

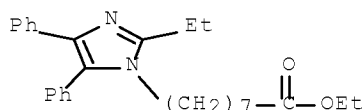
RN 944324-68-9 CAPLUS

CN 1H-Imidazole-1-hexanoic acid, 2-ethyl-4,5-diphenyl-, ethyl ester (CA INDEX NAME)



RN 944324-69-0 CAPLUS

CN 1H-Imidazole-1-octanoic acid, 2-ethyl-4,5-diphenyl-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

36

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 48 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:590844 CAPLUS Full-text

DOCUMENT NUMBER: 147:30948

TITLE: Preparation of 2-hydroxy-1,3-diaminoalkanes including
spiro substituted chroman derivatives as

INVENTOR(S): β -secretase modulators and their use for
treatment Alzheimer's disease and related condition
Albrecht, Brian K.; Andersen, Denise Lyn; Bartberger,
Michael; Brown, James; Brown, Ryan; Chaffee, Stuart
C.; Cheng, Yuan; Croghan, Michael; Graceffa, Russell;
Harried, Scott; Hitchcock, Stephen; Hungate, Randall;
Judd, Ted; Kaller, Matthew; Kreiman, Charles; La,
Daniel; Lopez, Patricia; Masse, Craig E.; Monenschein,
Holger; Nguyen, Thomas; Nixey, Thomas; Patel, Vinod
F.; Pennington, Lewis; Weiss, Matthew; Xue, Qiufen;
Yang, Bryant; Zhong, Wenge

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 269pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

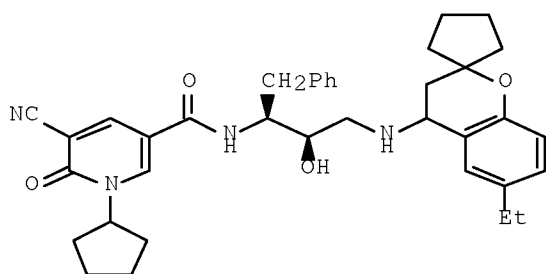
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007062007	A1	20070531	WO 2006-US45004	20061120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070185103	A1	20070809	US 2006-600264	20061114
AU 2006318640	A1	20070531	AU 2006-318640	20061120
EP 1954693	A1	20080813	EP 2006-838143	20061120
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: US 2005-738767P P 20051121
US 2006-600264 A 20061114
WO 2006-US45004 W 20061120

OTHER SOURCE(S): MARPAT 147:30948

GI



II

AB The present invention is related to compds. of formula
 ANHCH(B)CH(OH)(CR₃R₃)_nNR₄(CH₂)_mR₅ [I; A = COR₁, COOR₁, CONHR₁, SOR₁, SO₂R₁,
 SONHR₁, SO₂NHR₁; R₁ = partially or fully saturated (un)substituted 3-8
 membered monocyclcyl, 6-12 membered bicyclcyl, 7-14 membered tricyclcyl,
 optionally containing at least one heteroatom; B = (CH₂)_qR₂ and derivs.,
 (CH₂)_qOR₂ and derivs., (CH₂)_qSR₂ and derivs., (CH₂)_qNHR₂ and derivs.; R₂ = R₁,
 alk(en/yn)yl, haloalkyl; q = 0-3; n = 1-3; m = 0-2; each R₃, R₄ =
 independently H, haloalkyl, alkynyl, etc.; R₅ = 2,2-spirocycloalkylchroman- 4-
 yl, 2,2-spirocycloalkylpyrano[2,3-b]pyridin-4-yl, 3,4- dihydrospiro[chromene-
 2,1'-cycloalkane], etc.; with provisos], their stereoisomers, tautomers,
 solvates, pharmaceutically acceptable salts, derivs., and prodrugs, and to
 their pharmaceutical compns. useful for the modulation of β -secretase enzyme
 activity and for the treatment of β -secretase mediated diseases, including
 Alzheimer's disease and related conditions. Thus, cyanation of Me 5-bromo-1-
 cyclopentyl-6-oxo-1,6- dihydropyridine-3-carboxylate with ZnCN, saponification
 of the Me ester, and amidation of the acid with (2S,3R)-3-amino-1-[(6-ethyl-
 2,2- spirocyclopentylchroman-4-yl)amino]-4-phenylbuta n-2-ol hydrochloride
 gave the spiro cyclopentyl substituted chroman II. I displayed an IC₅₀ < 5 μ M
 in both an in vitro enzymic BACE FRET assay and in a BACE cell-based assay.

IT 939411-84-4P

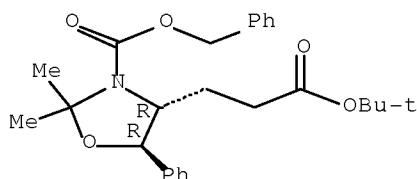
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(intermediate; preparation of 2-hydroxy-1,3-diaminoalkanes including spiro
 substituted chroman derivs. as β -secretase modulators)

RN 939411-84-4 CAPLUS

CN 4-Oxazolidinepropanoic acid, 2,2-dimethyl-5-phenyl-3-
 [(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester, (4R,5R)- (CA INDEX
 NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 49 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:590013 CAPLUS Full-text

DOCUMENT NUMBER: 147:180522

TITLE: 3D-QSAR studies on malonyl coenzyme A decarboxylase inhibitors

AUTHOR(S): Patel, Maulik R.; Talele, Tanaji T.

CORPORATE SOURCE: Department of Pharmaceutical Sciences, College of Pharmacy & Allied Health Professions, St. John's University, Jamaica, NY, 11439, USA

SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(13), 4470-4481

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Comparative mol. field anal. (CoMFA) and comparative mol. similarity indexes anal. (CoMSIA) were performed on a series of Malonyl Co-A decarboxylase (MCD) inhibitors. These inhibitors have shown protective action on the ischemic heart by inhibiting fatty acid oxidation. The CoMFA model produced statistically significant results, with the cross-validated and conventional correlation coeffs. being 0.544 and 0.986, resp. The best results were obtained by combining steric, electrostatic, hydrophobic, and H-bond acceptor fields in CoMSIA, in which case the resp. cross-validated and conventional correlation coeffs. were 0.551 and 0.918. The predictive ability of CoMFA and CoMSIA, determined using a test set of 24 compds., gave predictive correlation coeffs. of 0.718 and 0.725, resp. The information obtained from CoMFA and CoMSIA 3D contour maps may be of utility in the design of more potent MCD inhibitors.

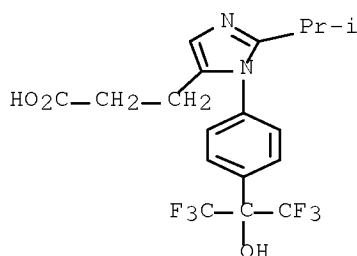
IT 876143-17-8 876143-19-0

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(QSAR studies on malonyl CoA decarboxylase inhibitors)

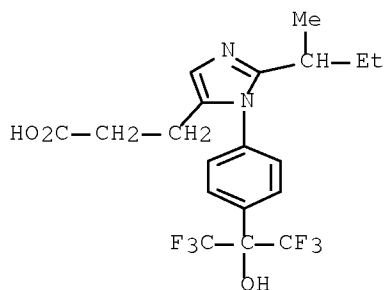
RN 876143-17-8 CAPLUS

CN 1H-Imidazole-5-propanoic acid, 2-(1-methylethyl)-1-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)



RN 876143-19-0 CAPLUS

CN 1H-Imidazole-5-propanoic acid, 2-(1-methylpropyl)-1-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 50 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:574848 CAPLUS Full-text

DOCUMENT NUMBER: 148:379958

TITLE: β -Lactam derivatives as enzyme inhibitors:
peptidic derivatives of (RS)-2-oxo-4-phenylazetidine-1-
alkanoic acids

AUTHOR(S): Elriati, Ali; Achilles, Karin; Loose, Jutta; Otto,
Hans-Hartwig

CORPORATE SOURCE: Department of Pharmaceutical/Medicinal Chemistry
(PMC), Institute of Pharmacy, Ernst-Moritz-Arndt-
University Greifswald, Greifswald, Germany

SOURCE: Monatshefte fuer Chemie (2007), 138(6), 627-634
CODEN: MOCMB7; ISSN: 0026-9247

PUBLISHER: Springer Wien

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:379958

AB 4-Phenyl-2-azetidinone was transformed into 4-phenyl-1-azetidinealkanoic acids, which were treated in the presence of di-Ph phosphoroazidate with amino acid esters and dipeptide esters yielding β -lactam peptides with different spacers between the lactam ring and the peptide moiety. All structures were established by elementary analyses, HPLC, optical rotation, and spectroscopic data and all new compds. were tested as inhibitors of PPE using standard procedures. Four compds. exhibited a weak activity compared with the standard inhibitor trifluoroacetyl-L-val-L-tyr-L-val.

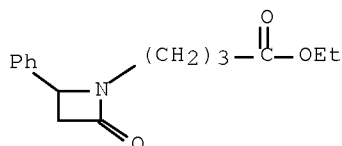
IT 1013917-80-0P 1013917-81-1P 1013917-83-3P
1013917-84-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

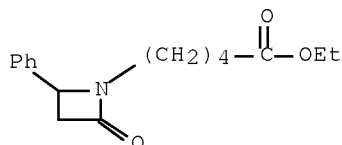
(preparation of [[oxo(phenyl)azetidiny]](oxo)alkyl]amino acid and
[[oxo(phenyl)azetidiny]](oxo)alkyl]dipeptide derivs.)

RN 1013917-80-0 CAPLUS

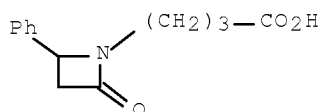
CN 1-Azetidinebutanoic acid, 2-oxo-4-phenyl-, ethyl ester (CA INDEX NAME)



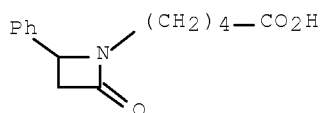
RN 1013917-81-1 CAPLUS
CN 1-Azetidinepentanoic acid, 2-oxo-4-phenyl-, ethyl ester (CA INDEX NAME)



RN 1013917-83-3 CAPLUS
CN 1-Azetidinebutanoic acid, 2-oxo-4-phenyl- (CA INDEX NAME)



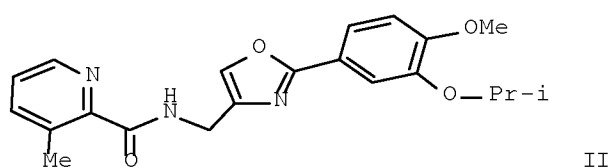
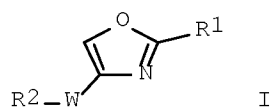
RN 1013917-84-4 CAPLUS
CN 1-Azetidinepentanoic acid, 2-oxo-4-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:565106 CAPLUS Full-text
DOCUMENT NUMBER: 147:9891
TITLE: Preparation of oxazole compounds as phosphodiesterase inhibitors and/or tumor necrosis factor- α production inhibitors
INVENTOR(S): Okada, Minoru; Kato, Masaya; Sato, Norifumi; Uno, Tetsuyuki; Kitagaki, Hideki; Haruta, Junpei; Hiyama, Hidetaka; Shibata, Tomonori
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007058338	A2	20070524	WO 2006-JP323066	20061114
WO 2007058338	A3	20070719		
WO 2007058338	A9	20071101		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006316079	A1	20070524	AU 2006-316079	20061114
CA 2627541	A1	20070524	CA 2006-2627541	20061114
EP 1954684	A2	20080813	EP 2006-823467	20061114
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2008DN04398	A	20080815	IN 2008-DN4398	20080523
KR 2008073337	A	20080808	KR 2008-714483	20080613
PRIORITY APPLN. INFO.:			JP 2005-330590	A 20051115
			WO 2006-JP323066	W 20061114
OTHER SOURCE(S):		MARPAT 147:9891		
GI				



AB Oxazole compds. I, wherein R1 is an aryl group which may have one or more substituents; R2 is an aryl group or a nitrogen atom-containing heterocyclic group each of which may have one or more substituents; and W is a divalent group represented by -Y1-A1- or -Y2-C(=O)- wherein Y1 is a group such as -C(=O)-, A1 is a group such as a lower alkylene group, and Y2 is a group such as a piperazinediyl group were prepared and tested as phosphodiesterase inhibitors and for treating or preventing atopic dermatitis. Thus, oxazole compound II was prepared and showed specific inhibitory action against phosphodiesterase 4 (IC₅₀ < 50 nM) and/or tumor necrosis factor- α production inhibitor.

IT 937782-76-8P 937782-77-9P 937782-78-0P

937782-81-5P 937782-89-3P 937782-90-6P

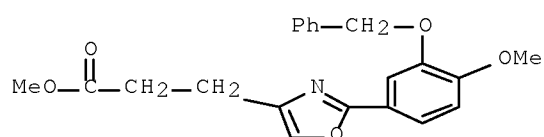
937782-91-7P 937783-01-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of oxazole compds. as phosphodiesterase inhibitors and/or tumor necrosis factor- α production inhibitors)

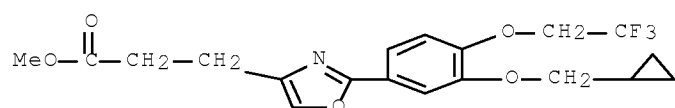
RN 937782-76-8 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[4-methoxy-3-(phenylmethoxy)phenyl]-, methyl ester (CA INDEX NAME)



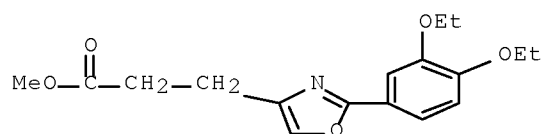
RN 937782-77-9 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[3-(cyclopropylmethoxy)-4-(2,2,2-trifluoroethoxy)phenyl]-, methyl ester (CA INDEX NAME)



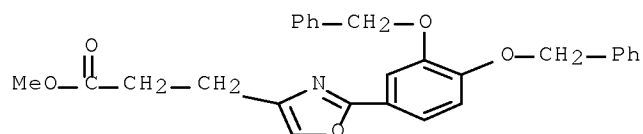
RN 937782-78-0 CAPLUS

CN 4-Oxazolepropanoic acid, 2-(3,4-diethoxyphenyl)-, methyl ester (CA INDEX NAME)



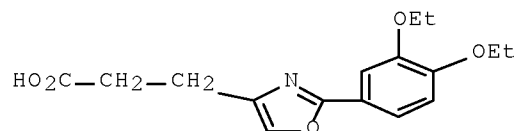
RN 937782-81-5 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[3,4-bis(phenylmethoxy)phenyl]-, methyl ester (CA INDEX NAME)



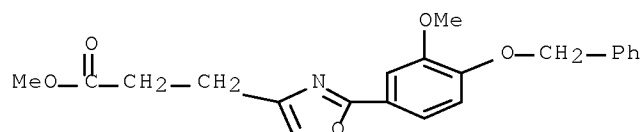
RN 937782-89-3 CAPLUS

CN 4-Oxazolepropanoic acid, 2-(3,4-diethoxyphenyl)- (CA INDEX NAME)



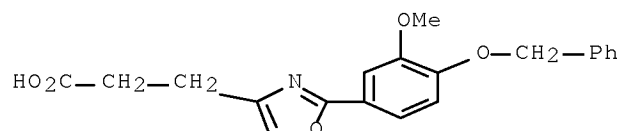
RN 937782-90-6 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[3-methoxy-4-(phenylmethoxy)phenyl]-, methyl ester (CA INDEX NAME)



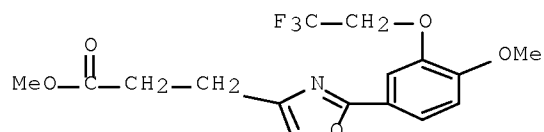
RN 937782-91-7 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[3-methoxy-4-(phenylmethoxy)phenyl]- (CA INDEX NAME)



RN 937783-01-2 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[4-methoxy-3-(2,2,2-trifluoroethoxy)phenyl]-, methyl ester (CA INDEX NAME)



IT 937783-09-0P 937783-11-4P

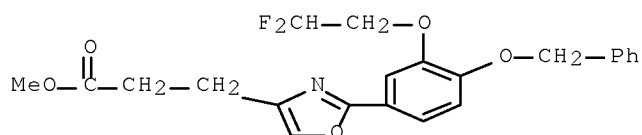
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazole compds. as phosphodiesterase inhibitors and/or tumor

necrosis factor- α production inhibitors)

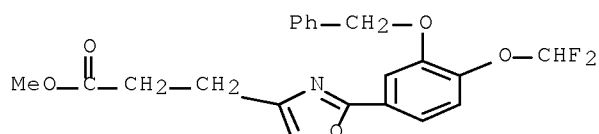
RN 937783-09-0 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[3-(2,2-difluoroethoxy)-4-(phenylmethoxy)phenyl]-, methyl ester (CA INDEX NAME)



RN 937783-11-4 CAPLUS

CN 4-Oxazolepropanoic acid, 2-[4-(difluoromethoxy)-3-(phenylmethoxy)phenyl]-, methyl ester (CA INDEX NAME)



L7 ANSWER 52 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:538695 CAPLUS Full-text

DOCUMENT NUMBER: 146:521789

TITLE: Oxazoles and thiazoles as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Epple, Robert; Cow, Christopher; Azimioara, Mihai; Russo, Ross; Xie, Yongping; Wang, Xing

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 139pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056366	A2	20070518	WO 2006-US43342	20061107
WO 2007056366	A3	20070705		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,

MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 AU 2006311675 A1 20070518 AU 2006-311675 20061107
 CA 2626483 A1 20070518 CA 2006-2626483 20061107
 EP 1945620 A2 20080723 EP 2006-837062 20061107
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 IN 2008DN03365 A 20080704 IN 2008-DN3365 20080423
 KR 2008059635 A 20080630 KR 2008-710914 20080506
 PRIORITY APPLN. INFO.: US 2005-734683P P 20051107
 WO 2006-US43342 W 20061107
 OTHER SOURCE(S): MARPAT 146:521789
 GI

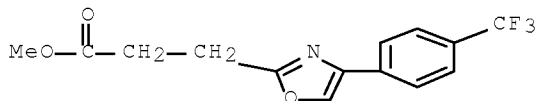
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazoles and thiazoles of formula I, which modulate the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPAR δ . In compds. I, W is O or S; R1 is -L1-X-C(R7R8)-L2-CO2R9; L1 and L2 are independently a bond or C1-4 alkylene; X is a bond, O, or S; R7 and R8 are independently H, C1-4 alkyl, or C1-4 alkoxy; R9 is H or C1-6 alkyl; p is 0-3; each R2 is independently selected from halo, C1-6 alkyl, C2-6 alkenyl, C1-4 alkoxy, C1-4 alkylthio, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; n is 0-3; R3 and R4 are independently H or C1-6 alkyl; R5 and R6 are independently selected from H, C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl; Y is O, S, NR10, or CR10R11; Z is ClOR11 or S; and R10 and R11 are independently selected from H and C1-6 alkyl; including salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with one or more pharmaceutically acceptable excipients, optionally containing another active ingredient selected from antidiabetic agents, hypolipidemic agents, antiobesity agents, antihypertensive agents, etc., as well as to the use of the compns. for the treatment or prevention of disease or disorders associated with PPAR activity. Regioselective acetylation of Et (2-methylphenoxy)acetate followed by Baeyer-Villiger oxidation, methanolysis, and regioselective bromination gave phenoxyacetate II, which underwent O-silylation, Suzuki coupling with cyclopropylboronic acid, and desilylation resulting in the formation of cyclopropylphenoxyacetate III. Substitution of 3-chloropropanol with potassium cyanide followed by sulfolysis to the thioamide and heterocyclization with 2-bromo-1-(4-trifluoromethylphenyl)ethanone formed thiazole IV, which was coupled to III under Mitsunobu conditions and hydrolyzed to give thiazole V. The compds. of the invention, e.g., V, are modulators of PPAR, particularly PPAR δ (no data).
 IT 936850-80-SP, 3-[4-(4-Trifluoromethylphenyl)oxazol-2-yl]propionic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of oxazole and thiazole compds. as PPAR modulators)

RN 936850-80-5 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)



L7 ANSWER 53 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:526708 CAPLUS Full-text

DOCUMENT NUMBER: 147:174454

TITLE: Surface-modified nanoparticles via thermal and Cu(i)-mediated "click" chemistry: Generation of luminescent CdSe nanoparticles with polar ligands guiding supramolecular recognition

AUTHOR(S): Binder, Wolfgang H.; Sachsenhofer, Robert; Straif, Christoph J.; Zirbs, Ronald

CORPORATE SOURCE: Macromolecular Chemistry, Martin-Luther Universitaet Halle-Wittenberg, Halle (Saale), Germany

SOURCE: Journal of Materials Chemistry (2007), 17(20), 2125-2132

CODEN: JMACEP; ISSN: 0959-9428

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new, simple and highly versatile method for the surface modification of luminescent cadmium selenide nanoparticles (CdSe NPs) based on 1,3-dipolar cycloaddn. reactions is described. Uniform, trioctylphosphine oxide (TOPO)-covered CdSe NPs were prepared and subjected to two ligand-exchange reactions: first, ligand exchange was accomplished with pyridine, fully removing the TOPO ligand from the CdSe surface. In a second step, either 1-[(3-azidopropyl)octylphosphinoyl]octane or hex-5-ynoic acid 3-(dioctylphosphinoyl)propyl ester were added, attaching an azido or an acetylene moiety to the NP surface. Further thermal or Cu(i)-mediated 1,3-dipolar cycloaddn. reactions on the residual azido/acetylene moieties with a variety of acetylenes/azides furnished the modified CdSe NPs with supramol. receptors (i.e. barbituric acid, thymine, oligoethyleneglycol) on their surface. Photoluminescence measurements reveal a .apprx.50% residual quantum yield (relative to TOPO-covered CdSe NPs) after ligand modification, thus presenting an efficient pathway towards luminescent, surface modified CdSe NPs. The presence of the different functional groups was proven by 1H-NMR, 31P-NMR spectroscopy and by use of a nanoparticle-bound spiropyran dye and subsequent fluorescence quenching expts. In order to further exploit the ligands on the CdSe NP surfaces, supramol. recognition via binding to self-assembled monolayers (SAMs) presenting the matching receptor was investigated, leading to dense layers of CdSe NPs on planar surfaces as verified by AFM measurements. The concept offers a simple method for guiding the binding and recognition of luminescent CdSe NPs and related NPs onto surfaces.

IT 943855-94-5P

RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

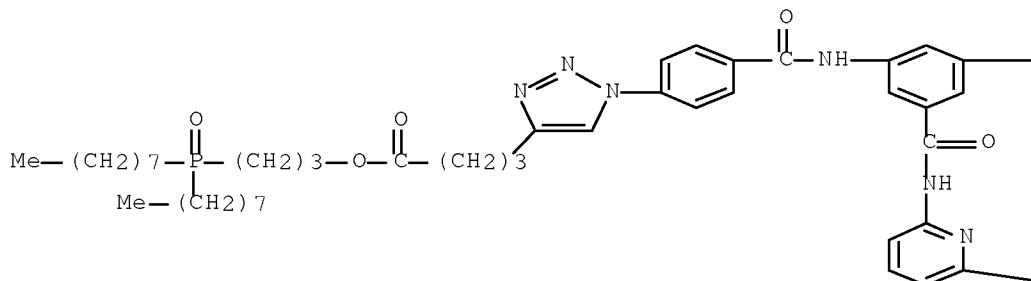
(preparation of luminescent cadmium selenide nanoparticle with polar

ligand)

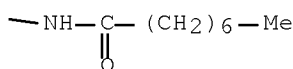
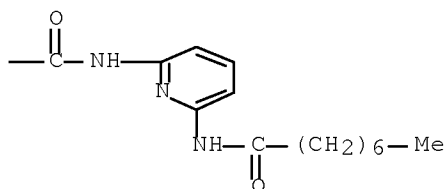
RN 943855-94-5 CAPLUS

CN 1H-1,2,3-Triazole-4-butanoic acid, 1-[4-[[[3,5-bis[[[6-[(1-oxooctyl)amino]-2-pyridinyl]amino]carbonyl]phenyl]amino]carbonyl]phenyl]-, 3-(diocetylphosphinyl)propyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 54 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:504000 CAPLUS Full-text

DOCUMENT NUMBER: 148:426821

TITLE: Reaction of imino alcohols with esters of acrylic acid

AUTHOR(S): Kon'kova, S. G.; Khachatryan, A. Kh.; Badasyan, A. E.; Kinoyan, F. S.; Sargsyan, M. S.

CORPORATE SOURCE: Inst. Org. Khim., NAN Resp. Armeniya, Yerevan, Armenia

SOURCE: Hayastani Kimiakan Handes (2007), 60(1), 78-82

CODEN: KZARF3; ISSN: 1561-4190

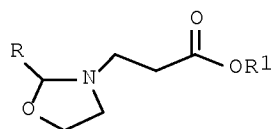
PUBLISHER: Izdatel'stvo Gitutyun NAN Respubliki Armenii

DOCUMENT TYPE: Journal

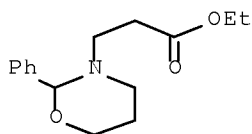
LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 148:426821

GI



I



II

AB Refluxing imino alcs. $\text{RCH:N}(\text{CH}_2)_n\text{OH}$ ($\text{R} = \text{Ph}$, $n = 2, 3$; $\text{R} = 4\text{-O}_2\text{NC}_6\text{H}_4$, $n = 2$) for 8 h with acrylate esters $\text{CH}_2\text{:CHCO}_2\text{R}_1$ ($\text{R}_1 = \text{Me, Et, Bu}$) in the corresponding alc. R_1OH (same R_1) containing hydroquinone stabilizer gave 44.2-56.4% 1,3-oxazolidine derivs. I (same R, R_1) or 54% tetrahydro-1,3-oxazine II, resp. The imino alcs. are able to form ring-form tautomers, which participate in the regioselective cycloaddn. reaction with acrylate esters.

IT 1018418-30-8P 1018418-37-5P 1018418-44-4P
1018418-58-0P

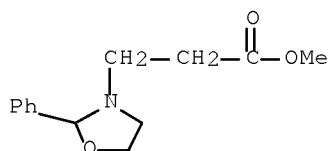
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 1,3-oxazolidines or tetrahydro-1,3-oxazine by regioselective

cycloaddn. reaction of imino alcs. with acrylate esters)

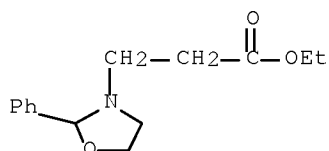
RN 1018418-30-8 CAPLUS

CN 3-Oxazolidinepropanoic acid, 2-phenyl-, methyl ester (CA INDEX NAME)



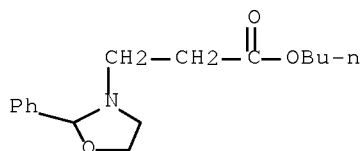
RN 1018418-37-5 CAPLUS

CN 3-Oxazolidinepropanoic acid, 2-phenyl-, ethyl ester (CA INDEX NAME)

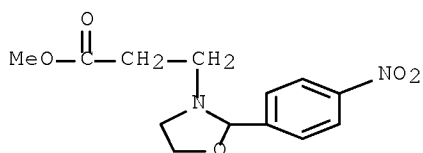


RN 1018418-44-4 CAPLUS

CN 3-Oxazolidinepropanoic acid, 2-phenyl-, butyl ester (CA INDEX NAME)



RN 1018418-58-0 CAPLUS
 CN 3-Oxazolidinopropanoic acid, 2-(4-nitrophenyl)-, methyl ester (CA INDEX NAME)



L7 ANSWER 55 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:464375 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 146:462257
 TITLE: Preparation of imidazolidinediones and phenylacrylamides as inhibitors of viral replication
 INVENTOR(S): Beigelman, Leonid; Andrews, Steven W.; Condroski, Kevin R.; Gunawaradana, Indrani; Haas, Julia
 PATENT ASSIGNEE(S): Intermune, Inc., USA; Array Biopharma, Inc.
 SOURCE: PCT Int. Appl., 137pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007047146	A2	20070426	WO 2006-US39044	20061010
WO 2007047146	A3	20071101		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006303955	A1	20070426	AU 2006-303955	20061010
CA 2624166	A1	20070426	CA 2006-2624166	20061010
EP 1943228	A2	20080716	EP 2006-816360	20061010
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, RS

IN 2008DN03749

A

20080815

IN 2008-DN3749

20080501

KR 2008066949

A

20080717

KR 2008-711224

20080509

PRIORITY APPLN. INFO.:

US 2005-725584P

P

20051011

WO 2006-US39044

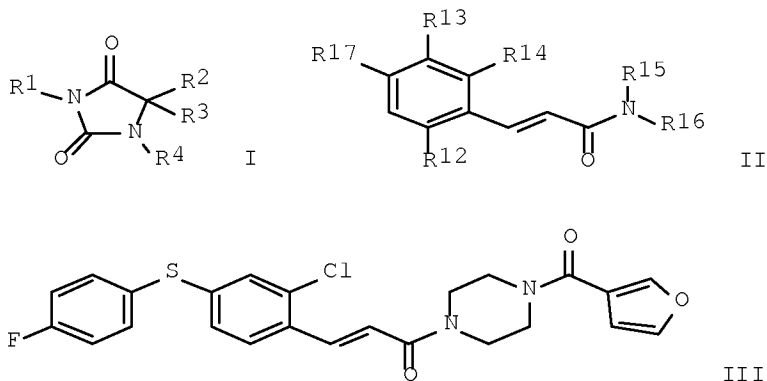
W

20061010

OTHER SOURCE(S):

MARPAT 146:462257

GI



AB The title compds. I [R1 = (un)substituted aryl, heterocyclyl, arylalkyl or heterocyclylalkyl; R2-R4 = H, alkyl, cycloalkyl, aryl, etc.] and II [R12-R14, R17 = H, alkyl, cycloalkyl, aryl, etc.; R15, R16 = H, alkyl, cycloalkyl, aryl, etc.; or NR15R16 = (un)substituted 3-7 membered ring], useful for treating hepatitis C virus infection, were prepared Thus, coupling (E)-3-[2-chloro-4-(4-fluorophenylthio)phenyl]acrylic acid with (furan-3-yl)(piperazin-1-yl)methanone afforded 42% III. III showed IC50 of 50-10 μ M when tested in HCV helicase TR-FRET unwinding assay. This invention further provides treatment methods, including methods of treating a hepatitis C virus infection and methods of treating liver fibrosis, the methods generally involving administering to an individual in need thereof an effective amount of a subject compound I or II or composition comprising compound I or II.

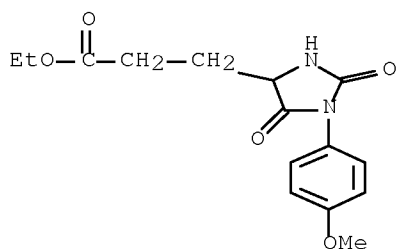
IT 935428-95-8F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazolidinediones and phenylacrylamides as inhibitors of viral replication useful in treatment of diseases)

RN 935428-95-8 CAPLUS

CN 4-Imidazolidinepropanoic acid, 1-(4-methoxyphenyl)-2,5-dioxo-, ethyl ester (CA INDEX NAME)



L7 ANSWER 56 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410206 CAPLUS Full-text

DOCUMENT NUMBER: 146:421971

TITLE: Preparation of nitrogen-containing heterocyclic compounds as p38 MAP kinase inhibitors

INVENTOR(S): Nakai, Hisao; Yamamoto, Shingo; Nakatani, Shingo; Hirosaki, Tomomi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 229pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

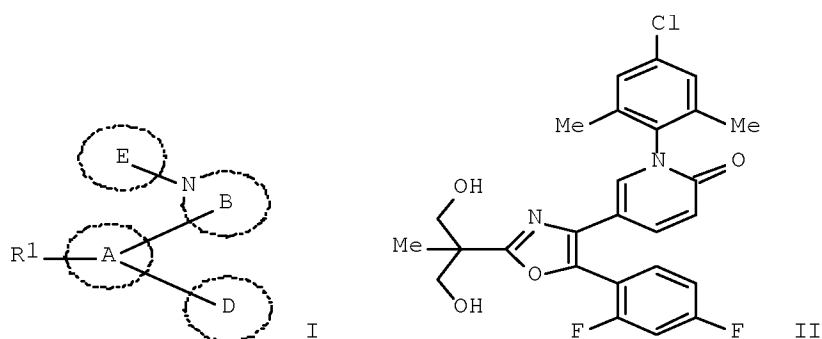
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007040208	A1	20070412	WO 2006-JP319732	20061002
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006298132	A1	20070412	AU 2006-298132	20061002
CA 2623813	A1	20070412	CA 2006-2623813	20061002
EP 1932840	A1	20080618	EP 2006-811080	20061002
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
MX 200804118	A	20080422	MX 2008-4118	20080327
KR 2008068839	A	20080724	KR 2008-710718	20080502
PRIORITY APPLN. INFO.:			JP 2005-289542	A 20051003
			JP 2006-93266	A 20060330
			WO 2006-JP19732	W 20061002
			WO 2006-JP319732	W 20061002

OTHER SOURCE(S): MARPAT 146:421971

GI

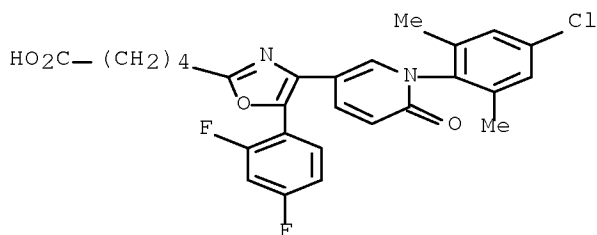


AB Title compds. represented by the formula I [wherein ring A = mono-heterocyclyl; ring B = (un)substituted heterocyclyl; ring D = (un)substituted cyclyl; ring E = (un)substituted cyclyl; R1 = neutral or acidic group; and pharmaceutically acceptable salts, N-oxides or solvates or prodrugs thereof] were prepared as p38 MAP kinase inhibitors. For example, II was provided in a multi-step synthesis starting from coumalic acid. I showed strong inhibitory activity of p38 MAP kinase, TNF- α production (human THP-1), and etc. Thus, I and their pharmaceutical compns. are useful for the treatment or preventing a disease in which the abnormal production of a cytokine such as an inflammatory cytokine or a chemokine or overreaction to them is considered to be involved in the cause and aggravation of pathol. conditions, in other words, an inflammatory disease, a respiratory disease, a cardiovascular disease, a central nervous system disease or the like, which is a cytokine-mediated disease.

IT 934188-03-1P, 5-[4-[1-(4-Chloro-2,6-dimethylphenyl)-6-oxo-1,6-dihydro-3-pyridinyl]-5-(2,4-difluorophenyl)-1,3-oxazol-2-yl]pentanoic acid
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 5-oxazolyl-1-phenylpyridin-2-one derivs. as p38 MAP kinase inhibitors)

RN 934188-03-1 CAPLUS

CN 2-Oxazolepentanoic acid, 4-[1-(4-chloro-2,6-dimethylphenyl)-1,6-dihydro-6-oxo-3-pyridinyl]-5-(2,4-difluorophenyl)- (CA INDEX NAME)



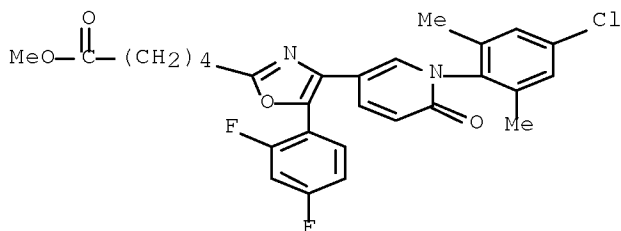
IT 934187-68-5P, Methyl 5-[4-[1-(4-chloro-2,6-dimethylphenyl)-6-oxo-1,6-dihydro-3-pyridinyl]-5-(2,4-difluorophenyl)-1,3-oxazol-2-yl]pentanoate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(preparation of 5-oxazolyl-1-phenylpyridin-2-one derivs. as p38 MAP kinase inhibitors)

RN 934187-68-5 CAPLUS

CN 2-Oxazolepentanoic acid, 4-[1-(4-chloro-2,6-dimethylphenyl)-1,6-dihydro-6-oxo-3-pyridinyl]-5-(2,4-difluorophenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 57 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:335055 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 148:192251

TITLE: Intermediacy of radicals in rearrangement and decomposition of metal-alkyl species: relevance to metal-mediated polymerization of polar vinyl monomers

AUTHOR(S): Nagel, Megan; Sen, Ayusman

CORPORATE SOURCE: Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA

SOURCE: Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (2007), 48(1), 439-440
CODEN: ACPPAY; ISSN: 0032-3934

PUBLISHER: American Chemical Society, Division of Polymer Chemistry

DOCUMENT TYPE: Journal; (computer optical disk)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:192251

AB The neutral compound [2,3-bis(2,6-diisopropylphenylimino)butane]Pd(CH2CH2CH2CO2Me)(X) (X = Cl, Br) undergoes "reverse" chain walking to form [2,3-bis(2,6-diisopropylphenylimino)butane]Pd(CH(CO2Me)CH2CH3)(X) through a conventional β -hydrogen elimination/readdn. pathway. However, reversible Pd-alkyl bond homolysis occurs for both alkyl complexes, and the resultant radicals can initiate the polymerization of acrylates. Varying the ligand to PR3 (R = Me, Ph, Cy) effects the preferred pathway of decomposition

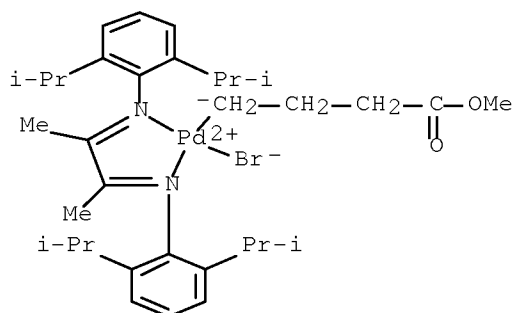
IT 913293-78-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediacy of radicals in rearrangement and decomposition of metal-alkyl species)

RN 913293-78-4 CAPLUS

CN Palladium, bromo[N,N'-(1,2-dimethyl-1,2-ethanediylidene)bis[2,6-bis(1-methylethyl)benzenamine- κ N]](4-methoxy-4-oxobutyl)-, (SP-4-2)- (9CI)
(CA INDEX NAME)

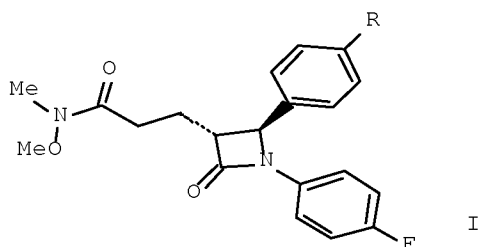


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 58 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:323701 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 146:421770
 TITLE: Process for preparation of azacyclobutanone derivatives
 INVENTOR(S): Tu, Yongjun
 PATENT ASSIGNEE(S): Peop. Rep. China
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 15pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1931838	A	20070321	CN 2006-10150638	20061020
PRIORITY APPLN. INFO.:			CN 2006-10150638	20061020
OTHER SOURCE(S):	CASREACT 146:421770; MARPAT 146:421770			

GI



AB The invention pertains to a process for the preparation of azacyclobutanone derivs. with general formula I [wherein R = OH or protected OH] as intermediates for the manufacture of ezetimibe analogs. For example, trans-N-methyl-N-methoxy-3-[4-(4-benzyloxy-phenyl)-1-(4-fluorophenyl)-2- azetidinone-3-yl]-propionamide was prepared in a multi-step synthesis. Advantageously, the

process of the present invention may be used in the manufacture of ezetimibe without using transition metal-based catalyst.

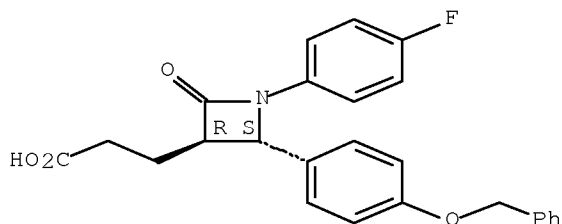
IT 928045-11-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azacyclobutanone derivs.)

RN 928045-11-8 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 59 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:282053 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 146:295960

TITLE: Preparation of thiazoles as prostaglandin D2 (PGD2) antagonists

INVENTOR(S): Harris, Neil Victor; Hynd, George; Gardan, Sophie

PATENT ASSIGNEE(S): Argenta Discovery Ltd., UK

SOURCE: PCT Int. Appl., 45pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

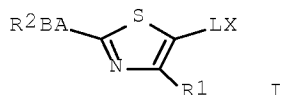
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007028999	A1	20070315	WO 2006-GB3317	20060908
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1922312	A1	20080521	EP 2006-779335	20060908
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
IN 2008DN01993	A	20080704	IN 2008-DN1993	20080307
PRIORITY APPLN. INFO.:			GB 2005-18494	A 20050909

OTHER SOURCE(S): MARPAT 146:295960
GI



AB Title compds. [I; A = fully saturated or partially unsatd. monocyclic 5-7 membered ring containing 1-2 N atoms; B = bond, (substituted) methylene, N, O, S(O)_n; n = 0-2; L = bond, (substituted) alkylene, alkenylene; R1, R2 = (substituted) aryl, heteroaryl, aryl-fused heterocycloalkyl, heteroaryl-fused cycloalkyl, heteroaryl-fused heterocycloalkyl, aryl-fused cycloalkyl; X = CO₂H, tetrazolyl, 3-hydroxyisoxazolyl, hydroxamic acid, phosphinate, phosphonate, phosphonamide, sulfonic acid, etc.], were prepared Thus, 4-(4-methoxyphenyl)piperazinecarbothioic acid amide and Et 2-bromo-3-oxo-3-phenylpropionate were refluxed together for 5 min. in EtOH to give the thiazolecarboxylate ester, which was stirred 3 h with LiOH in H₂O/EtOH at 60° for 3 h followed by addition of HOAc to give 2-[4-(4-methoxyphenyl)piperazin-1-yl]-4-phenylthiazole-5-carboxylic acid. In a radioligand binding assay using KS174T membranes, I typically showed K_i values of <10 μM.

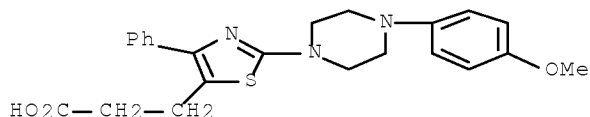
IT 928152-04-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazoles as prostaglandin D₂ antagonists)

RN 928152-04-9 CAPLUS

CN 5-Thiazolepropanoic acid, 2-[4-(4-methoxyphenyl)-1-piperazinyl]-4-phenyl- (CA INDEX NAME)



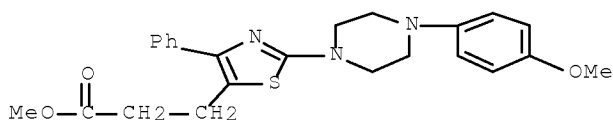
IT 928152-27-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazoles as prostaglandin D₂ antagonists)

RN 928152-27-6 CAPLUS

CN 5-Thiazolepropanoic acid, 2-[4-(4-methoxyphenyl)-1-piperazinyl]-4-phenyl-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 60 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:267455 CAPLUS Full-text

DOCUMENT NUMBER: 146:501311

TITLE: Identification, synthesis, and biological evaluation of novel pyrazoles as low molecular weight luteinizing hormone receptor agonists

AUTHOR(S): Jorand-Lebrun, Catherine; Brondyk, Bill; Lin, Jing; Magar, Sharad; Murray, Robert; Reddy, Adulla; Shroff, Hitesh; Wands, Greg; Weiser, Weishui; Xu, Qihong; McKenna, Sean; Brugger, Nadia

CORPORATE SOURCE: chemin des Mines, Merck Serono, Geneva, 1211, Switz.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(7), 2080-2085

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:501311

AB In a high throughput screening, pyrazole compds. were identified with LH receptor (LH-R) agonist activity. A focused pyrazole library was produced by solid-phase synthesis and key pyrazole regioisomers were obtained selectively in solution. Evaluation of those compds. in a cAMP assay in CHO cells transfected with h-LH receptor allowed the authors to propose a structure-activity relation model for this series and led to the identification of the 1st low mol. weight mol. with in vitro activity in a Leydig cells assay (ED50 = 1.31 μ M) and in vivo in a model of testosterone induction in rats (significant effect at 32 mpk i.p.).

IT 936134-02-0P, 5-[1-(4-tert-Butylphenyl)-3-(pyridin-3-yl)-1H-pyrazol-5-yl]pentanoic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

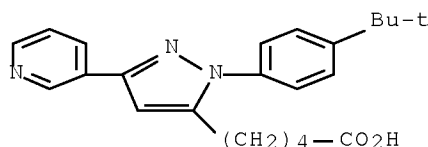
(amide formation with tyrosine derivative; identification, synthesis, and biol. evaluation of novel amino acid-containing pyrazoles as low mol.

weight

LH receptor agonists)

RN 936134-02-0 CAPLUS

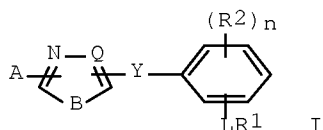
CN 1H-Pyrazole-5-pentanoic acid, 1-[4-(1,1-dimethylethyl)phenyl]-3-(3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 61 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:227663 CAPLUS Full-text
 DOCUMENT NUMBER: 146:274235
 TITLE: Preparation of heterocyclylcarboxylates as modulators of EDG/S1P receptor mediated signal transduction
 INVENTOR(S): Gao, Wenqi; Wan, Yongqin; Jiang, Jiqing; Fan, Yi; Gray, Nathanael S.; Pan, Shifeng
 PATENT ASSIGNEE(S): Irm LLC, Bermuda
 SOURCE: PCT Int. Appl., 49pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007024922	A1	20070301	WO 2006-US32877	20060822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006283175	A1	20070301	AU 2006-283175	20060822
CA 2619101	A1	20070301	CA 2006-2619101	20060822
EP 1917240	A1	20080507	EP 2006-813662	20060822
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2008DN01434	A	20080808	IN 2008-DN1434	20080219
MX 200802540	A	20080314	MX 2008-2540	20080222
KR 2008047410	A	20080528	KR 2008-706864	20080321
PRIORITY APPLN. INFO.:			US 2005-710781P	P 20050823
			WO 2006-US32877	W 20060822
OTHER SOURCE(S):			MARPAT 146:274235	
GI				



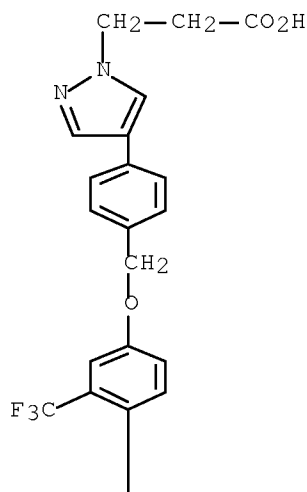
AB Title compds. e.g. [I; A = cyano, X1CO2R3, X1OP(O)(OR3)2, X1CON(R3)2, X1SO2OR3, 1H-tetrazol-5-yl, etc.; B = CR4:CR5, CR4:N, S, NR4; X1 = bond, alkylene, alkenylene; R3 = H, alkyl; R4, R5 = H, halo, alkyl; Q = CR4, N; L = X2OX3, X2NR3X3, X2CONR3X3, X2NR3COX3, etc.; X2, X3 = bond, alkylene, alkenylene; Y = bond, O, S, SO, SO2, NR3, CH2, CH2CH2; n = 0-3; R1 = (substituted) aryl, heteroaryl; R2 = halo, cyano, NO2, alkoxy, alkyl], were prepared Thus, 5-[4-(2'-fluoro-2-trifluoromethylbiphenyl-4-yloxymethyl)phenyl]pyridine-2-carboxylic acid (preparation from Me 5-bromopicolinate, 4-hydroxymethylphenylboronic acid, 4-bromo-3-trifluoromethylphenol, and 2-fluorophenylboronic acid given) showed an EC50 = 0.9 nM in a scintillation proximity assay for measuring GTP binding to membranes from CHO cells expressing human EDG-1 receptors.

IT 927435-80-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (claimed compound; preparation of heterocyclylcarboxylates as modulators of EDG/S1P receptor mediated signal transduction)

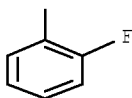
RN 927435-80-1 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[4-[[[2'-fluoro-2-(trifluoromethyl)[1,1'-biphenyl]-4-yl]oxy]methyl]phenyl]- (CA INDEX NAME)

PAGE 1-A



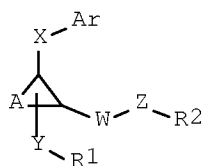
PAGE 2-A



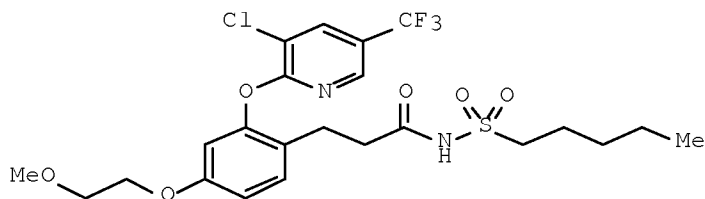
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2007:174303 CAPLUS Full-text
 DOCUMENT NUMBER: 146:251838
 TITLE: Preparation of therapeutic agents for diabetes
 INVENTOR(S): Abe, Hidenori; Wakabayashi, Takeshi; Rikimaru, Kentarou
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 509pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007018314	A2	20070215	WO 2006-JP316068	20060809
WO 2007018314	A3	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006277231	A1	20070215	AU 2006-277231	20060809
CA 2617969	A1	20070215	CA 2006-2617969	20060809
EP 1912645	A2	20080423	EP 2006-782747	20060809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 4094660	B1	20080604	JP 2007-531530	20060809
JP 2008526685	T	20080724		
JP 2008044943	A	20080228	JP 2007-212457	20070706
US 20080009530	A1	20080110	US 2007-666812	20070713
MX 200801386	A	20080407	MX 2008-1386	20080129
KR 2008033524	A	20080416	KR 2008-705621	20080307
IN 2008KN01028	A	20080822	IN 2008-KN1028	20080310
PRIORITY APPLN. INFO.:			JP 2005-232646	A 20050810
			JP 2007-531530	A3 20060809
			WO 2006-JP16068	W 20060809
			WO 2006-JP316068	W 20060809
OTHER SOURCE(S):			MARPAT 146:251838	
GI				



I



II

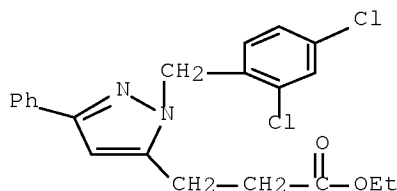
AB The invention provides an agent for the prophylaxis or treatment of diabetes, which is associated with fewer side effects such as body weight gain, adipocyte accumulation, cardiac hypertrophy and the like, and which contains a compound I [A = (un)substituted aryl; Ar = (un)substituted monocycllyl; R1 = (un)substituted hydrocarblyl, heterocycllyl; R2 = H, (un)substituted hydrocarblyl, heterocycllyl; X = spacer having a main chain of 1-2 atoms; Y = a bond or a spacer having a main chain of 1-2 atoms; W = (un)substituted divalent hydrocarbon group; Z = CONHSO2 and derivs., SO2NHCO and derivs., OCONH and derivs., etc.], or a salt thereof or a prodrug thereof. Preparation of antidiabetic agents I is described. Thus, O-heteroarylation of Et 3-[2-hydroxy-4-(2-methoxyethoxy)phenyl]propanoate (preparation given) with 2,3-dichloro-5-(trifluoromethyl)pyridine, saponification and reaction of the acid with pentane-1-sulfonamide gave N-sulfonyl amide II. Selected I displayed a hypoglycemic and hypolipidemic action. II exhibited PPAR γ -PPAR α heterodimer ligand activity.

IT 926295-90-1F, Ethyl 3-[1-(2,4-dichlorobenzyl)-3-phenyl-1H-pyrazol-5-yl]propanoate 926295-91-2F, 3-[1-(2,4-Dichlorobenzyl)-3-phenyl-1H-pyrazol-5-yl]propanoic acid
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of therapeutic agents for diabetes)

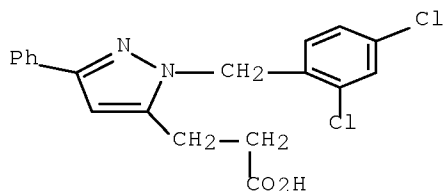
RN 926295-90-1 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 1-[(2,4-dichlorophenyl)methyl]-3-phenyl-, ethyl ester (CA INDEX NAME)



RN 926295-91-2 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 1-[(2,4-dichlorophenyl)methyl]-3-phenyl-, (CA INDEX NAME)



L7 ANSWER 63 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:162932 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:541797

TITLE: A novel and efficient synthetic strategy for 3,5-disubstituted 1-phenyl-1,2,4-triazole derivatives

AUTHOR(S): Su, Gui-Fa; Yu, Peng; Pan, Cheng-Xue

CORPORATE SOURCE: College of Chemistry & Chemical Engineering, Guangxi Normal University, Guilin, 541004, Peop. Rep. China

SOURCE: Yingyong Huaxue (2007), 24(1), 58-62

CODEN: YIHUED; ISSN: 1000-0518

PUBLISHER: Kexue Chubanshe

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 147:541797

AB Benzenediazonium chloride reacted with the solns. of the sodium salts of four nitro compds. in an ice-bath to provide four α -nitro hydrazones in yields of 66-89%, then the α -nitro hydrazones were refluxed with primary amine for 3 h, the resulting mixts. were oxidized with sodium nitrite for 2-3 h in the presence of TEAC to afford nine novel 3,5-disubstituted 1-phenyl-1,2,4-triazole derivs. in 54-71% yields. All the target compds. were characterized by means of ¹H NMR, IR and elemental anal. This synthetic strategy widens the application of α -nitro hydrazones and enriches the methodol. for the synthesis of triazole derivs. The methodol. also has advantages such as common available materials, mild reaction conditions and high yields.

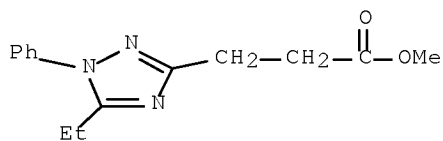
IT 957475-80-8P 957475-81-9P 957475-82-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of (phenyl)triazole derivs. via reaction of benzenediazonium chloride and nitro compds., formation of nitro hydrazones and their cyclization with primary amines)

RN 957475-80-8 CAPLUS

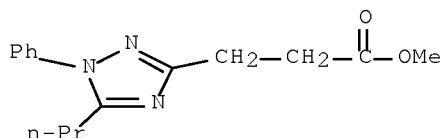
CN 1H-1,2,4-Triazole-3-propanoic acid, 5-ethyl-1-phenyl-, methyl ester (CA INDEX NAME)



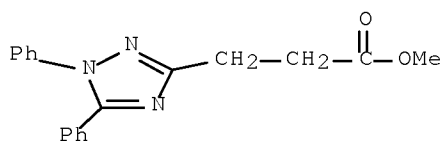
RN 957475-81-9 CAPLUS

CN 1H-1,2,4-Triazole-3-propanoic acid, 1-phenyl-5-propyl-, methyl ester (CA

INDEX NAME)



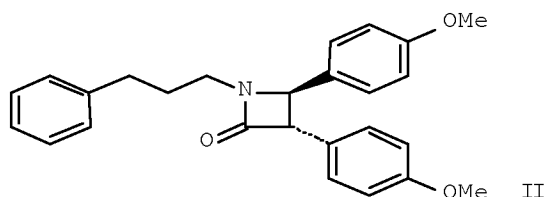
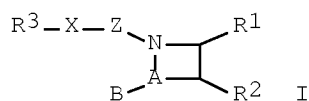
RN 957475-82-0 CAPLUS
CN 1H-1,2,4-Triazole-3-propanoic acid, 1,5-diphenyl-, methyl ester (CA INDEX NAME)



L7 ANSWER 64 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:150192 CAPLUS Full-text
DOCUMENT NUMBER: 146:206141
TITLE: Preparation of azetidinone compounds as
hypocholesterolemic agents
INVENTOR(S): Pfeifferkorn, Jeffrey Allen; Trivedi, Bharat Kalidas
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 60pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007015161	A1	20070208	WO 2006-IB2130	20060720
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2615758	A1	20070208	CA 2006-2615758	20060720
EP 1912937	A1	20080423	EP 2006-779928	20060720
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

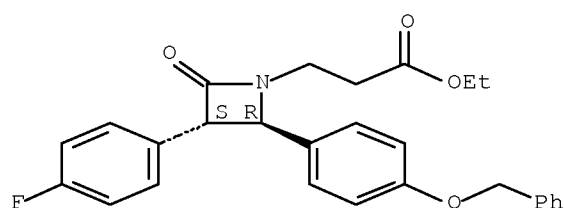
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 PRIORITY APPLN. INFO.: US 2005-704487P P 20050801
 WO 2006-IB2130 W 20060720
 OTHER SOURCE(S): MARPAT 146:206141
 GI



AB Title compds. I [A-B = C:O, C:S, SO, or SO₂; X = C1-C3 alkylene optionally containing a double or triple bond, or C1-C3 heteroalkylene (wherein C1-C3 alkylene or C1-C3 heteroalkylene is unsubstituted or substituted on carbon atoms with 0,1 or 2 substituents selected from C1-C6 alkyl, :O, aryl, etc.); Z = C1-C2 alkylene optionally substituted with 0, 1 or 2 substituents selected from C1-C6 alkyl, :O, halo, etc.; R1 = aryl or heteroaryl optionally substituted with one to three substituents selected from halo, C1-C20 alkyl, C1-C6 aralkyl, etc.; R2 = C1-C6 alkyl, C3-C6 cycloalkyl, C3-C6 heterocycloalkyl, etc.; R3 = C3-C6 cycloalkyl, C3-C6 heterocycloalkyl, aryl, etc.], pharmaceutically acceptable salts, esters, hydrates, amides, or stereoisomers thereof were prepared For example, reaction of p-anisaldehyde with 3-phenylpropylamine followed by [2+2] cyclo-addition with 4-methoxyphenylacetyl chloride and separation using preparative chiral HPLC afforded compound II. Compds. of the invention reduced the elevation in plasma cholesterol by 50% at doses of between about 30 and about 100 mg/kg. Of note, compds. I are useful for the treatment of atherosclerosis.

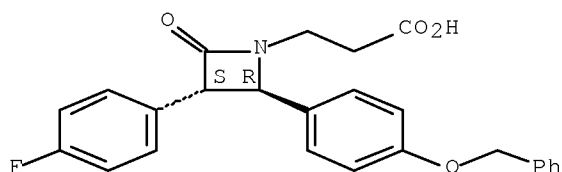
IT 923570-28-9P 923570-29-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of azetidinone compds. as hypocholesterolemic agents)
 RN 923570-28-9 CAPLUS
 CN 1-Azetidinepropanoic acid, 3-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, ethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



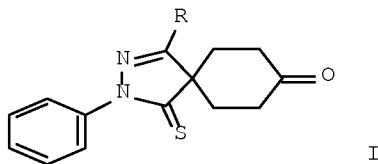
RN 923570-29-0 CAPLUS
CN 1-Azetidinepropanoic acid, 3-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 65 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:147934 CAPLUS Full-text
DOCUMENT NUMBER: 146:379874
TITLE: Synthesis and antimicrobial activity of novel spirocompounds with pyrazolone and pyrazolthione moiety
AUTHOR(S): Chande, Madhukar S.; Barve, Pravin A.; Suryanarayan, Vijay
CORPORATE SOURCE: Department of Chemistry, The Institute Of Science, Mumbai, 400 032, India
SOURCE: Journal of Heterocyclic Chemistry (2007), 44(1), 49-53
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:379874
GI

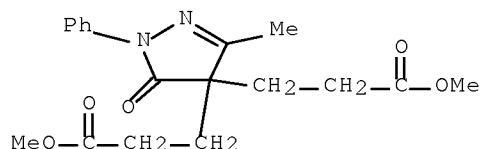


AB The utility of 2-pyrazoline-5-ones and 2-pyrazoline-5-thiones as active Michael donors for the synthesis of spirocyclohexanone derivs. is described. The sulfur containing compds. I (R = Me, Ph) when screened for antimicrobial activity showed promising inhibition of S. Typhi, S Aures and E Coli bacteria.
IT 932393-86-7P 932393-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antibacterial activity of (phenyl)diazaspirodecenes using Michael addition of (phenyl)pyrazolinone or (phenyl)pyrazolinethione to acrylate or acrylonitrile followed by Dieckmann condensation as key steps)

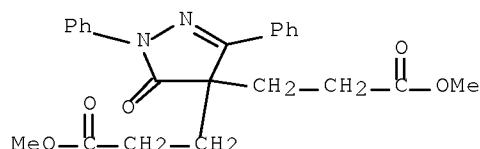
RN 932393-86-7 CAPLUS

CN 4H-Pyrazole-4,4-dipropanoic acid, 1,5-dihydro-3-methyl-5-oxo-1-phenyl-, 4,4-dimethyl ester (CA INDEX NAME)



RN 932393-87-8 CAPLUS

CN 4H-Pyrazole-4,4-dipropanoic acid, 1,5-dihydro-5-oxo-1,3-diphenyl-, 4,4-dimethyl ester (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 66 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:119525 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 146:206304

TITLE: Cycloalkyl amino-hydantoin compounds and use thereof for β -secretase modulation and treatment of diseases with β -amyloid deposits and neurofibrillary tangles

INVENTOR(S): Malamas, Michael Sotirios; Gunawan, Iwan Suwandi; Erdei, James Joseph; Nowak, Pawel Wojciech; Stock, Joseph Raymond; Yan, Yinfu

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 39pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070027199	A1	20070201	US 2006-495261	20060728
AU 2006275993	A1	20070208	AU 2006-275993	20060724
CA 2616510	A1	20070208	CA 2006-2616510	20060724
WO 2007016012	A2	20070208	WO 2006-US28580	20060724

WO 2007016012 A3 20070405

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 1910309 A2 20080416 EP 2006-800254 20060724

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

IN 2008DN00663 A 20080711 IN 2008-DN663 20080124

CN 101233113 A 20080730 CN 2006-80027879 20080129

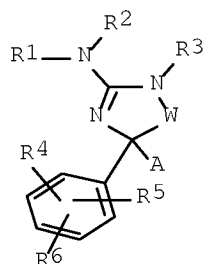
PRIORITY APPLN. INFO.:

US 2005-704867P P 20050729

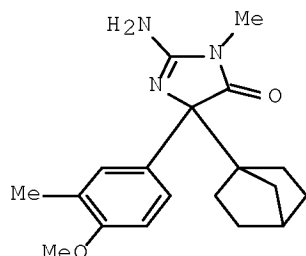
WO 2006-US28580 W 20060724

OTHER SOURCE(S): MARPAT 146:206304

GI



I



II

AB The present invention provides a 2-amino-5-cycloalkyl-hydantoin compound of formula I (wherein A is cycloalkyl; W is CO, CS or CH₂; R₁, R₂, and R₃ are H, or (un)substituted alkyl, cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group, or R₁ and R₂ form part of a 5-7-membered ring; R₄, R₅, and R₆ are H, halogen, NO₂, CN, OR₇, COR₇, etc. or R₄ and R₅ or R₅ and R₆ together form part of 5- to 7-membered ring; R₇ is H, alkyl, alkenyl, alkynyl, etc.). The present invention also provides methods and compns. for the inhibition of β -secretase (BACE) and the treatment of β -amyloid deposits and neurofibrillary tangles. Example compound II was prepared by reacting 3-methyl-4-methoxybenzyltriphenylphosphine chloride and bicyclo[2.2.1]heptane-1-carbonyl chloride to give an ethane dione, which was subsequently reacted with 1-methylguanidine hydrochloride. In an assay to evaluate human BACE-1 binding affinity, II had an IC₅₀ of 0.01-1.00 μ M.

IT 922498-12-2P, 6-(2-Amino-4-cyclohexyl-5-oxo-4-phenyl-4,5-dihydro-1H-imidazol-1-yl)hexanoic acid 922498-13-3P, 5-(2-Amino-4-cyclohexyl-5-oxo-4-phenyl-4,5-dihydro-1H-imidazol-1-yl)pentanoic acid 922498-14-4P, 4-(2-Amino-4-cyclohexyl-5-oxo-4-phenyl-4,5-dihydro-1H-imidazol-1-yl)butanoic acid 922498-16-6P, 3-(2-Amino-4-cyclohexyl-5-oxo-4-phenyl-4,5-dihydro-1H-imidazol-1-yl)propanoic acid

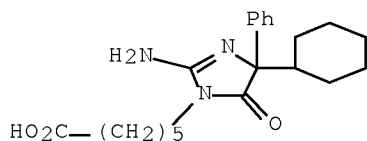
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; cycloalkyl amino-hydantoin compds. and use thereof for β -secretase modulation and treatment of diseases with β -amyloid deposits and neurofibrillary tangles)

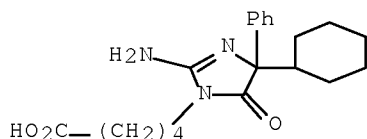
RN 922498-12-2 CAPLUS

CN 1H-Imidazole-1-hexanoic acid, 2-amino-4-cyclohexyl-4,5-dihydro-5-oxo-4-phenyl- (CA INDEX NAME)



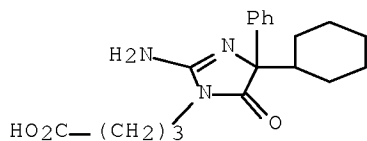
RN 922498-13-3 CAPLUS

CN 1H-Imidazole-1-pentanoic acid, 2-amino-4-cyclohexyl-4,5-dihydro-5-oxo-4-phenyl- (CA INDEX NAME)



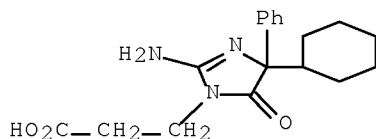
RN 922498-14-4 CAPLUS

CN 1H-Imidazole-1-butanoic acid, 2-amino-4-cyclohexyl-4,5-dihydro-5-oxo-4-phenyl- (CA INDEX NAME)



RN 922498-16-6 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 2-amino-4-cyclohexyl-4,5-dihydro-5-oxo-4-phenyl- (CA INDEX NAME)



L7 ANSWER 67 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:81266 CAPLUS Full-text

DOCUMENT NUMBER: 146:337790

TITLE: Diaryl substituted pyrazoles as potent CCR2 receptor antagonists

AUTHOR(S): Pinkerton, Anthony B.; Huang, Dehua; Cube, Rowena V.;
Hutchinson, John H.; Struthers, Mary; Ayala, Julia M.;
Vicario, Pasquale P.; Patel, Sima R.; Wisniewski,
Thomas; DeMartino, Julie A.; Vernier, Jean-Michel

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research
Laboratories, San Diego, CA, 92121, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),
17(3), 807-813

CODEN: BMCLE8; ISSN: 0960-894X

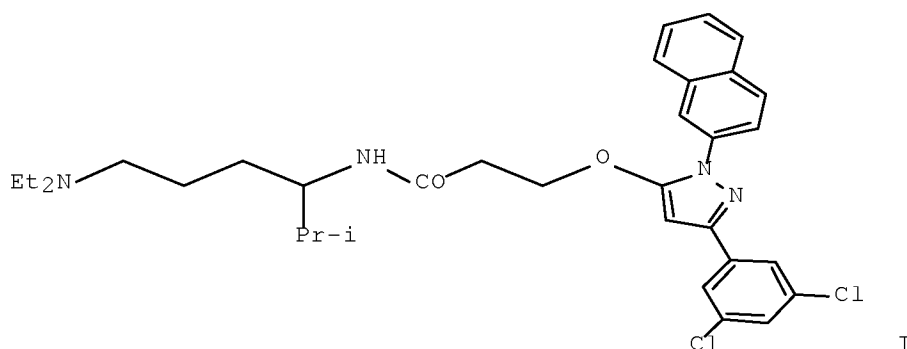
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:337790

GI



AB The authors have identified and synthesized a series of diaryl substituted pyrazoles as potent antagonists of the chemokine receptor subtype 2. Structure-activity relationship studies directed toward improving the potency led to the discovery of I (IC₅₀ = 6 nM).

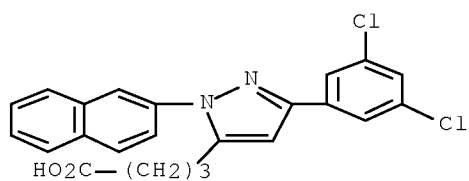
IT 907190-39-0P 936948-87-7P 936948-90-2P
936948-91-3P 936948-92-4P 936949-02-9P
936949-33-6P 936949-34-7P 936949-45-0P
936949-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diaryl-substituted pyrazoles as potent CCR2 receptor antagonists)

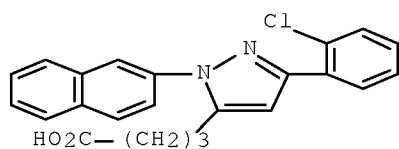
RN 907190-39-0 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(3,5-dichlorophenyl)-1-(2-naphthalenyl)-
(CA INDEX NAME)



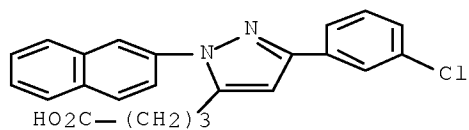
RN 936948-87-7 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(2-chlorophenyl)-1-(2-naphthalenyl)- (CA INDEX NAME)



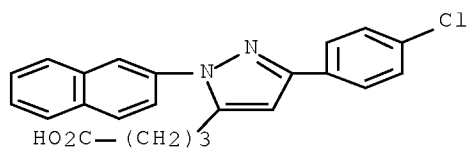
RN 936948-90-2 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(3-chlorophenyl)-1-(2-naphthalenyl)- (CA INDEX NAME)



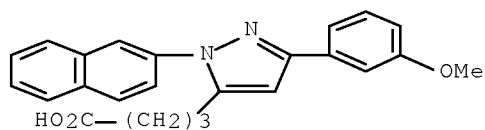
RN 936948-91-3 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(4-chlorophenyl)-1-(2-naphthalenyl)- (CA INDEX NAME)



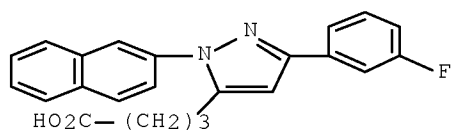
RN 936948-92-4 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(3-methoxyphenyl)-1-(2-naphthalenyl)- (CA INDEX NAME)



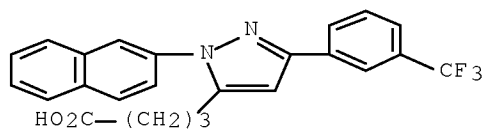
RN 936949-02-9 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(3-fluorophenyl)-1-(2-naphthalenyl)- (CA INDEX NAME)



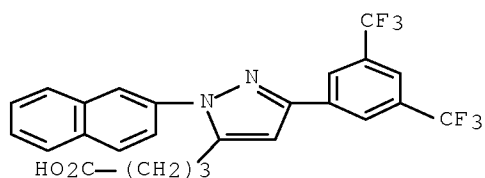
RN 936949-33-6 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 1-(2-naphthalenyl)-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



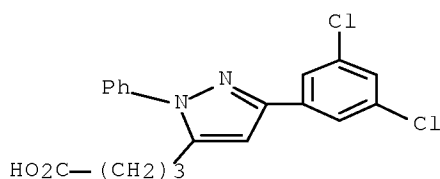
RN 936949-34-7 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-[3,5-bis(trifluoromethyl)phenyl]-1-(2-naphthalenyl)- (CA INDEX NAME)

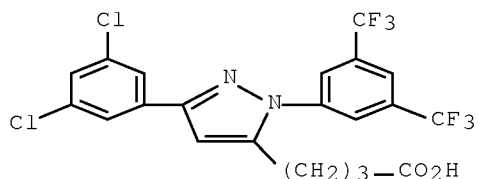


RN 936949-45-0 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(3,5-dichlorophenyl)-1-phenyl- (CA INDEX NAME)



RN 936949-47-2 CAPLUS
 CN 1H-Pyrazole-5-butanoic acid, 1-[3,5-bis(trifluoromethyl)phenyl]-3-(3,5-dichlorophenyl)- (CA INDEX NAME)

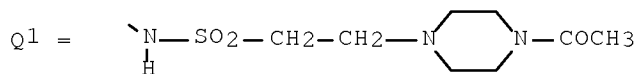


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

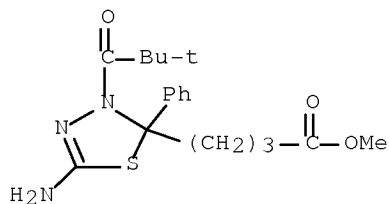
L7 ANSWER 68 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1356800 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 146:100702
 TITLE: Preparation of thiadiazoline derivatives as therapeutic agents for restenosis
 INVENTOR(S): Nakai, Ryuichiro; Shimoike, Emi; Kusaka, Hideaki; Murakata, Chikara; Yamashita, Yoshinori
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.
 SOURCE: PCT Int. Appl., 104pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006137490	A1	20061228	WO 2006-JP312531	20060622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

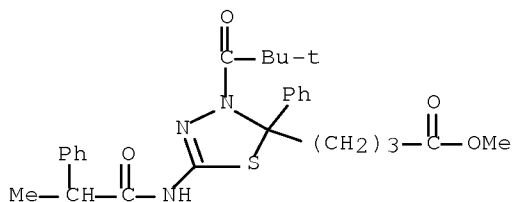
GI



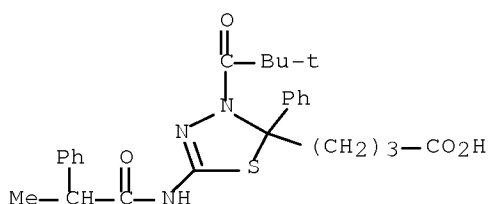
AB	The title compds. I [A1 = R4(CH2)n; R = (un)substituted aryl, NR1CONR2; R1 = H; R2 = alkyl; or R1 and R2 together form alkylene; R3 = alkyl; R4 = H, NHR6, etc.; R6 = OH, alkoxy, etc.; R5 = (un)substituted aryl; n = 1 - 3] are prepared The title compound II [A2 = Q1] was prepared Compds. of this invention showed GI50 values ≤ 10 μM/L against the growth of human vascular smooth muscle cells. Formulations are given.
IT	910634-74-1P 910664-43-6P 910664-44-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of thiadiazoline derivs. as therapeutic agents for restenosis)
RN	910634-74-1 CAPLUS
CN	1,3,4-Thiadiazole-2-butanoic acid, 5-amino-3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-2-phenyl-, methyl ester (CA INDEX NAME)



RN	910664-43-6	CAPLUS
CN	1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[(1-oxo-2-phenylpropyl)amino]-2-phenyl-, methyl ester (CA INDEX NAME)	



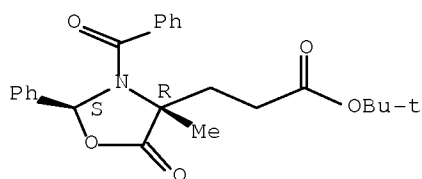
RN 910664-44-7 CAPLUS
 CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[(1-oxo-2-phenylpropyl)amino]-2-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 69 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1316887 CAPLUS Full-text
 DOCUMENT NUMBER: 149:246007
 TITLE: Product subclass 7: 2-aminoalkanoic acids
 (α -amino acids)
 AUTHOR(S): Wolkenberg, S. E.; Garbaccio, R. M.
 CORPORATE SOURCE: Merck Research Laboratories, Merck & Co., Inc., West Point, PA, 19486, USA
 SOURCE: Science of Synthesis (2006), 20a, 385-482
 CODEN: SSCYJ9
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 AB A review of methods to prepare α -amino alkanolic acids.
 IT 1037074-40-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (review preparation of α -aminoalkanoic acids)
 RN 1037074-40-0 CAPLUS
 CN 4-Oxazolidinepropanoic acid, 3-benzoyl-4-methyl-5-oxo-2-phenyl-, 1,1-dimethylethyl ester, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 241 THERE ARE 241 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 70 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1257278 CAPLUS Full-text

DOCUMENT NUMBER: 147:406737

TITLE: A simple and rapid synthesis of 4H-4-oxo-1-benzopyran-3-yl and 1,3-diarylpyrazol-4-yl propanoic acids

AUTHOR(S): Reddy, G. Jagath; Rao, K. Srinivasa; Khalilullah, Md.; Thirupathaiah, C.; Latha, D.

CORPORATE SOURCE: R and D Laboratories, Hyderabad, 500 037, India

SOURCE: Heterocyclic Communications (2006), 12(6), 423-426

CODEN: HCOMEX; ISSN: 0793-0283

PUBLISHER: Freund Publishing House Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:406737

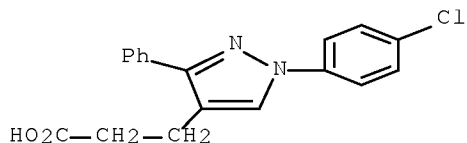
AB A simple and rapid synthesis of 4H-4-oxo-1-benzopyran-3-yl and 1,3-diarylpyrazol-4-yl propanoic acids using Meldrum's acid from the corresponding aldehydes is reported herein.

IT 870704-02-2P 870704-03-3P 870704-04-4P
951217-21-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of benzopyranonyl and diarylpyrazolyl propanoic acids from Meldrum's acid and heterocyclic aldehydes)

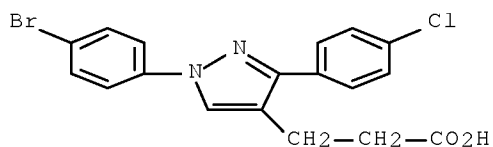
RN 870704-02-2 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 1-(4-chlorophenyl)-3-phenyl- (CA INDEX NAME)

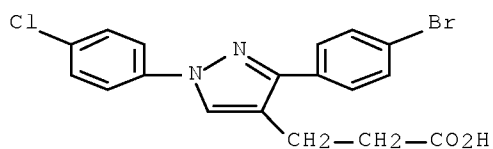


RN 870704-03-3 CAPLUS

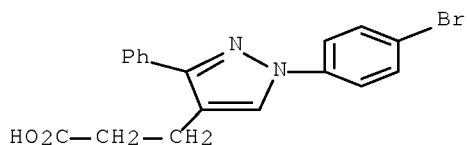
CN 1H-Pyrazole-4-propanoic acid, 1-(4-bromophenyl)-3-(4-chlorophenyl)- (CA INDEX NAME)



RN 870704-04-4 CAPLUS
 CN 1H-Pyrazole-4-propanoic acid, 3-(4-bromophenyl)-1-(4-chlorophenyl)- (CA INDEX NAME)



RN 951217-21-3 CAPLUS
 CN 1H-Pyrazole-4-propanoic acid, 1-(4-bromophenyl)-3-phenyl- (CA INDEX NAME)

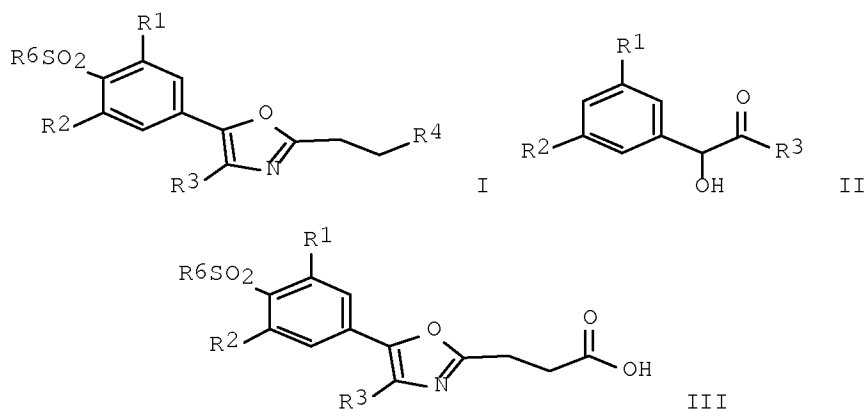


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 71 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1235787 CAPLUS Full-text
 DOCUMENT NUMBER: 146:62696
 TITLE: Preparation of oxazole derivatives for application in antiinflammatory and analgesic drug composition
 INVENTOR(S): Li, Jing; Zhou, Xiaoping
 PATENT ASSIGNEE(S): Beijing Alezova Pharmaceutical Research Institute Co., Ltd., Peop. Rep. China
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 10pp. CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1865249	A	20061122	CN 2005-10069582	20050517
PRIORITY APPLN. INFO.:			CN 2005-10069582	20050517
OTHER SOURCE(S):			CASREACT 146:62696; MARPAT 146:62696	

GI



AB The general chemical structure of the title oxazole derivs. is shown in formula I (R1 = H or halogen; R2 = H or low carbon alkyl, R3 = substituted or non-substituted Ph, cyclohexyl; R4 = hydroxy, carboxy or carboxylate; and R5 = C1-C4 alkyl, or amino). Title compds. were prepared from II and succinic acid with the presence of ammonium salt to obtain the compound III, further sulfonation or reduction of the carboxy group to provide the title products. The oxazole derivs. can be applied in antiinflammatory and analgesic drug composition

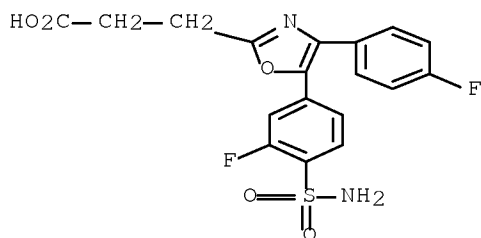
IT 916882-69-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazole derivs. for application as antiinflammatory and analgesic agents)

RN 916882-69-4 CAPLUS

CN 2-Oxazolepropanoic acid, 5-[4-(aminosulfonyl)-3-fluorophenyl]-4-(4-fluorophenyl)- (CA INDEX NAME)



IT 916882-68-3P

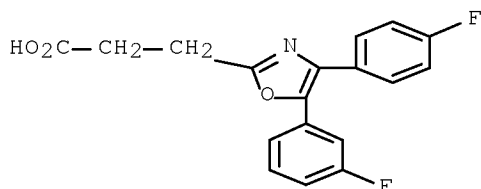
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazole derivs. for application as antiinflammatory and analgesic agents)

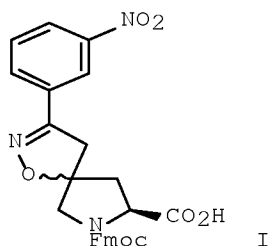
RN 916882-68-3 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(3-fluorophenyl)-4-(4-fluorophenyl)- (CA INDEX NAME)

NAME)



L7 ANSWER 72 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1212208 CAPLUS Full-text
DOCUMENT NUMBER: 146:142988
TITLE: A Spiroisoxazolinoproline-Based Amino Acid Scaffold
for Solid Phase and One-Bead-One-Compound Library
Synthesis
AUTHOR(S): Dixon, Seth M.; Milinkevich, Kristin A.; Fujii,
Jeffrey; Liu, Ruiwu; Yao, Nianhuan; Lam, Kit S.;
Kurth, Mark J.
CORPORATE SOURCE: Department of Chemistry, University of California,
Davis, CA, 95616, USA
SOURCE: Journal of Combinatorial Chemistry (2007), 9(1),
143-157
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:142988
GI



AB An efficient, multigram synthesis of spiroisoxazolinoproline-based amino acid I is reported. The synthesis requires minimal purification, delivers good cis:trans (.apprx.1:4) diastereoselectivity, and provides good yields. Surface-bound studies of the reduction of an aryl nitro group in the presence of an isoxazoline ring with tin(II) dichloride dihydrate were undertaken to confirm the stability of the isoxazoline ring in I. The solid-phase synthesis of a sample library of peptidomimetics from I was performed with high yields and high purity. Next, a 129 600 member one-bead-one-compound (OBOC) library was synthesized using I as a scaffold, a dual amino acid encoding method and bifunctionalization of TentaGel resin. The library containing 129 600 unique

compds. (not identified here) were stored in a refrigerator for future assaying expts.

IT 919082-61-4P

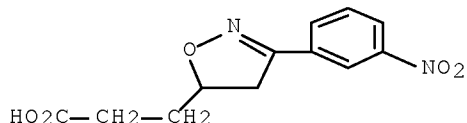
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of spiroisoxazolinoproline-based amino acid scaffold for use in

solid-phase one-bead-one-compound library synthesis)

RN 919082-61-4 CAPLUS

CN 5-Isioxazolepropanoic acid, 4,5-dihydro-3-(3-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 73 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1207222 CAPLUS Full-text

DOCUMENT NUMBER: 145:505470

TITLE: Preparation of thiazolylspirooxazinoquinolinepyrimidinetriones as antibacterials

INVENTOR(S): Barbachyn, Michael Robert; Dobrowolski, Paul Joseph; Hagen, Susan Elizabeth; Heimbach, Tycho Heinar; Hurd, Alexander Ross; Johnson, Timothy Allen; Mcnamara, Dennis Joseph; Ruble, James Craig; Sherry, Debra Ann; Thomasco, Lisa Marie; Toogood, Peter Laurence

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 90pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

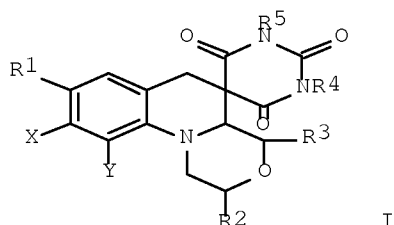
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006120563	A2	20061116	WO 2006-IB1276	20060427
WO 2006120563	A3	20070412		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2606847	A1	20061116	CA 2006-2606847	20060427
EP 1888597	A2	20080220	EP 2006-755883	20060427

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 PRIORITY APPLN. INFO.: US 2005-679185P P 20050509
 WO 2006-IB1276 W 20060427
 OTHER SOURCE(S): CASREACT 145:505470; MARPAT 145:505470
 GI



AB Title compds. [I; R1 = (substituted) thiadiazolyl; R2, R3 = H, (substituted) alkyl; R4, R5 = H, (substituted) alkyl, ether, aryl(alkyl), PhCH2O, amino(alkyl), hydroxy(alkyl), etc.; R4R5 = atoms to form (substituted) heterocyclyl; X, Y = H, halo, (substituted) alkyl, ether, amine, etc.; with a specific exception] were prepared. Thus, (2R,4S,4aS)-9,10-difluoro-2,4-dimethyl-8-(5-methyl-1,3,4-thiadiazol-2-yl)-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)trione (multistep preparation given) showed a min. inhibitory concentration of 0.06 µg/mL against *Staphylococcus aureus* UC76.

IT 914935-81-2P 914935-82-3P

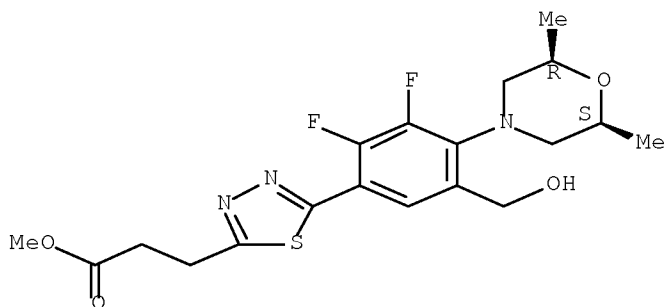
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolylspirooxazinoquinolinepyrimidinetriones as antibacterials)

RN 914935-81-2 CAPLUS

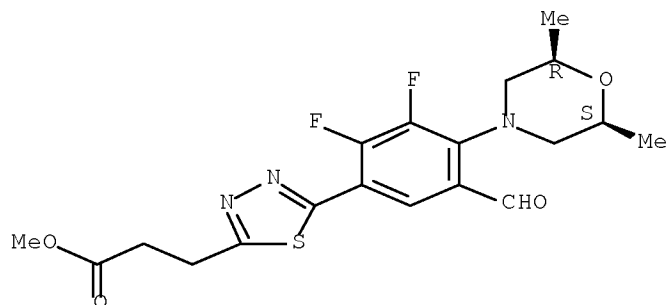
CN 1,3,4-Thiadiazole-2-propanoic acid, 5-[4-[(2R,6S)-2,6-dimethyl-4-morpholinyl]-2,3-difluoro-5-(hydroxymethyl)phenyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 914935-82-3 CAPLUS
CN 1,3,4-Thiadiazole-2-propanoic acid, 5-[4-[(2R,6S)-2,6-dimethyl-4-morpholinyl]-2,3-difluoro-5-formylphenyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 74 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1206841 CAPLUS Full-text
DOCUMENT NUMBER: 145:500104
TITLE: Use of β -lactams for the treatment of IBD and glaucoma
INVENTOR(S): Old, David W.; Dinh, Danny T.; Burk, Robert M.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006121822	A1	20061116	WO 2006-US17336	20060502
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080113943	A1	20080515	US 2006-569696	20061128
PRIORITY APPLN. INFO.:			US 2005-678403P	P 20050506
			WO 2006-US17336	W 20060502

OTHER SOURCE(S): MARPAT 145:500104

AB The use of β -lactam compds. or a pharmaceutically acceptable salt or a prodrug thereof for the treatment of IBD and glaucoma is disclosed. Methods, compns., and medicaments related thereto are also disclosed.
IT 914954-74-8 914954-74-8D, prodrugs 914954-75-9

914954-75-9D, prodrugs

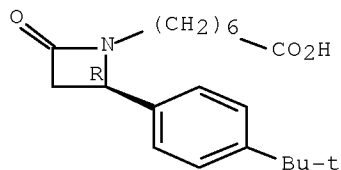
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(use of β -lactams for treatment of IBD and glaucoma)

RN 914954-74-8 CAPLUS

CN 1-Azetidineheptanoic acid, 2-[4-(1,1-dimethylethyl)phenyl]-4-oxo-, (2R)-
(CA INDEX NAME)

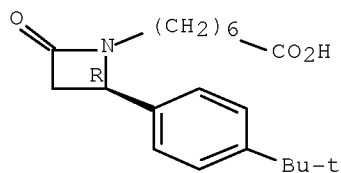
Absolute stereochemistry.



RN 914954-74-8 CAPLUS

CN 1-Azetidineheptanoic acid, 2-[4-(1,1-dimethylethyl)phenyl]-4-oxo-, (2R)-
(CA INDEX NAME)

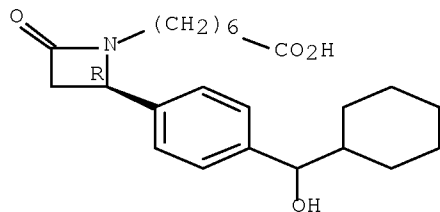
Absolute stereochemistry.



RN 914954-75-9 CAPLUS

CN 1-Azetidineheptanoic acid, 2-[4-(cyclohexylhydroxymethyl)phenyl]-4-oxo-,
(2R)- (CA INDEX NAME)

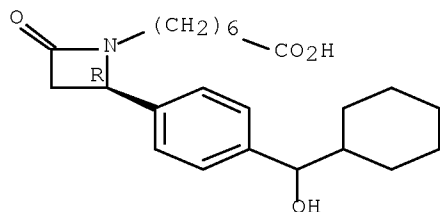
Absolute stereochemistry.



RN 914954-75-9 CAPLUS

CN 1-Azetidineheptanoic acid, 2-[4-(cyclohexylhydroxymethyl)phenyl]-4-oxo-,
(2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

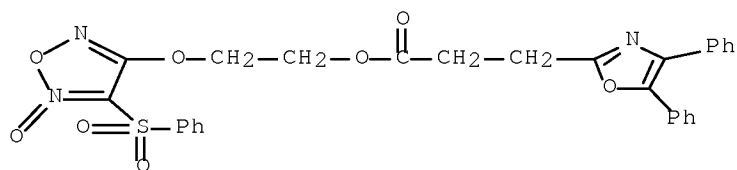
L7 ANSWER 75 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1140039 CAPLUS Full-text
 DOCUMENT NUMBER: 146:516774
 TITLE: Studies on the interaction between Oxaprozin-E and bovine serum albumin by spectroscopic methods
 AUTHOR(S): Sun, Shao-Fa; Zhou, Bo; Hou, Han-Na; Liu, Yi; Xiang, Guang-Ya
 CORPORATE SOURCE: Department of Chemistry and Life Sciences, Xianning College, Xianning, 437005, Peop. Rep. China
 SOURCE: International Journal of Biological Macromolecules (2006), 39(4-5), 197-200
 CODEN: IJBMDR; ISSN: 0141-8130
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The interaction between Oxaprozin-E and bovine serum albumin (BSA) was studied by spectroscopic methods including fluorescence and UV-vis absorption spectroscopy. The quenching mechanism of fluorescence of BSA by Oxaprozin-E was discussed to be a dynamic quenching procedure. The number of binding sites n and apparent binding constant K was measured by fluorescence quenching method. The thermodyn. parameter ΔH , ΔG , ΔS were calculated. The results indicate the binding reaction was mainly entropy-driven and hydrophobic forces played major role in the binding reaction. The distance r between donor (BSA) and acceptor (Oxaprozin-E) was obtained according to Foerster theory of non-radioactive energy transfer.

IT 936635-38-0, Oxaprozin E
 RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process)
 (interaction between Oxaprozin-E and bovine serum albumin by spectroscopic methods)

RN 936635-38-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, 2-[[5-oxido-4-(phenylsulfonyl)-1,2,5-oxadiazol-3-yl]oxy]ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 76 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1113162 CAPLUS Full-text

DOCUMENT NUMBER: 147:277501

TITLE: Polyfunctional pyrazoles. Part 4. Synthesis of 3-[3-aryl-1-(2-ethoxycarbonyl)-4-pyrazolyl]acrylic and -propionic acids

AUTHOR(S): Bratenko, M. K.; Chornous, V. A.; Vovk, M. V.

CORPORATE SOURCE: Bukovinian State Medical Academy, Chernovtsy, 58000, Ukraine

SOURCE: Chemistry of Heterocyclic Compounds (New York, NY, United States) (2006), 42(5), 600-604
CODEN: CHCCAL; ISSN: 0009-3122

PUBLISHER: Springer

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:277501

AB 3-(3-Aryl-4-formyl-1-pyrazolyl)propionic acids are converted by Knoevenagel condensation under conditions of microwave activation into 3-[3-aryl-1-(2-ethoxycarbonyl)-4-pyrazolyl]acrylic acids. Reduction of the latter with hydrazine hydrate in the presence of Raney nickel gives 3-[3-aryl-1-(2-ethoxycarbonyl)-4-pyrazolyl]propionic acids.

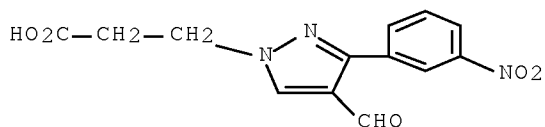
IT 882218-82-8 882218-96-4 882219-33-2
882219-41-2 946524-72-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of (aryl-ethoxycarbonyl-pyrazolyl)acrylic acids by Knoevenagel condensation of aldehydes with malonic acid under microwave irradiation into and their Raney hydrogenation with hydrazine hydrate to bispropionic acid derivs.)

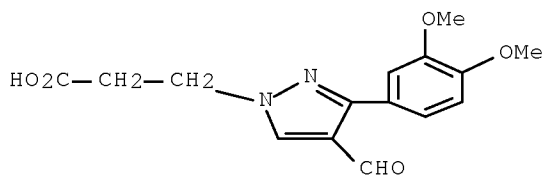
RN 882218-82-8 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-formyl-3-(3-nitrophenyl)- (CA INDEX NAME)

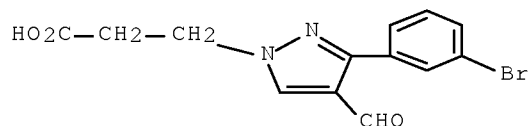


RN 882218-96-4 CAPLUS

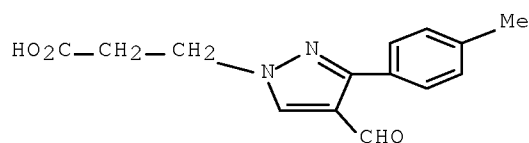
CN 1H-Pyrazole-1-propanoic acid, 3-(3,4-dimethoxyphenyl)-4-formyl- (CA INDEX NAME)



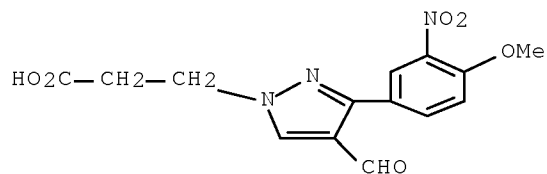
RN 882219-33-2 CAPLUS
CN 1H-Pyrazole-1-propanoic acid, 3-(3-bromophenyl)-4-formyl- (CA INDEX NAME)



RN 882219-41-2 CAPLUS
CN 1H-Pyrazole-1-propanoic acid, 4-formyl-3-(4-methylphenyl)- (CA INDEX NAME)

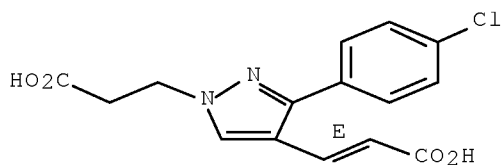


RN 946524-72-7 CAPLUS
CN 1H-Pyrazole-1-propanoic acid, 4-formyl-3-(4-methoxy-3-nitrophenyl)- (CA INDEX NAME)



IT 946524-74-9P 946524-75-0P 946524-77-2P
946524-78-3P 946524-80-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (aryl-ethoxycarbonyl-pyrazolyl)acrylic acids by Knoevenagel condensation of aldehydes with malonic acid under microwave irradiation into and their Raney hydrogenation with hydrazine hydrate to bispropionic acid derivs.)
RN 946524-74-9 CAPLUS
CN 1H-Pyrazole-1-propanoic acid, 4-[(1E)-2-carboxyethenyl]-3-(4-chlorophenyl)- (CA INDEX NAME)

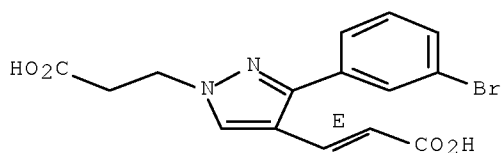
Double bond geometry as shown.



RN 946524-75-0 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(3-bromophenyl)-4-[(1E)-2-carboxyethenyl]-
(CA INDEX NAME)

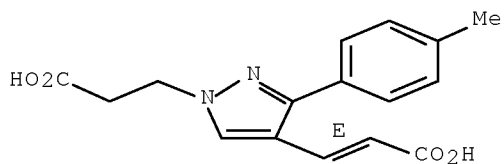
Double bond geometry as shown.



RN 946524-77-2 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[(1E)-2-carboxyethenyl]-3-(4-methylphenyl)-
(CA INDEX NAME)

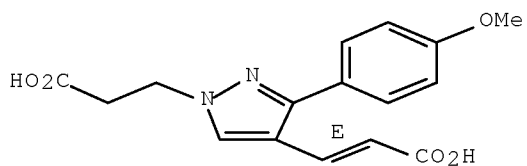
Double bond geometry as shown.



RN 946524-78-3 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[(1E)-2-carboxyethenyl]-3-(4-methoxyphenyl)-
(CA INDEX NAME)

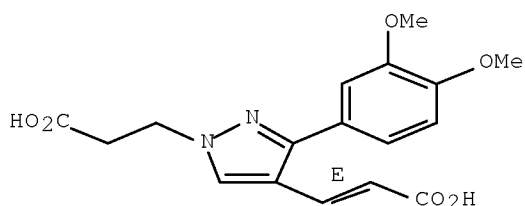
Double bond geometry as shown.



RN 946524-80-7 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[(1E)-2-carboxyethenyl]-3-(3,4-dimethoxyphenyl)- (CA INDEX NAME)

Double bond geometry as shown.



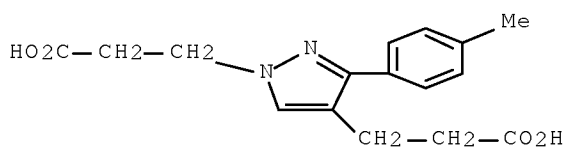
IT 882219-03-6P 882219-07-0P 882219-09-2P
946524-73-8P 946524-76-1P 946524-79-4P
946524-81-8P 946524-82-9P 946524-83-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of (aryl-ethoxycarbonyl-pyrazolyl)acrylic acids by Knoevenagel condensation of aldehydes with malonic acid under microwave irradiation into and their Raney hydrogenation with hydrazine hydrate to bispropionic acid derivs.)

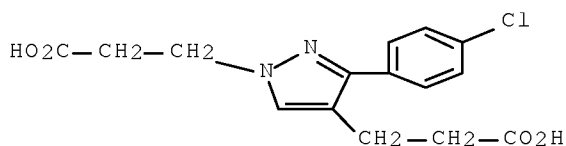
RN 882219-03-6 CAPLUS

CN 1H-Pyrazole-1,4-dipropanoic acid, 3-(4-methylphenyl)- (CA INDEX NAME)



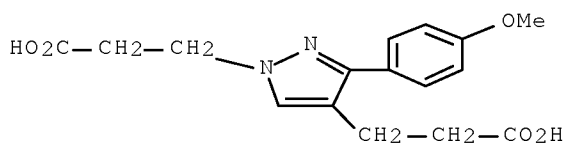
RN 882219-07-0 CAPLUS

CN 1H-Pyrazole-1,4-dipropanoic acid, 3-(4-chlorophenyl)- (CA INDEX NAME)



RN 882219-09-2 CAPLUS

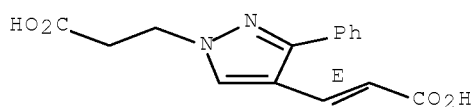
CN 1H-Pyrazole-1,4-dipropanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



RN 946524-73-8 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[(1E)-2-carboxyethenyl]-3-phenyl- (CA INDEX NAME)

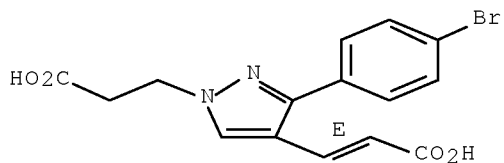
Double bond geometry as shown.



RN 946524-76-1 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-(4-bromophenyl)-4-[(1E)-2-carboxyethenyl]- (CA INDEX NAME)

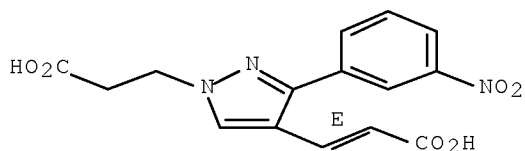
Double bond geometry as shown.



RN 946524-79-4 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[(1E)-2-carboxyethenyl]-3-(4-methoxy-3-nitrophenyl)- (CA INDEX NAME)

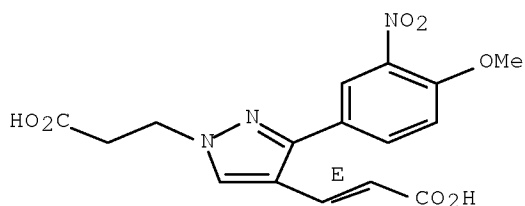
Double bond geometry as shown.



RN 946524-81-8 CAPLUS

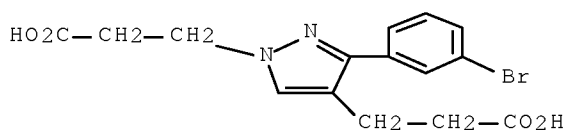
CN 1H-Pyrazole-1-propanoic acid, 4-[(1E)-2-carboxyethenyl]-3-(4-methoxy-3-nitrophenyl)- (CA INDEX NAME)

Double bond geometry as shown.



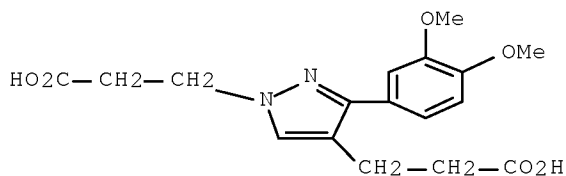
RN 946524-82-9 CAPLUS

CN 1H-Pyrazole-1,4-dipropenoic acid, 3-(3-bromophenyl)- (CA INDEX NAME)



RN 946524-83-0 CAPLUS

CN 1H-Pyrazole-1,4-dipropenoic acid, 3-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 77 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1110980 CAPLUS Full-text

DOCUMENT NUMBER: 146:81688

TITLE: Synthesis and Biological Evaluation of Azido- and Aziridino-hydroxyl- β -lactams through Stereo- and Regioselective Epoxide Ring Opening

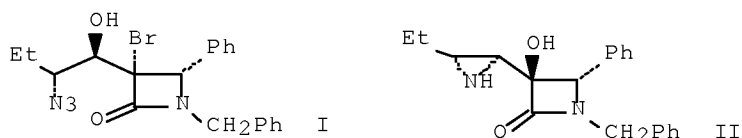
AUTHOR(S): Benfatti, Fides; Cardillo, Giuliana; Gentilucci, Luca; Perciaccante, Rossana; Tolomelli, Alessandra; Catapano, Alberico

CORPORATE SOURCE: Department of Chemistry "G. Ciamician", University of Bologna, Bologna, 40126, Italy

SOURCE: Journal of Organic Chemistry (2006), 71(24), 9229-9232
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:81688
 GI



AB Two new classes of azido- and aziridino-hydroxyl- β -lactam containing structures, e.g. I and II, have been prepared by means of a stereo- and regioselective epoxide ring opening. The straightforwardness of the procedure makes this strategy useful for the synthesis of potentially bioactive compds. Some selected examples showed promising activity in acyl CoA-cholesterol acyltransferase inhibition assays.

IT 917110-51-1P

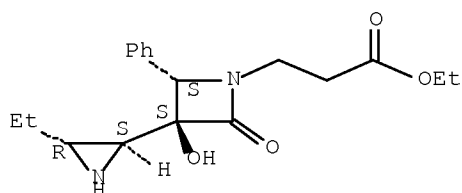
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and biol. evaluation of azido- and aziridino-hydroxyl- β -lactams through stereo- and regioselective epoxide ring opening)

RN 917110-51-1 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(2R,3S)-3-ethyl-2-aziridinyl]-3-hydroxy-2-oxo-4-phenyl-, ethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 917110-33-9P 917110-36-2P 917110-39-5P

917110-42-0P

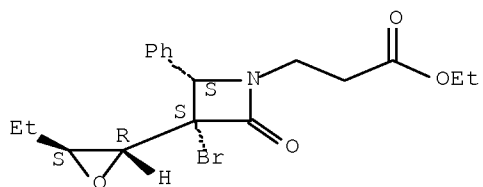
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol. evaluation of azido- and aziridino-hydroxyl- β -lactams through stereo- and regioselective epoxide ring opening)

RN 917110-33-9 CAPLUS

CN 1-Azetidinepropanoic acid, 3-bromo-3-[(2R,3S)-3-ethyl-2-oxiranyl]-2-oxo-4-phenyl-, ethyl ester, (3S,4S)-rel- (CA INDEX NAME)

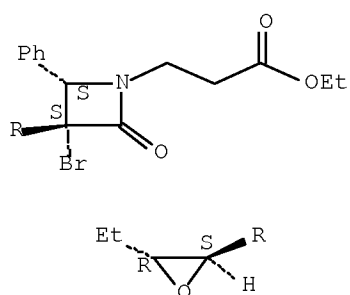
Relative stereochemistry.



RN 917110-36-2 CAPLUS

CN 1-Azetidinepropanoic acid, 3-bromo-3-[(2R,3S)-3-ethyl-2-oxiranyl]-2-oxo-4-phenyl-, ethyl ester, (3R,4R)-rel- (CA INDEX NAME)

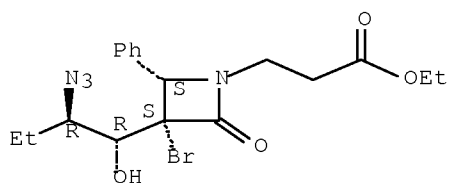
Relative stereochemistry.



RN 917110-39-5 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(1R,2R)-2-azido-1-hydroxybutyl]-3-bromo-2-oxo-4-phenyl-, ethyl ester, (3S,4S)-rel- (CA INDEX NAME)

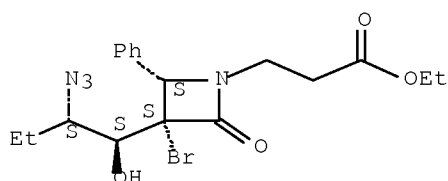
Relative stereochemistry.



RN 917110-42-0 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(1R,2R)-2-azido-1-hydroxybutyl]-3-bromo-2-oxo-4-phenyl-, ethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 917110-54-4P

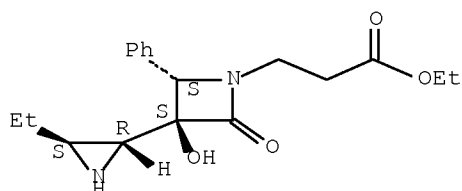
RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis and biol. evaluation of azido- and aziridino-hydroxyl- β -lactams through stereo- and regioselective epoxide ring opening)

RN 917110-54-4 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(2R,3S)-3-ethyl-2-aziridinyl]-3-hydroxy-2-oxo-4-phenyl-, ethyl ester, (3S,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 78 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1093717 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:438606

TITLE: Preparation of diarylpyrazolylfluoroalkylamines as mitotic kinesin inhibitors.

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 68pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006110390	A1	20061019	WO 2006-US12462	20060403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

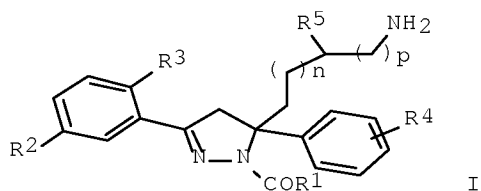
AU 2006235022	A1	20061019	AU 2006-235022	20060403
CA 2602146	A1	20061019	CA 2006-2602146	20060403
EP 1868601	A1	20071226	EP 2006-749225	20060403

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

JP 2008535839	T	20080904	JP 2008-505444	20060403
CN 101155583	A	20080402	CN 2006-80011390	20071008
IN 2007DN07767	A	20071109	IN 2007-DN7767	20071010

PRIORITY APPLN. INFO.: US 2005-669085P P 20050407
 WO 2006-US12462 W 20060403

OTHER SOURCE(S): MARPAT 145:438606
 GI



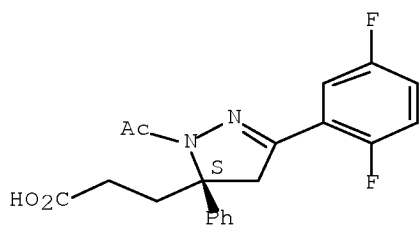
AB Title compds. [I; R1 = (substituted) alkyl, cycloalkyl; R2, R4 = H, halo, cyano, OH, (substituted) alkyl, alkoxy, cycloalkyl; R3 = halo; R5 = F, CH2F; m = 0-2; n = 0-3], were prepared Thus, (2S)-3-[(5R)-1-acetyl-3-(2,5-difluorophenyl)-5-phenyl-4,5-dihydro-1H-pyrazol-5-yl]-2-fluoropropan-1-amine [preparation from 2,5-difluorobenzoyl chloride, HC.tplbond.C(CH2)3OTHP, and PhLi given] inhibited kinesin ATPase with IC50 ≤50 μM.

IT 912917-35-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of diarylpyrazolyldfluoroalkylamines as mitotic kinesin inhibitors)

RN 912917-35-2 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 1-acetyl-3-(2,5-difluorophenyl)-4,5-dihydro-5-phenyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 79 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1038693 CAPLUS Full-text

DOCUMENT NUMBER: 145:369867

TITLE: Oxaprozin and related compounds for the treatment of inflammatory dermatological diseases, including eczemas

INVENTOR(S): Weidner, Morten Sloth

PATENT ASSIGNEE(S): Astion Development A/S, Den.

SOURCE: PCT Int. Appl., 56pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006102898	A2	20061005	WO 2006-DK178	20060330
WO 2006102898	A3	20061228		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1707199	A1	20061004	EP 2006-112006	20060330
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
EP 1707200	A1	20061004	EP 2006-112007	20060330
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CA 2603300	A1	20061005	CA 2006-2603300	20060330
US 20060222671	A1	20061005	US 2006-392938	20060330
US 20060229347	A1	20061012	US 2006-392941	20060330
US 20060251689	A1	20061109	US 2006-392944	20060330
JP 2008534526	T	20080828	JP 2008-503366	20060330
IN 2007DN07405	A	20071102	IN 2007-DN7405	20070925
MX 200712050	A	20080311	MX 2007-12050	20070928
CN 101203222	A	20080618	CN 2006-80010944	20070929
NO 2007005227	A	20071209	NO 2007-5227	20071012
KR 2008005525	A	20080114	KR 2007-725179	20071030
PRIORITY APPLN. INFO.:			DK 2005-437	A 20050330
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DK 2005-948	A	20050627
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WO 2006-DK178	W	20060330

OTHER SOURCE(S): MARPAT 145:369867

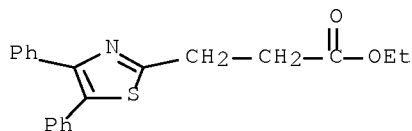
AB The invention relates to treating dermatol. diseases by inhibiting several crucial steps in the inflammatory cascade, including at least the inhibition of one or more of protein tyrosine kinase Syk, protein tyrosine kinase ZAP-70. and phosphodiesterase IV. The invention provides medicaments and methods for the treatment of inflammatory dermatol. diseases, particularly eczemas, comprising oxaprozin or a closely related compound or a salt thereof.

IT 911100-18-0 911100-19-1 911100-22-6
 911100-23-7 911100-24-8 911100-31-7
 911100-32-8 911100-33-9 911100-34-0
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 911100-53-3 911100-56-6 911100-57-7
 911100-58-8 911100-59-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (oxaprozin and related compds. for treatment of inflammatory dermatol. diseases, including eczemas)

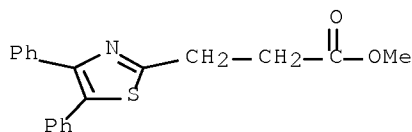
RN 911100-18-0 CAPLUS

CN 2-Thiazolepropanoic acid, 4,5-diphenyl-, ethyl ester (CA INDEX NAME)



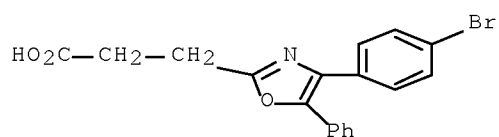
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CN 2-Thiazolepropanoic acid, 4,5-diphenyl-, methyl ester (CA INDEX NAME)



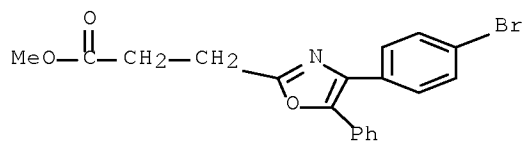
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CN 2-Oxazolepropanoic acid, 4-(4-bromophenyl)-5-phenyl- (CA INDEX NAME)



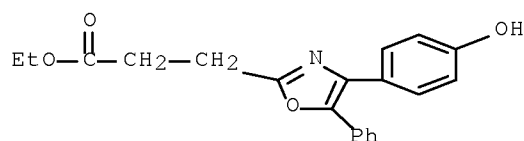
RN 911100-23-7 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-bromophenyl)-5-phenyl-, methyl ester (CA INDEX NAME)



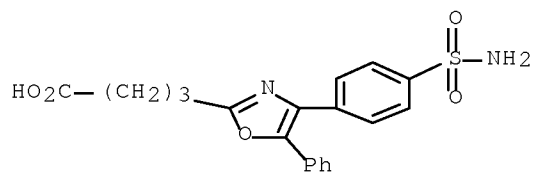
RN 911100-24-8 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-hydroxyphenyl)-5-phenyl-, ethyl ester (CA INDEX NAME)



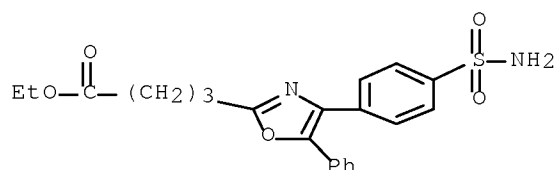
RN 911100-31-7 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



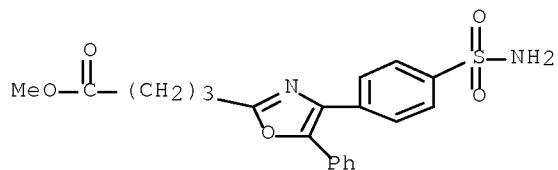
RN 911100-32-8 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl-, ethyl ester (CA INDEX NAME)



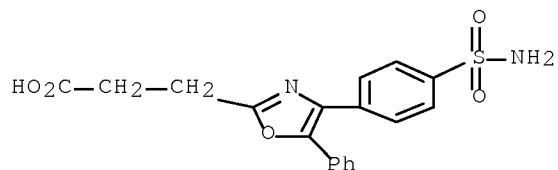
RN 911100-33-9 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl-, methyl ester (CA INDEX NAME)



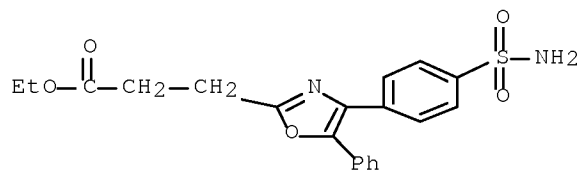
RN 911100-34-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



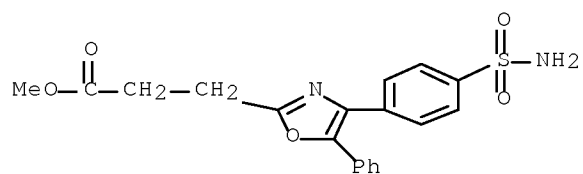
RN 911100-35-1 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl-, ethyl ester (CA INDEX NAME)



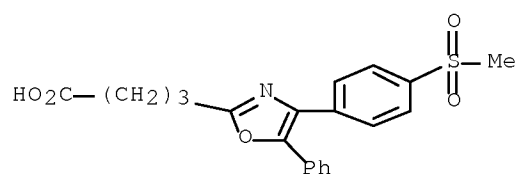
RN 911100-36-2 CAPLUS

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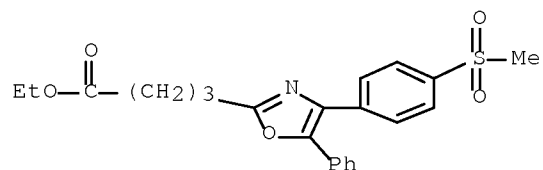
RN 911100-38-4 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



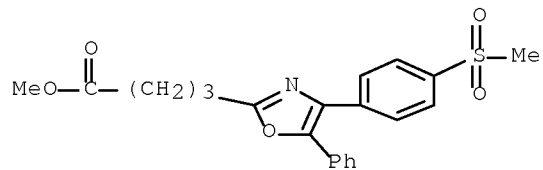
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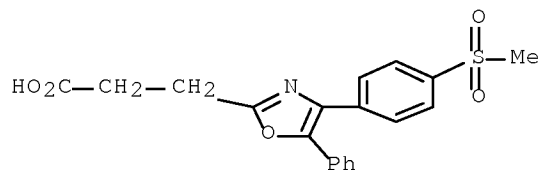
RN 911100-40-8 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-, methyl ester (CA INDEX NAME)



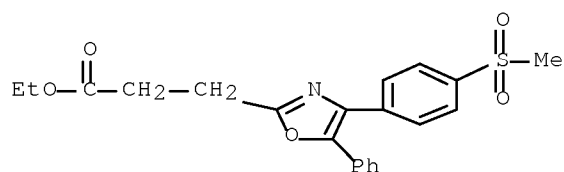
RN 911100-41-9 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



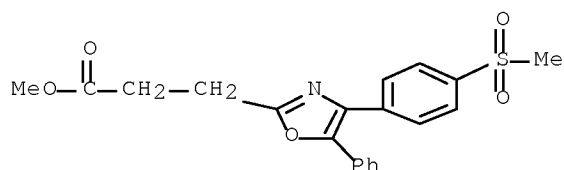
RN 911100-42-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-, ethyl ester (CA INDEX NAME)



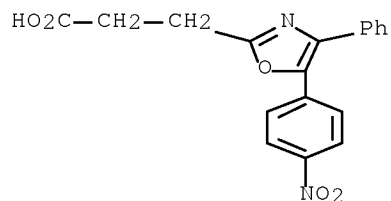
RN 911100-43-1 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-, methyl ester (CA INDEX NAME)



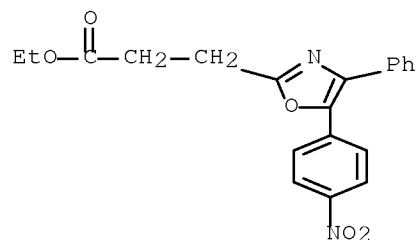
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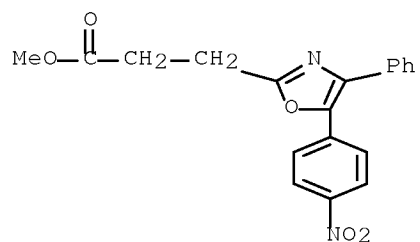
RN 911100-47-5 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-nitrophenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



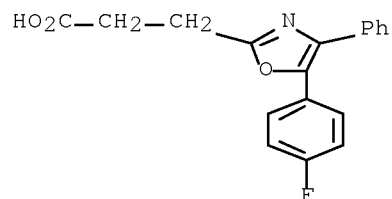
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CN 2-Oxazolepropanoic acid, 5-(4-nitrophenyl)-4-phenyl-, methyl ester (CA INDEX NAME)



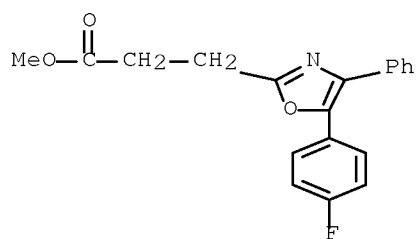
RN 911100-49-7 CAPLUS

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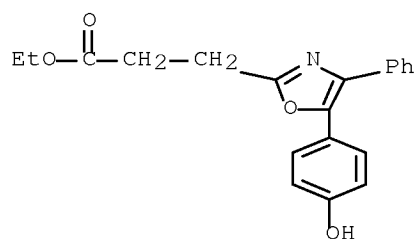
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CN 2-Oxazolepropanoic acid, 5-(4-fluorophenyl)-4-phenyl-, methyl ester (CA INDEX NAME)



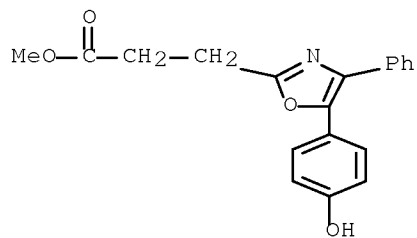
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CN 2-Oxazolepropanoic acid, 5-(4-hydroxyphenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



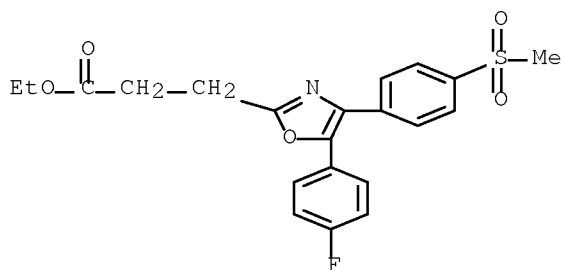
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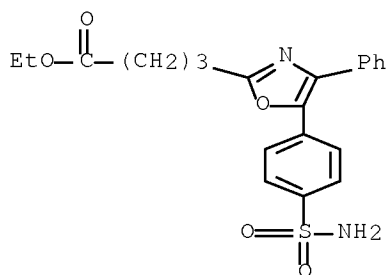
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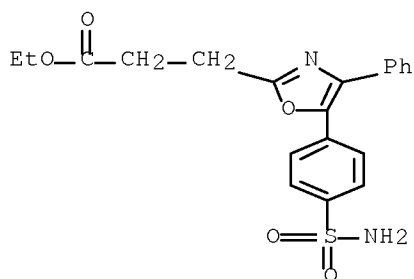
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CN 2-Oxazolebutanoic acid, 5-[4-(aminosulfonyl)phenyl]-4-phenyl-, ethyl ester
(CA INDEX NAME)



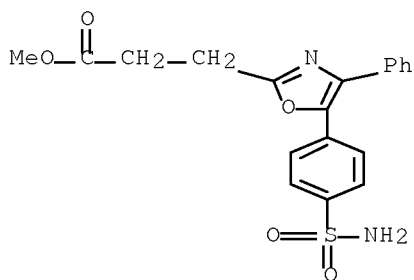
RN 911100-57-7 CAPLUS

CN 2-Oxazolepropanoic acid, 5-[4-(aminosulfonyl)phenyl]-4-phenyl-, ethyl
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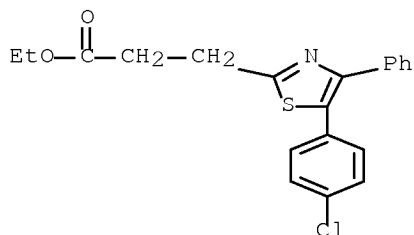


RN 911100-58-8 CAPLUS

CN 2-Oxazolepropanoic acid, 5-[4-(aminosulfonyl)phenyl]-4-phenyl-, methyl
ester (CA INDEX NAME)



RN 911100-59-9 CAPLUS
 CN 2-Thiazolepropanoic acid, 5-(4-chlorophenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



L7 ANSWER 80 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1038688 CAPLUS Full-text
 DOCUMENT NUMBER: 145:369866
 TITLE: Oxaprozin and related compounds for the treatment or prevention of pruritus
 INVENTOR(S): Weidner, Morten Sloth
 PATENT ASSIGNEE(S): Astion Development A/S, Den.
 SOURCE: PCT Int. Appl., 53pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006102899	A2	20061005	WO 2006-DK180	20060330
WO 2006102899	A3	20061228		
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EP 1707199	A1	20061004	EP 2006-112006	20060330
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PRIORITY APPLN. INFO.:

DK 2005-437	A	20050330
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DK 2005-949	A	20050627
US 2005-694774P	P	20050627
US 2005-695040P	P	20050628
WO 2006-DK180	W	20060330

OTHER SOURCE(S): MARPAT 145:369866

AB The invention provides methods and medicaments for the treatment of pruritus in general or pruritus caused by or associated with dermatol. diseases including the treatment of the underlying disease by topically administering to skin or by systemically administering to a subject oxaprozin or a closely related compound or a salt thereof.

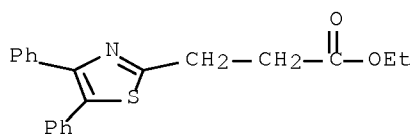
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oxaprozin and related compds. for treatment or prevention of pruritus)

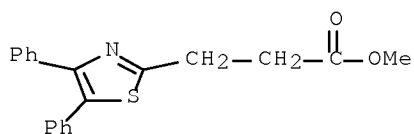
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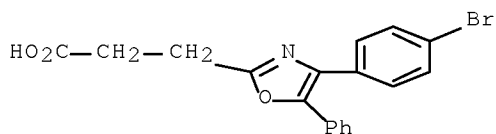
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CN 2-Thiazolepropanoic acid, 4,5-diphenyl-, methyl ester (CA INDEX NAME)



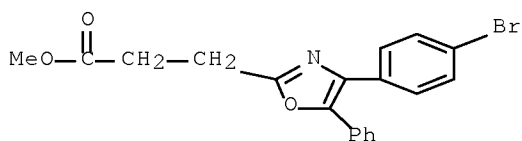
RN 911100-22-6 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-bromophenyl)-5-phenyl- (CA INDEX NAME)



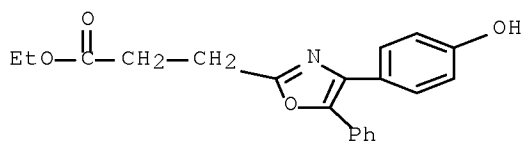
RN 911100-23-7 CAPLUS

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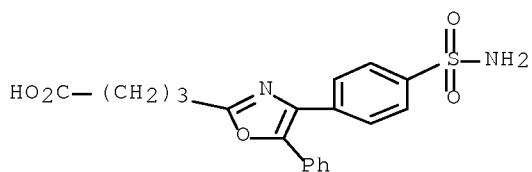
RN 911100-24-8 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-hydroxyphenyl)-5-phenyl-, ethyl ester (CA INDEX NAME)



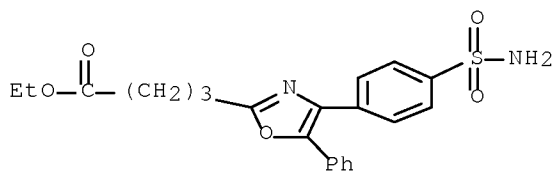
RN 911100-31-7 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



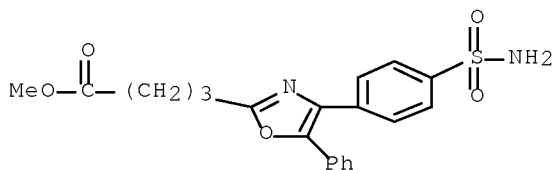
RN 911100-32-8 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl-, ethyl ester (CA INDEX NAME)



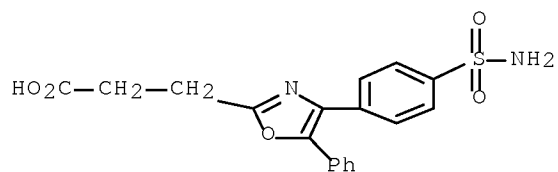
RN 911100-33-9 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl-, methyl ester (CA INDEX NAME)



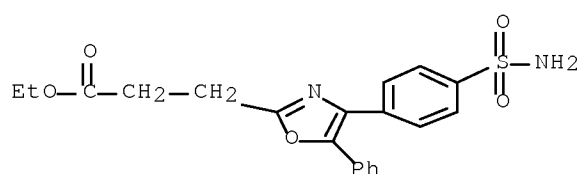
RN 911100-34-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



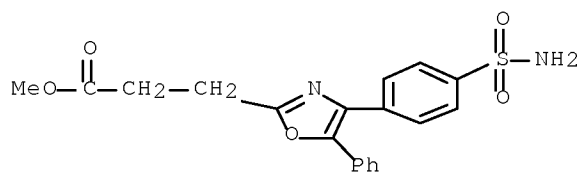
RN 911100-35-1 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl-, ethyl ester (CA INDEX NAME)



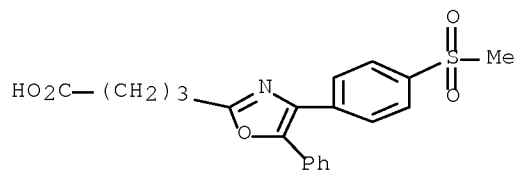
RN 911100-36-2 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl-, methyl ester (CA INDEX NAME)



RN 911100-38-4 CAPLUS

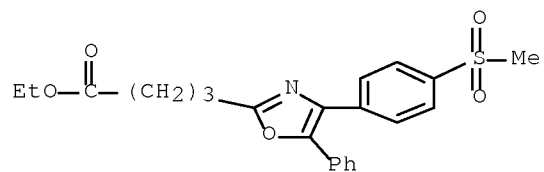
CN 2-Oxazolebutanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



RN 911100-39-5 CAPLUS

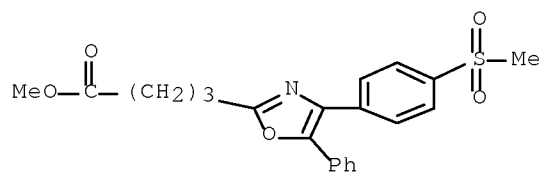
CN 2-Oxazolebutanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-, ethyl ester (CA INDEX NAME)

ester (CA INDEX NAME)



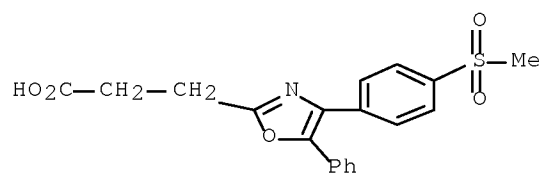
RN 911100-40-8 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-, methyl ester (CA INDEX NAME)



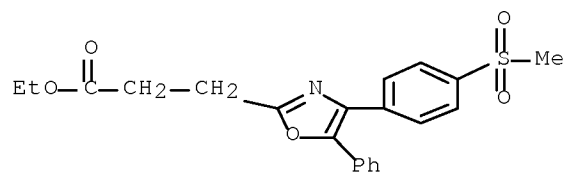
RN 911100-41-9 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



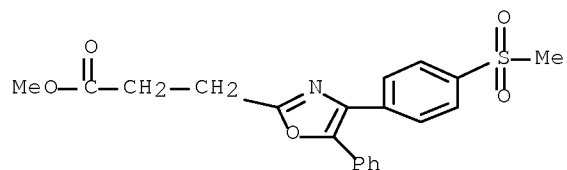
RN 911100-42-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-, ethyl ester (CA INDEX NAME)



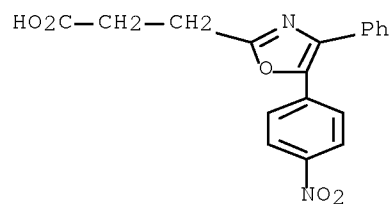
RN 911100-43-1 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-, methyl ester (CA INDEX NAME)



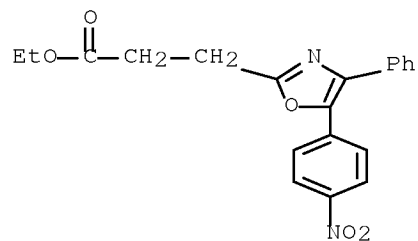
RN 911100-46-4 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-nitrophenyl)-4-phenyl- (CA INDEX NAME)



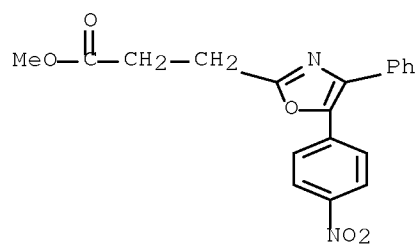
RN 911100-47-5 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-nitrophenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



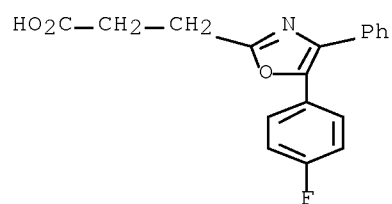
RN 911100-48-6 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-nitrophenyl)-4-phenyl-, methyl ester (CA INDEX NAME)



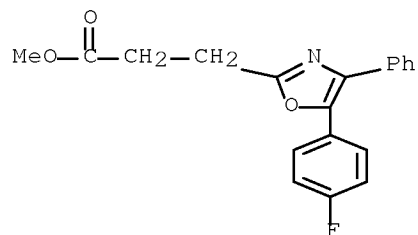
RN 911100-49-7 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-fluorophenyl)-4-phenyl- (CA INDEX NAME)



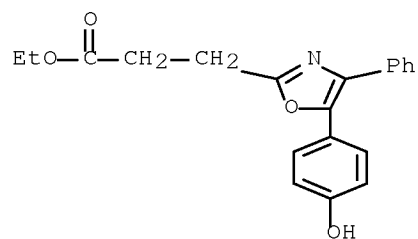
RN 911100-50-0 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-fluorophenyl)-4-phenyl-, methyl ester (CA INDEX NAME)



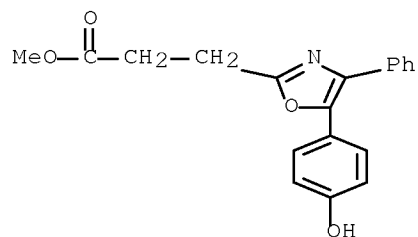
RN 911100-51-1 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-hydroxyphenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



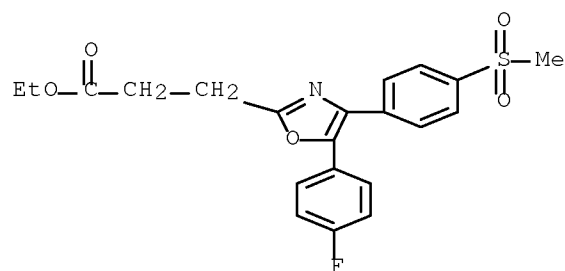
RN 911100-52-2 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-hydroxyphenyl)-4-phenyl-, methyl ester (CA INDEX NAME)



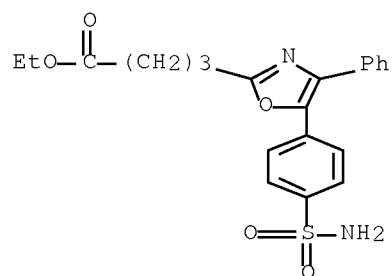
RN 911100-53-3 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]-, ethyl ester (CA INDEX NAME)



RN 911100-56-6 CAPLUS

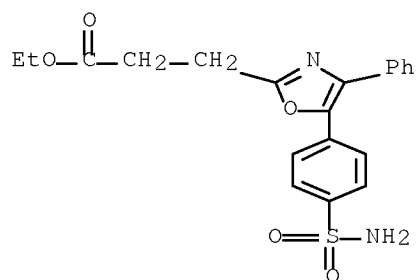
CN 2-Oxazolebutanoic acid, 5-[4-(aminosulfonyl)phenyl]-4-phenyl-, ethyl ester (CA INDEX NAME)



RN 911100-57-7 CAPLUS

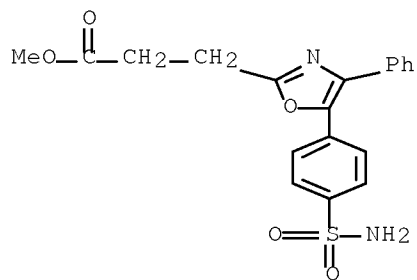
CN 2-Oxazolepropanoic acid, 5-[4-(aminosulfonyl)phenyl]-4-phenyl-, ethyl

ester (CA INDEX NAME)



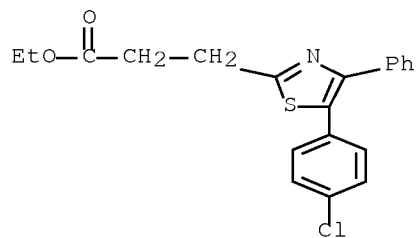
RN 911100-58-8 CAPLUS

CN 2-Oxazolepropanoic acid, 5-[4-(aminosulfonyl)phenyl]-4-phenyl-, methyl ester (CA INDEX NAME)



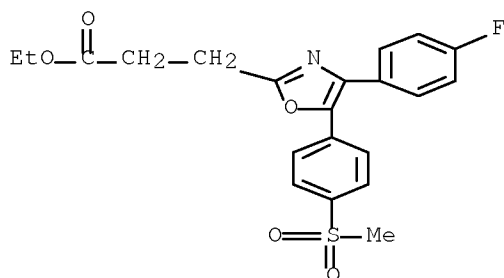
RN 911100-59-9 CAPLUS

CN 2-Thiazolepropanoic acid, 5-(4-chlorophenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



RN 911100-61-3 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-fluorophenyl)-5-[4-(methylsulfonyl)phenyl]-, ethyl ester (CA INDEX NAME)



L7 ANSWER 81 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1038655 CAPLUS Full-text
 DOCUMENT NUMBER: 145:383553
 TITLE: Compositions comprising oxaprozin for the treatment of dermatological diseases
 INVENTOR(S): Weider, Morten Sloth
 PATENT ASSIGNEE(S): Astion Development A/S, Den.
 SOURCE: PCT Int. Appl., 68pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006102900	A1	20061005	WO 2006-DK181	20060330
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1707199	A1	20061004	EP 2006-112006	20060330
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
EP 1707200	A1	20061004	EP 2006-112007	20060330
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
EP 1707201	A1	20061004	EP 2006-112009	20060330
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
AU 2006228871	A1	20061005	AU 2006-228871	20060330
CA 2605121	A1	20061005	CA 2006-2605121	20060330
US 20060222671	A1	20061005	US 2006-392938	20060330
US 20060229347	A1	20061012	US 2006-392941	20060330

US 20060251689	A1	20061109	US 2006-392944	20060330
JP 2008534528	T	20080828	JP 2008-503368	20060330
IN 2007DN07228	A	20071012	IN 2007-DN7228	20070919
MX 200712052	A	20080222	MX 2007-12052	20070928
CN 101189009	A	20080528	CN 2006-80010938	20070929
NO 2007005218	A	20071227	NO 2007-5218	20071012
KR 2008011280	A	20080201	KR 2007-725181	20071030
PRIORITY APPLN. INFO.:			DK 2005-437	A 20050330
			DK 2005-438	A 20050330
			DK 2005-948	A 20050627
			DK 2005-949	A 20050627
			US 2005-694774P	P 20050627
			US 2005-695040P	P 20050628
			WO 2006-DK181	W 20060330

OTHER SOURCE(S): MARPAT 145:383553

AB The invention relates to dermatol. compns. of oxaprozin or a closely related compound suitable adapted for the treatment of a dermatol. disease, where at least two of the enzymes selected from protein tyrosine kinase Syk, protein tyrosine kinase ZAP-70 and phosphodiesterase IV play a role in mediating the dermatol. disease. The invention also encompasses dermatol. compns. for the treatment of pruritus. Thus, oxaprozin monoethanolamine salt was prepared and formulated into a topical emulsion comprising (i) a hydrophobic phase containing Tween 80 1%, Span 60 2%, medium-chain triglycerides 20%, white petrolatum 10%, and cetanol 4%, and (ii) a hydrophilic phase containing oxaprozin monoethanolamine salt 2.5%, xanthan gum 0.5%, glycerol 2%, propylene glycol 2%, benzyl alc. 0.5%, and water 42.5%. A subject suffering from atopic dermatitis characterized by erythema and extensive pruritus was treated with the emulsion prepared experiencing a complete alleviation of the pruritus 15 min after application, which lasted 8 h. During the next week the treatment was repeated when needed, 1-3 times daily. A significant improvement of erythema was observed indicating a surprisingly good therapeutic effect not only on the pruritus, but also on the underlying disease.

IT 911109-69-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(compns. comprising oxaprozin and related compds. for treatment of dermatol. diseases mediated by protein tyrosine kinases and phosphodiesterase)

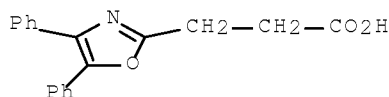
RN 911109-69-8 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, compd. with 2-aminoethanol (1:1)
(CA INDEX NAME)

CM 1

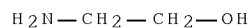
CRN 21256-18-8

CMF C18 H15 N O3



CM 2

CRN 141-43-5
CMF C2 H7 N O



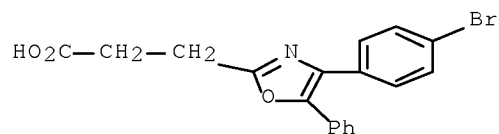
IT 911100-22-6 911100-31-7 911100-34-0
911100-38-4 911100-41-9 911100-49-7
911109-71-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(compns. comprising oxaprozin and related compds. for treatment of
dermatol. diseases mediated by protein tyrosine kinases and
phosphodiesterase)

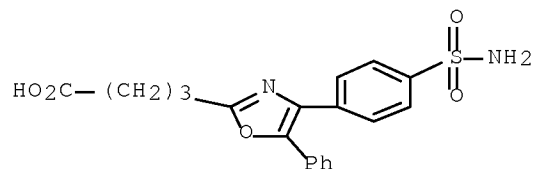
RN 911100-22-6 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-bromophenyl)-5-phenyl- (CA INDEX NAME)



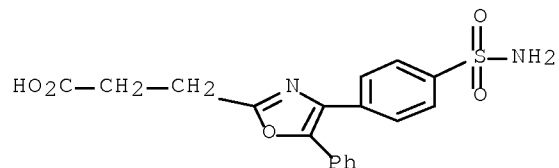
RN 911100-31-7 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



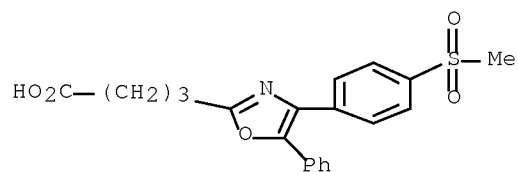
RN 911100-34-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(aminosulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



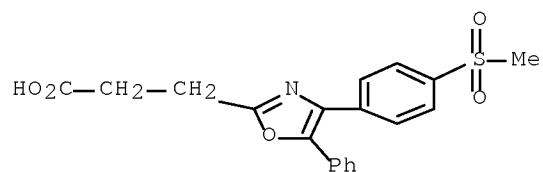
RN 911100-38-4 CAPLUS

CN 2-Oxazolebutanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



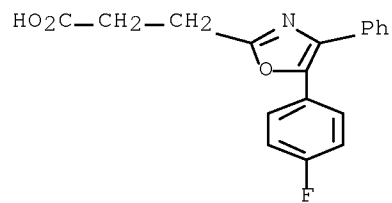
RN 911100-41-9 CAPLUS

CN 2-Oxazolepropanoic acid, 4-[4-(methylsulfonyl)phenyl]-5-phenyl- (CA INDEX NAME)



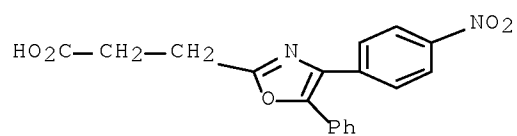
RN 911100-49-7 CAPLUS

CN 2-Oxazolepropanoic acid, 5-(4-fluorophenyl)-4-phenyl- (CA INDEX NAME)



RN 911109-71-2 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-nitrophenyl)-5-phenyl- (CA INDEX NAME)

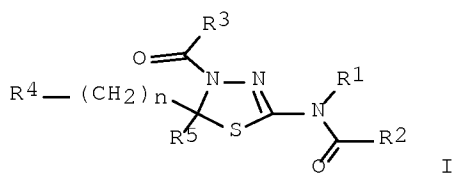


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 82 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1030332 CAPLUS Full-text
DOCUMENT NUMBER: 145:404147
TITLE: antiglaucoma agents containing thiadiazoline derivatives
INVENTOR(S): Miki, Ichiro; Nakai, Ryuichiro; Murakata, Isamu; Yamashita, Nobunori; Oshima, Etsuo
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 36pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2006265107	A	20061005	JP 2005-81151	20050322
PRIORITY APPLN. INFO.:			JP 2005-81151	20050322
OTHER SOURCE(S):	MARPAT	145:404147		

GI



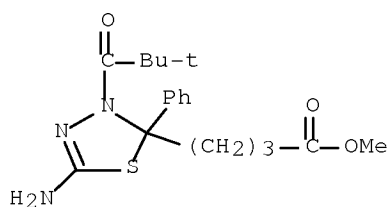
AB The invention provides antiglaucoma agents characterized by containing thiadiazoline derivative I ($n = 1-3$; $R_1 = H/R_2 = \text{lower alkyl or } R_1/R_2 = \text{alkylene}$; $R_3 = \text{lower alkyl}$; $R_4 = H, \text{ substituted sulfonylamino; substituted amino; substituted carbonyl, etc.}$; $R_5 = (\text{un})\text{substituted aryl}$), or its salt. For example, (-)-N-[4-(2,2-dimethylpropionyl)-5-(2-methanesulfonylaminoethyl)-5-phenyl-4,5-dihydro-1,3,4-thiadiazol-2-yl]-2,2-dimethylpropanamide (II) was prepared, and examined for its effects on human vascular endothelium proliferation inhibition in vitro and on intraocular pressure decrease in vivo. Also, a tablet containing II 20 mg/tablet was formulated.

IT 910634-74-1P 910634-76-3P 910634-78-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiadiazoline derivs. as antiglaucoma agents)

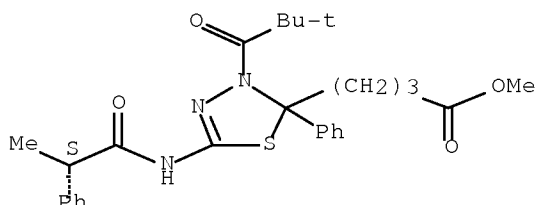
RN 910634-74-1 CAPLUS

CN 1,3,4-Thiadiazole-2-butanoic acid, 5-amino-3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-2-phenyl-, methyl ester (CA INDEX NAME)



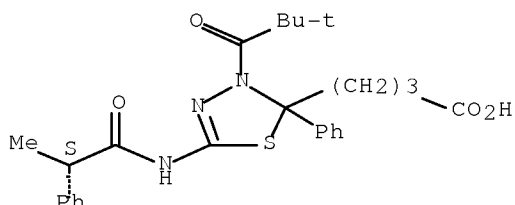
RN 910634-76-3 CAPLUS
 CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 910634-78-5 CAPLUS
 CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 83 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1011260 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 145:377356
 TITLE: Preparation of thiadiazole derivatives for treatment of arthritis
 INVENTOR(S): Miki, Ichiro; Uchii, Masako; Murakata, Chikara; Yamashita, Yoshinori; Suda, Toshio
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.
 SOURCE: PCT Int. Appl., 82pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101104	A1	20060928	WO 2006-JP305647	20060322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008137893	A	20080619	JP 2005-81149	20050322
PRIORITY APPLN. INFO.:			JP 2005-81149	A 20050322
OTHER SOURCE(S):			MARPAT 145:377356	
GI				

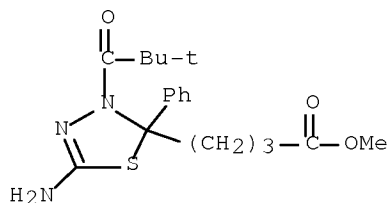
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein n = 1-3; R1 = H; R2 = alkyl; R1R2 = alkylene; R3 = alkyl, R4 = H, (un)substituted sulfonylamino, aminocarbonyl, etc.; R5 = (un)substituted aryl] and pharmaceutically acceptable salts thereof were prepared as antiarthritic agents. For instance, chiral (-)-II was synthesized via chiral resolution of amine III followed by acylation with trimethylacetyl chloride, and showed >35% cell growth inhibition of synovial cells at a concentration of 1 μ M. The invented compds. and their pharmaceutical compns. are useful for the treatment and/or prevention of various arthritis.

IT 910634-74-1P 910634-76-3P 910634-78-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of thiadiazole derivs. for treatment of arthritis)

RN 910634-74-1 CAPLUS

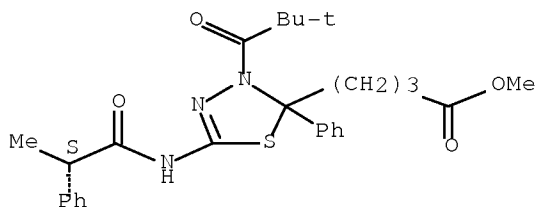
CN 1,3,4-Thiadiazole-2-butanoic acid, 5-amino-3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-2-phenyl-, methyl ester (CA INDEX NAME)



RN 910634-76-3 CAPLUS

CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl-, methyl ester (CA INDEX NAME)

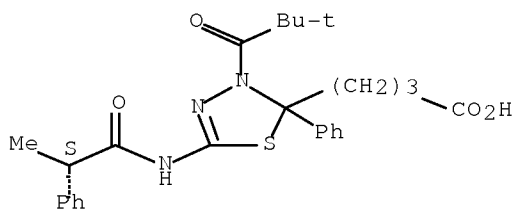
Absolute stereochemistry.



RN 910634-78-5 CAPLUS

CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 84 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1011236 CAPLUS Full-text

DOCUMENT NUMBER: 145:377355

TITLE: Preparation of thiadiazoline compounds for the treatment of solid tumor

INVENTOR(S): Murakata, Chikara; Kato, Kazuhiko; Yamamoto, Junichiro; Nakai, Ryuichiro; Okamoto, Seiho; Ino, Yoji; Kitamura, Yushi; Saitoh, Toshikazu; Katsuhira, Takeshi

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.

SOURCE: PCT Int. Appl., 101pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

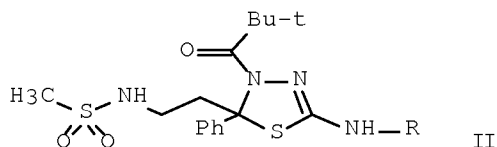
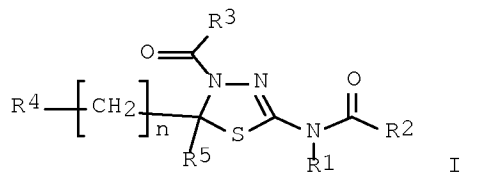
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101102	A1	20060928	WO 2006-JP305645	20060322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 AU 2006225636 A1 20060928 AU 2006-225636 20060322
 CA 2602397 A1 20060928 CA 2006-2602397 20060322
 EP 1867640 A1 20071219 EP 2006-729612 20060322
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 KR 2007113300 A 20071128 KR 2007-724036 20071019
 CN 101193878 A 20080604 CN 2006-80016797 20071115
 US 20080194653 A1 20080814 US 2008-909289 20080102
 PRIORITY APPLN. INFO.: JP 2005-81147 A 20050322
 WO 2006-JP305645 W 20060322
 OTHER SOURCE(S): MARPAT 145:377355
 GI



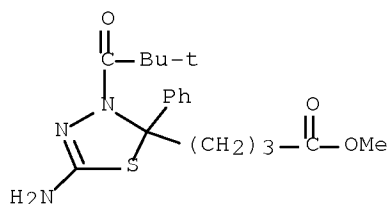
AB Title compds. I [n = 1-3; R1 = H, R2 = alkyl; R1 and R2 may combine to form
 alkylene; R3 = alkyl; R4 = NHSO2R6, NHR7, CONHR9; R6 = optionally substituted
 alkyl or alkenyl with hydroxy, alkoxy, amino, etc.; R7 = optionally
 substituted alkyl with hydroxy, alkoxy, amino, etc.; R9 = optionally
 substituted alkyl with hydroxy, alkoxy, amino, etc.; R5 = optionally
 substituted aryl with halo, hydroxy, alkoxy, etc.] and their pharmaceutically
 acceptable salts were prepared For example, deacylation of optically active
 II [R = (S)-2-phenylpropionyl], e.g., prepared by reaction of N-[2-[5-amino-3-
 (2,2-dimethylpropionyl)-2-phenyl-2,3-dihydro-1,3,4- thiadiazol-2-
 yl]ethyl]methanesulfonamide with (S)-2-phenylpropionic acid and silica-gel
 separation, followed by treatment with pivaloyl chloride afforded (-)-II [R =
 pivaloyl]. In cell proliferation inhibition assays using human colon cancer
 HCT 116 cell (ATCC: CCL-247), the GI50 value of (-)-II [R = pivaloyl] was ≤0.1
 μmol/L.
 IT 910634-74-1P 910634-76-3P 910634-78-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of optically active 4-[3-(2,2-dimethylpropionyl)-5-(2,2-dimethylpropionylamino)-2-phenyl-2,3-dihydro-1,3,4-thiadiazol-2-yl]-N-(2-hydroxyethyl)butanamide for treatment of solid tumor)

RN 910634-74-1 CAPLUS

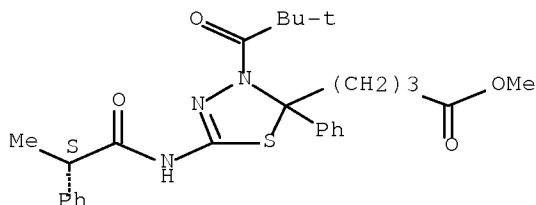
CN 1,3,4-Thiadiazole-2-butanoic acid, 5-amino-3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-2-phenyl-, methyl ester (CA INDEX NAME)



RN 910634-76-3 CAPLUS

CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl-, methyl ester (CA INDEX NAME)

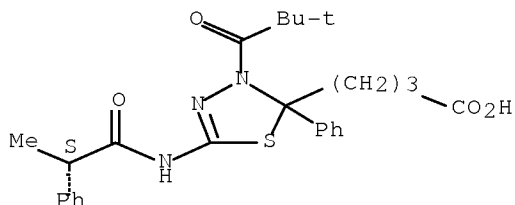
Absolute stereochemistry.



RN 910634-78-5 CAPLUS

CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

23

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 85 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1005362 CAPLUS Full-text

DOCUMENT NUMBER: 145:383483

TITLE: Thiadiazoline derivatives for the treatment of psoriasis

INVENTOR(S): Miki, Ichiro; Uchii, Masako; Kobayashi, Katsuya; Harada, Daisuke

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.

SOURCE: PCT Int. Appl., 84pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

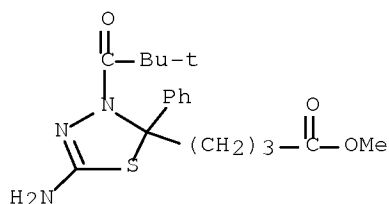
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101105	A1	20060928	WO 2006-JP305648	20060322
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
JP 2008150291	A	20080703	JP 2005-81150	20050322
PRIORITY APPLN. INFO.:			JP 2005-81150	A 20050322
OTHER SOURCE(S):	MARPAT 145:383483			

AB Disclosed is an agent for treatment and/or prevention of psoriasis which contains a thiadiazoline derivative For example, (-)-N-[4-(2,2-dimethylpropionyl)-5-(2-methanesulfonylaminoethyl)-5-phenyl-4,5-dihydro-1,3,4-thiadiazol-2-yl]-2,2-dimethylpropanamide (I) was prepared and in vitro tested for keratinocyte proliferation-inhibiting activities. I was also formulated into tablets, injections, and ointments.

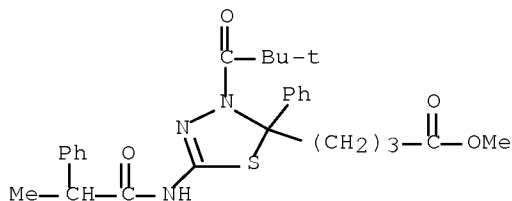
IT 910634-74-1P 910664-43-6P 910664-44-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiadiazoline derivs. for treatment of psoriasis)

RN 910634-74-1 CAPLUS

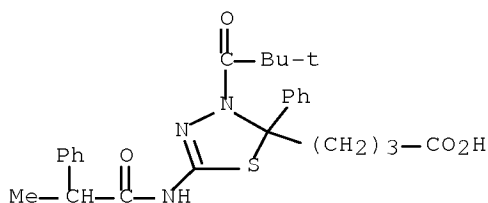
CN 1,3,4-Thiadiazole-2-butanoic acid, 5-amino-3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-2-phenyl-, methyl ester (CA INDEX NAME)



RN 910664-43-6 CAPLUS
 CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[(1-oxo-2-phenylpropyl)amino]-2-phenyl-, methyl ester (CA INDEX NAME)



RN 910664-44-7 CAPLUS
 CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[(1-oxo-2-phenylpropyl)amino]-2-phenyl- (CA INDEX NAME)

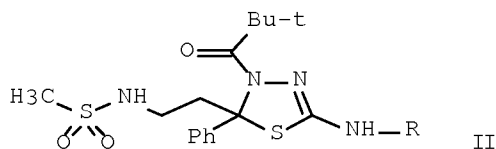
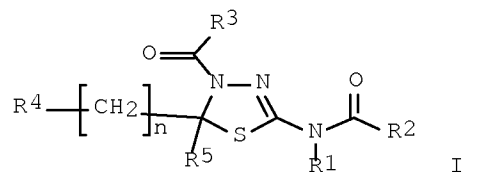


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 86 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1005268 CAPLUS Full-text
 DOCUMENT NUMBER: 145:377347
 TITLE: Preparation of thiadiazoline derivatives for the treatment of hematopoietic tumor
 INVENTOR(S): Nakai, Ryuichiro; Okamoto, Seiho; Kusaka, Hideaki; Yamashita, Yoshinori; Ishida, Hiroyuki
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.
 SOURCE: PCT Int. Appl., 85pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101103	A1	20060928	WO 2006-JP305646	20060322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,				

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 AU 2006225637 A1 20060928 AU 2006-225637 20060322
 CA 2602559 A1 20060928 CA 2006-2602559 20060322
 EP 1870404 A1 20071226 EP 2006-729613 20060322
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 KR 2007114822 A 20071204 KR 2007-724037 20071019
 CN 101193877 A 20080604 CN 2006-80016790 20071115
 PRIORITY APPLN. INFO.: JP 2005-81148 A 20050322
 WO 2006-JP305646 W 20060322
 OTHER SOURCE(S): MARPAT 145:377347
 GI

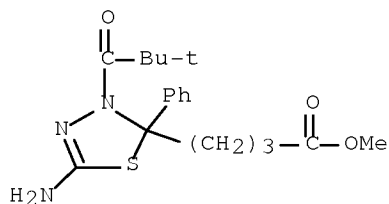


AB Title compds. I [n = 1-3; R1 = H; R2 = alkyl; R1 and R2 may combine to form
 alkylene; R3 = alkyl; R4 = H, NHSO2R6, NHR7, etc.; R6 = optionally substituted
 alkyl or alkenyl with hydroxy, alkoxy, amino, etc.; R7 = optionally
 substituted alkyl with hydroxy, alkoxy, amino, etc.; R5 = optionally
 substituted aryl with halo, hydroxy, alkoxy, etc.] and their pharmaceutically
 acceptable salts were prepared For example, deacylation of optically active
 II [R = (S)-2-phenylpropionyl], e.g., prepared by reaction of N-[2-[5-amino-3-
 (2,2-dimethylpropionyl)-2-phenyl-2,3-dihydro-1,3,4- thiadiazol-2-
 yl]ethyl]methanesulfonamide with (S)-2-phenylpropionic acid and silica-gel
 separation, followed by treatment with pivaloyl chloride afforded (-)-II [R =
 pivaloyl]. In cell proliferation inhibition assays using human acute
 lymphocytic leukemia RS4;11 cell (ATCC:CRL-1873), the GI50 value of (-)-II [R
 = pivaloyl] was ≤10 μmol/L.
 IT 910634-74-1P 910634-76-3P 910634-78-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of optically active 4-[3-(2,2-dimethylpropionyl)-5-(2,2-

dimethylpropionylamino)-2-phenyl-2,3-dihydro-1,3,4-thiadiazol-2-yl]-N-(2-hydroxyethyl)butanamide for treatment of hematopoietic tumor)

RN 910634-74-1 CAPLUS

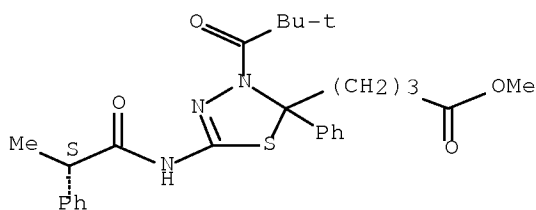
CN 1,3,4-Thiadiazole-2-butanoic acid, 5-amino-3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-2-phenyl-, methyl ester (CA INDEX NAME)



RN 910634-76-3 CAPLUS

CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl-, methyl ester (CA INDEX NAME)

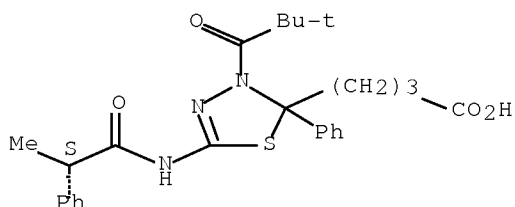
Absolute stereochemistry.



RN 910634-78-5 CAPLUS

CN 1,3,4-Thiadiazole-2-butanoic acid, 3-(2,2-dimethyl-1-oxopropyl)-2,3-dihydro-5-[[(2S)-1-oxo-2-phenylpropyl]amino]-2-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



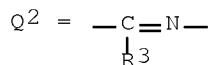
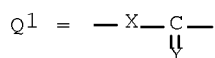
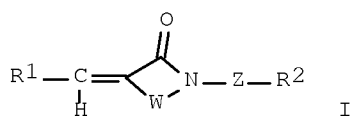
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 87 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:945673 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:336057
 TITLE: Preparation of heterocyclic compounds as inhibitors of plasminogen activator inhibitor-1
 INVENTOR(S): Muto, Susumu; Kubo, Asako; Itai, Akiko; Sotome, Tomomi; Yamaguchi, Yoichi
 PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
 SOURCE: PCT Int. Appl., 311pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006095713	A1	20060914	WO 2006-JP304324	20060307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2005-63255 A 20050308
 OTHER SOURCE(S): MARPAT 145:336057
 GI



AB The title compds. I [R1 = (un)substituted aromatic group; R2 = (un)substituted aromatic group; W = Q1, Q2; for Q1, Q2, the bond on the left-hand side is connected to C, the bond on the right-hand side is connected to N; Y = O, S; X = S, NH; R3 = (un)substituted hydrocarbon group, (un)substituted hydroxy, or CO2H which may esterified; Z = single bond or connecting group having 1 to 3 atoms in the main chain] are prepared 5-[4-Methoxy-3-(3-nitrophenoxy)benzylidene]-3-(3,4-dichlorobenzyl)thiazolidine-2,4-dione was prepared in a multistep process from isovanillin and 1-bromo-3-nitrobenzene. Many compds. of this invention at 25 μM gave > 99% inhibition of plasminogen activator inhibitor-1.

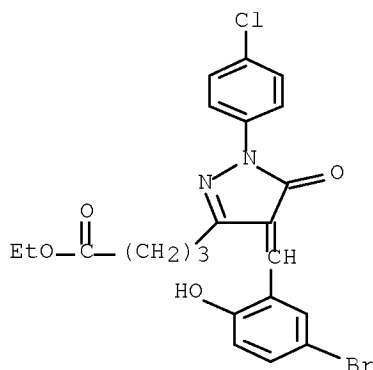
IT 909789-40-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as inhibitors of plasminogen activator inhibitor-1)

RN 909789-40-8 CAPLUS

CN 1H-Pyrazole-3-butanoic acid, 4-[(5-bromo-2-hydroxyphenyl)methylene]-1-(4-chlorophenyl)-4,5-dihydro-5-oxo-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 88 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:895980 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:455104

TITLE: Synthesis and Characterization of Water-Soluble Silver and Palladium Imidazol-2-ylidene Complexes with Noncoordinating Anionic Substituents

AUTHOR(S): Moore, Lucas R.; Cooks, Sheritta M.; Anderson, Matthew S.; Schanz, Hans-Joerg; Griffin, Scott T.; Rogers, Robin D.; Kirk, Marion C.; Shaughnessy, Kevin H.

CORPORATE SOURCE: Department of Chemistry and Center for Green Manufacturing, The University of Alabama, Tuscaloosa, AL, 35487-0336, USA

SOURCE: Organometallics (2006), 25(21), 5151-5158
CODEN: ORGND7; ISSN: 0276-7333

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:455104

AB Four zwitterionic imidazolium salts bearing alkylsulfonate or alkylcarboxylate substituents were prepared in 65% to 86% yields and used as precursors for the preparation of water-soluble metal-carbene complexes. E.g., 1-mesityl-3-(2-carboxyethyl)imidazolium (4) was prepared in 81% yield from 1-mesitylimidazole and 3-bromopropanoic acid. Reaction of the zwitterionic imidazolium compds. with Ag₂O gave bis(imidazol-2-ylidene)silver complexes in 42% to 89% yields. E.g., bis[1-mesityl-3-(3-sulfonatopropyl)imidazol-2-ylidene]silver sodium salt (7) was prepared in 89% yield from 1-mesityl-3-(3-sulfonatopropyl)imidazolium (2) and silver(I) oxide. These bis(imidazol-2-ylidene)silver complexes were characterized spectroscopically and by electrospray mass spectrometry. Addnl., bis[1-butyl-3-(2-sulfonatoethyl)imidazol-2-ylidene]silver sodium salt (6) was prepared in 56%

yield by the reaction of Ag₂O with 1-butyl-3-(ethyl-2-sodium sulfate)imidazolium bromide (1). A DMSO solvate of bis[1-(2,6-diisopropylphenyl)-3-(3-sulfonatopropyl)imidazol-2-ylidene]silver Na salt (8) and hydrates of 2 and 1-(2,6-diisopropylphenyl)-3-(3-sulfonatopropyl)imidazolium (3) were structurally characterized. In the solid state, complex 8 exists as a coordination polymer in which the Na ions bridge the sulfonate groups from two bis(imidazol-2-ylidene)silver moieties. Reaction of 2 equiv 2 with Pd(OAc)₂, NaI and KOtBu gave diiodobis[1-mesityl-3-(3-sulfonatopropyl)imidazol-2-ylidene]palladium disodium salt (11) in 11% yield. 11 Was characterized by NMR spectroscopy and electrospray mass spectrometry.

IT 913577-19-2P 913577-20-5P 913577-27-2P
913577-28-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

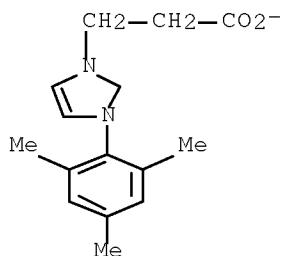
(preparation and characterization of zwitterionic imidazolium compds. and

of

water-soluble silver and palladium imidazolylidene complexes with noncoordinating anionic substituents)

RN 913577-19-2 CAPLUS

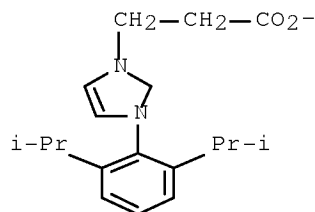
CN 1H-Imidazolium, 1-(2-carboxyethyl)-3-(2,4,6-trimethylphenyl)-, inner salt (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 913577-20-5 CAPLUS

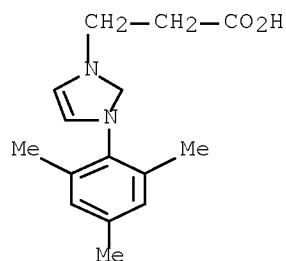
CN 1H-Imidazolium, 3-[2,6-bis(1-methylethyl)phenyl]-1-(2-carboxyethyl)-, inner salt (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 913577-27-2 CAPLUS

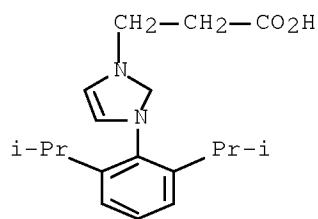
CN 1H-Imidazolium, 1-(2-carboxyethyl)-3-(2,4,6-trimethylphenyl)-, bromide (1:1) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 913577-28-3 CAPLUS

CN 1H-Imidazolium, 3-[2,6-bis(1-methylethyl)phenyl]-1-(2-carboxyethyl)-, bromide (1:1) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT 913577-24-9F 913577-25-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

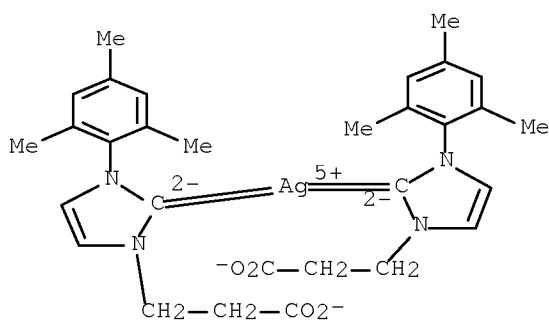
(preparation and characterization of zwitterionic imidazolium compds. and

of

water-soluble silver and palladium imidazolylidene complexes with noncoordinating anionic substituents)

RN 913577-24-9 CAPLUS

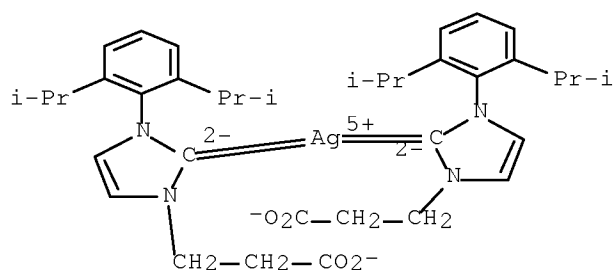
CN Argentate(1-), bis[1-(2-carboxylatoethyl)-1,3-dihydro-3-(2,4,6-trimethylphenyl)-2H-imidazol-2-ylidene]-, sodium (9CI) (CA INDEX NAME)



● Na⁺

RN 913577-25-0 CAPLUS

CN Argentate(1-), bis[1-[2,6-bis(1-methylethyl)phenyl]-3-(2-carboxylatoethyl)-1,3-dihydro-2H-imidazol-2-ylidene]-, sodium (9CI) (CA INDEX NAME)



● Na⁺

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 89 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:884499 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:293053

TITLE: Preparation of 2-sulfinyl- and 2-sulfonyl-substituted imidazole derivatives as cytokine inhibitors

INVENTOR(S): Albrecht, Wolfgang; Greim, Cornelia; Striegel, Hans-Guenter; Tollmann, Karola; Merckle, Philipp; Laufer, Stefan

PATENT ASSIGNEE(S): Merckle GmbH, Germany

SOURCE: PCT Int. Appl., 110pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

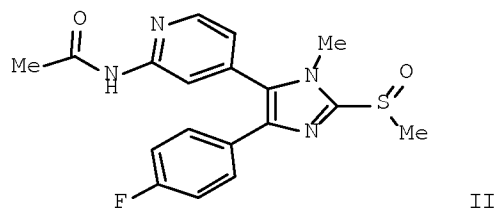
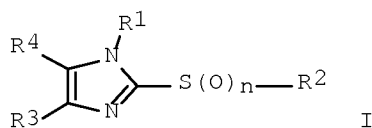
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006089798	A1	20060831	WO 2006-EP1801	20060227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006218037	A1	20060831	AU 2006-218037	20060227
CA 2599449	A1	20060831	CA 2006-2599449	20060227
EP 1853581	A1	20071114	EP 2006-723133	20060227
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU				
JP 2008531627	T	20080814	JP 2007-557404	20060227
CN 101128453	A	20080220	CN 2006-80006224	20070827
MX 200710496	A	20071107	MX 2007-10496	20070828
KR 2007107082	A	20071106	KR 2007-719748	20070829
NO 2007004912	A	20071128	NO 2007-4912	20070927
IN 2007CN04274	A	20071221	IN 2007-CN4274	20070927
PRIORITY APPLN. INFO.:			EP 2005-4369	A 20050228
			US 2005-656389P	P 20050228
			WO 2006-EP1801	W 20060227

GI



AB The invention is related to the preparation title compds. I [R1 = (un)substituted oxo/alkyl, amino/aryl, etc.; R2 = alk(en/yn)yl, Ph, etc.; or R1R2 = ethylene, propylene; n = 1-2; R3 = Ph substituted with 1 or 2 halo atoms or CF₃ groups; R4 = (un)substituted pyridin-4-yl], and their optical isomers and physiol. tolerated salts, having an immunomodulating and/or cytokine release-inhibiting effect. Thus, sulfoxide II was prepared by oxidation of N-[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3-methyl-3H-imidazol-4-yl]pyridin-2-yl]acetamide in 99.8% yield. Selected I displayed a better

metabolic stability, an increased oral bioavailability, and an increased systemic exposure compared to its sulfanyl analog. I are useful for treating disorders associated with an impairment of the immune system.

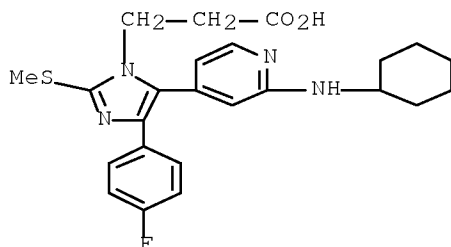
IT 1045353-13-6 1045353-15-8 1045353-54-5
1045353-55-6 1045353-57-8

RL: PRPH (Prophetic)

(Preparation of 2-sulfinyl- and 2-sulfonyl-substituted imidazole derivatives as cytokine inhibitors)

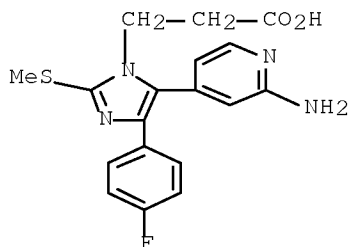
RN 1045353-13-6 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 5-[2-(cyclohexylamino)-4-pyridinyl]-4-(4-fluorophenyl)-2-(methylthio)- (CA INDEX NAME)



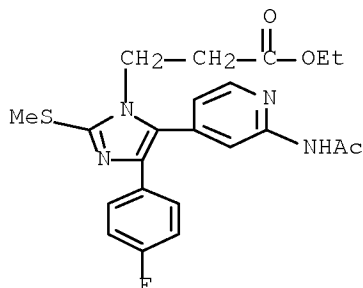
RN 1045353-15-8 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 5-(2-amino-4-pyridinyl)-4-(4-fluorophenyl)-2-(methylthio)- (CA INDEX NAME)

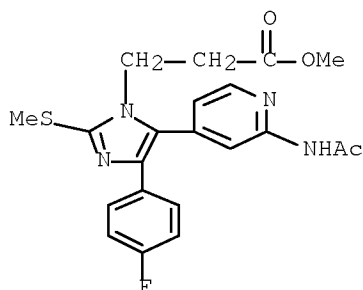


RN 1045353-54-5 CAPLUS

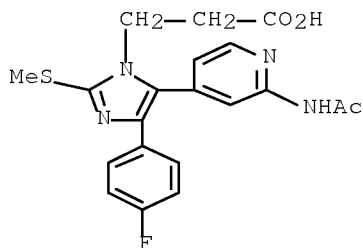
CN 1H-Imidazole-1-propanoic acid, 5-[2-(acetylamino)-4-pyridinyl]-4-(4-fluorophenyl)-2-(methylthio)-, ethyl ester (CA INDEX NAME)



RN 1045353-55-6 CAPLUS
CN 1H-Imidazole-1-propanoic acid, 5-[2-(acetylamino)-4-pyridinyl]-4-(4-fluorophenyl)-2-(methylthio)-, methyl ester (CA INDEX NAME)



RN 1045353-57-8 CAPLUS
CN 1H-Imidazole-1-propanoic acid, 5-[2-(acetylamino)-4-pyridinyl]-4-(4-fluorophenyl)-2-(methylthio)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 90 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:882330 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:455098

TITLE: Intermediacy of Radicals in Rearrangement and Decomposition of Metal-Alkyl Species: Relevance to Metal-Mediated Polymerization of Polar Vinyl Monomers

AUTHOR(S): Nagel, Megan; Sen, Ayusman

CORPORATE SOURCE: Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA

SOURCE: Organometallics (2006), 25(20), 4722-4724

CODEN: ORGND7; ISSN: 0276-7333

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:455098

AB The neutral compound [2,3-bis(2,6-diisopropylphenylimino)butane]Pd(CH₂CH₂CH₂CO₂Me)(X) (X = Cl, Br) undergoes reverse chain walking to form [2,3-bis(2,6-

diisopropylphenylimino)butane]Pd(CH(CO₂Me)CH₂CH₃)(X) through a conventional β-H elimination/readn. pathway. However, reversible Pd-alkyl bond homolysis occurs for both alkyl complexes, and the resultant radicals can initiate the polymerization of acrylates.

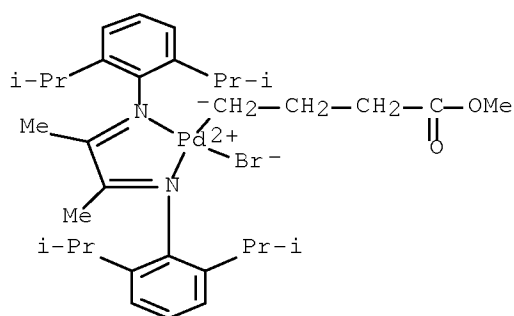
IT 913293-78-4P

RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(kinetics of rearrangement; radical rearrangements of Pd (Me butyrate) complex via conventional beta-hydride elimination/readn. pathway and intermediate radicals as initiators to acrylate polymerization)

RN 913293-78-4 CAPLUS

CN Palladium, bromo[N,N'-(1,2-dimethyl-1,2-ethanediylidene)bis[2,6-bis(1-methylethyl)benzenamine-κN]](4-methoxy-4-oxobutyl)-, (SP-4-2)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 91 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:845644 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:271770

TITLE: Preparation of substituted pyrazoles as modulators of chemokine receptors

INVENTOR(S): Pinkerton, Anthony B.; Cube, Rowena; Hutchinson, John; Huang, Dehua; Vernier, Jean-Michel; Shen, Dong-Ming

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 53pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

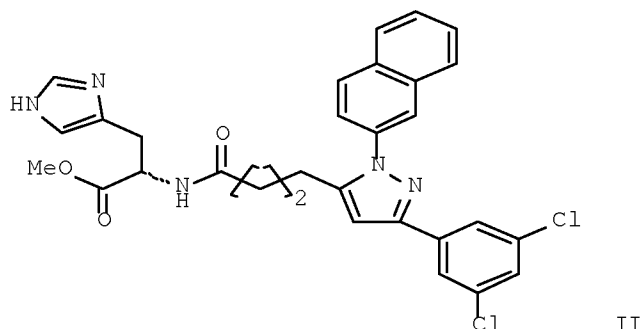
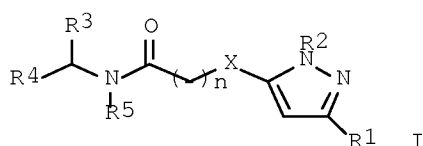
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006088813	A1	20060824	WO 2006-US5075	20060214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,				

VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
AU 2006214453 A1 20060824 AU 2006-214453 20060214
CA 2595936 A1 20060824 CA 2006-2595936 20060214
EP 1853260 A1 20071114 EP 2006-734961 20060214
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
JP 2008530211 T 20080807 JP 2007-556230 20060214
IN 2007CN03288 A 20071109 IN 2007-CN3288 20070726
US 20080167322 A1 20080710 US 2007-884325 20070813
CN 101119724 A 20080206 CN 2006-80004998 20070815
PRIORITY APPLN. INFO.: US 2005-653326P P 20050216
US 2005-660364P P 20050310
WO 2006-US5075 W 20060214
OTHER SOURCE(S): CASREACT 145:271770; MARPAT 145:271770
GI



AB Title compds. represented by the formula I [wherein R1, R2 = independently - (alkyl-W)-aryl, -(alkyl-W)-heterocyclyl, -(alkyl-W)-cycloalkyl; R3, R4 = independently -alkyl, -alkyl-W-alkyl, -alkyl-W-cycloalkyl, etc.; R5 = H, alkyl(aryl), alkylheterocyclyl, etc.; X = CH2, N, O or S; W = O, S, SO2, CO, etc.; n = 0-6; and pharmaceutically acceptable salts or individual diastereomers thereof] were prepared as chemokine receptor modulators (no data). For example, II was provided in a multi-step synthesis starting from the reaction of Et 3-(3,5-dichlorophenyl)-3-oxopropanoate with 2-naphthylhydrazine hydrochloride. I and their pharmaceutical compns. are useful as chemokine receptor modulators for the prevention or treatment of inflammatory and immunoregulatory disorders and diseases, multiple sclerosis, rheumatoid arthritis, atherosclerosis, chronic obstructive pulmonary disease, obesity, type II diabetes and metabolic syndrome.

IT 907190-39-0P, 4-[3-(3,5-Dichlorophenyl)-1-(2-naphthyl)-1H-pyrazol-

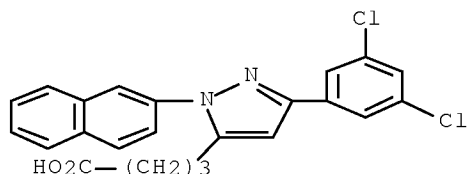
5-yl]butanoic acid

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of substituted pyrazoles as modulators of chemokine receptors)

RN 907190-39-0 CAPLUS

CN 1H-Pyrazole-5-butanoic acid, 3-(3,5-dichlorophenyl)-1-(2-naphthalenyl)-
(CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 92 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:699923 CAPLUS Full-text

DOCUMENT NUMBER: 145:167232

TITLE: Preparation of oxazole hydroxamic acid derivatives as
histone deacetylase inhibitors and use thereof

INVENTOR(S): Cho, Jeong-Woo; Lim, Sang-Chul; Maeng, Cheol-Young;
Hwang, Sun-Gwan; Bae, Sung-Jin; Kim, Eun-Ae

PATENT ASSIGNEE(S): SK Corporation, S. Korea

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

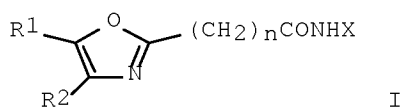
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

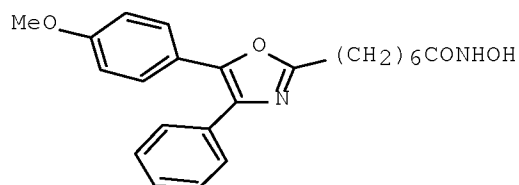
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006075888	A1	20060720	WO 2006-KR140	20060113
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
KR 2006083137	A	20060720	KR 2006-2814	20060110
EP 1841747	A1	20071010	EP 2006-715718	20060113
R:	DE, ES, FR, GB, IT			
JP 2008526957	T	20080724	JP 2007-551199	20060113
PRIORITY APPLN. INFO.:			KR 2005-3735	A 20050114
			KR 2006-2814	A 20060110
			WO 2006-KR140	W 20060113

OTHER SOURCE(S):
GI

CASREACT 145:167232; MARPAT 145:167232



I



II

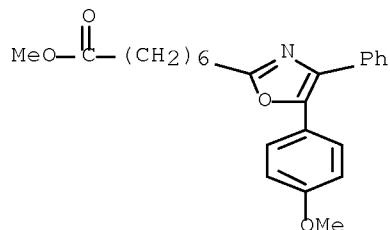
AB Title compds. I (R1 and R2 independently = (un)substituted alkyl, cycloalkyl, aryl, etc.; n = 4-8; X = OH, amino, alkyl, etc.), and their pharmaceutically acceptable salts, are prepared and disclosed as histone deacetylase (HDAC) inhibitors. Thus, e.g., II was prepared by esterification of 2-hydroxy-2-(4-methoxyphenyl)-1-phenylethanone (preparation given) with 7-chlorocarbonylheptanoic acid Me ester followed by cyclocondensation with ammonium acetate and reaction with N-hydroxylamine. Assays for inhibition of HDAC activity were conducted, e.g., II possessed an IC50 value of 1.07 (nM). The oxazole hydroxamic acid derivative and pharmaceutically useful salt thereof, prepared in accordance with the present invention, can treat and/or prevent various cancers and inflammatory diseases caused by histone deacetylase.

IT 900151-66-8P 900151-74-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazole hydroxamic acid derivs. as histone deacetylase inhibitors)

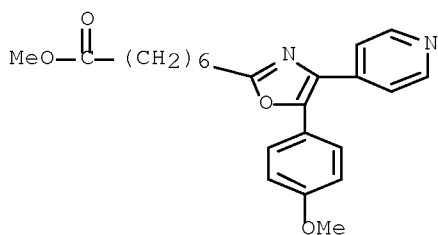
RN 900151-66-8 CAPLUS

CN 2-Oxazoleheptanoic acid, 5-(4-methoxyphenyl)-4-phenyl-, methyl ester (CA INDEX NAME)



RN 900151-74-8 CAPLUS

CN 2-Oxazoleheptanoic acid, 5-(4-methoxyphenyl)-4-(4-pyridinyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 93 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:578385 CAPLUS Full-text

DOCUMENT NUMBER: 145:62908

TITLE: Preparation of heterocyclic compounds as inhibitors of factor VIIa

INVENTOR(S): Glunz, Peter W.; Wurtz, Nicholas; Cheng, Xuhong

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 443 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

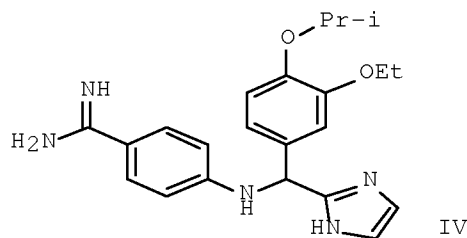
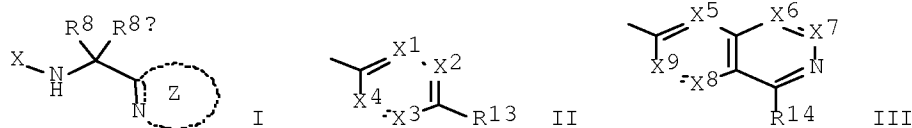
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006062972	A2	20060615	WO 2005-US44113	20051207
WO 2006062972	A3	20060824		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20060211720	A1	20060921	US 2005-295961	20051207
EP 1828152	A2	20070905	EP 2005-853124	20051207
EP 1828152	B1	20080820		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			US 2004-634290P	P 20041208
			US 2005-732926P	P 20051102
			WO 2005-US44113	W 20051207

OTHER SOURCE(S): MARPAT 145:62908

GI



AB The present invention relates generally to compds. I that inhibit serine proteases. In particular it is directed to novel heterocyclic compds. I [X = II, III; X1-X9 = CR6 or N, provided that X does not contain more than three N atoms; R6 = H, halo, OCF3, etc.; R8 = (un)substituted Ph, 5-6 membered heteroaryl containing 1-4 heteroatoms selected from N, O or S; R8a = H, alkyl; ring Z = 5-6 membered heteroaryl including the N atom shown in the ring, and containing addnl. 0-3 heteroatoms, and optionally fused to a 5-10 membered carbocycle or heterocycle; R13 = C(:NH)NH2, etc.; R14 = NH2, H, alkyl], or a stereoisomer or pharmaceutically acceptable salt, solvate, or prodrug form thereof, which are useful as selective inhibitors of serine protease enzymes of the coagulation cascade; for example thrombin, factor VIIa, factor Xa, factor XIa, factor IXa, and/or plasma kallikrein. In particular, it relates to compds. I that are factor VIIa inhibitors. Over 400 synthetic examples are provided. E.g., a multi-step synthesis of benzamidine IV, starting from 3-ethoxy-4-isopropoxybenzaldehyde and 4-aminobenzonitrile, was given. This invention also relates to pharmaceutical compns. comprising compds. I and methods of using the same.

IT 891842-02-7F

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclyl substituted benzamidines and analogs as inhibitors of factor VIIa)

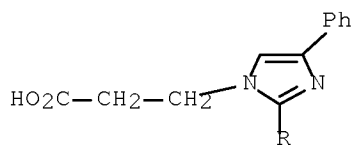
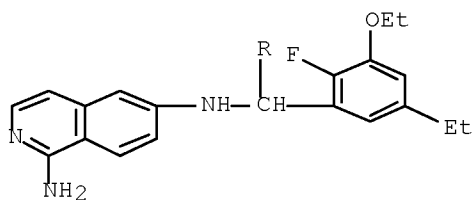
RN 891842-02-7 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 2-[[[(1-amino-6-isoquinolinyl)amino](3-ethoxy-5-ethyl-2-fluorophenyl)methyl]-4-phenyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 891842-01-6

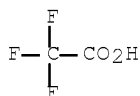
CMF C32 H32 F N5 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L7 ANSWER 94 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:565102 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:210954

TITLE: The pyroglutamate hydantoin rearrangement

AUTHOR(S): Dieltiens, Nicolai; Claeys, Diederica D.; Zhdankin, Viktor V.; Nemykin, Victor N.; Allaert, Bart; Verpoort, Francis; Stevens, Christian V.

CORPORATE SOURCE: Research Group Synbioc, Department of Organic Chemistry, Faculty of Bioscience Engineering, Ghent University, Ghent, 9000, Belg.

SOURCE: European Journal of Organic Chemistry (2006), (11), 2649-2660

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:210954

AB When a mixture of a pyroglutamate and an isocyanate in THF is treated with NaH, a ring transformation occurs leading to functionalized hydantoins. The novel reaction involves a ring-closing ring-opening sequence providing a new and straightforward access to an interesting class of heterocyclic compds. Furthermore, starting from pyroglutamates allows the synthesis of highly substituted hydantoins under very mild conditions. This ring transformation in combination with ring-closing metathesis is used in a four-step reaction sequence for the synthesis of multifunctionalized bicyclic hydantoin derivs.

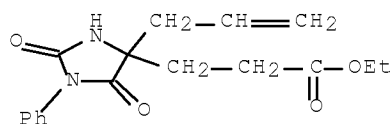
IT 904286-65-3P 904286-70-0P 904286-72-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic hydantoins via C-2 alkylation of pyroglutamates followed by ring transformation with isocyanates, N-alkylation, and ring-closing metathesis)

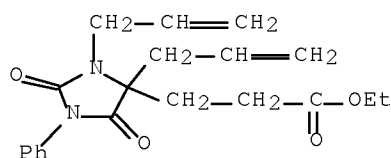
RN 904286-65-3 CAPLUS

CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-4-(2-propen-1-yl)-, ethyl ester (CA INDEX NAME)



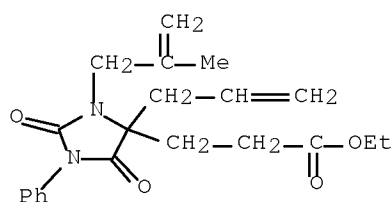
RN 904286-70-0 CAPLUS

CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-3,4-di-2-propen-1-yl-, ethyl ester (CA INDEX NAME)



RN 904286-72-2 CAPLUS

CN 4-Imidazolidinepropanoic acid, 3-(2-methyl-2-propen-1-yl)-2,5-dioxo-1-phenyl-4-(2-propen-1-yl)-, ethyl ester (CA INDEX NAME)



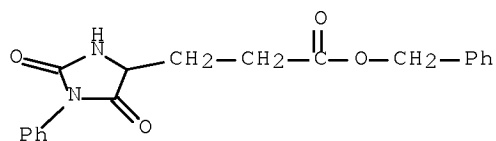
IT 904286-57-3P 904286-59-5P 904286-63-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

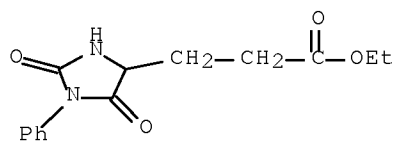
(preparation of hydantoins by reaction of pyroglutamates and isocyanates in presence of sodium hydride involving a ring-closing ring-opening sequence)

RN 904286-57-3 CAPLUS

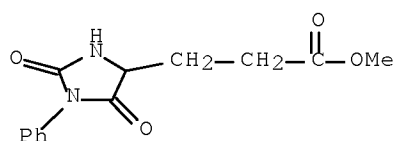
CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-, phenylmethyl ester (CA INDEX NAME)



RN 904286-59-5 CAPLUS
 CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-, ethyl ester (CA INDEX NAME)



RN 904286-63-1 CAPLUS
 CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-, methyl ester (CA INDEX NAME)

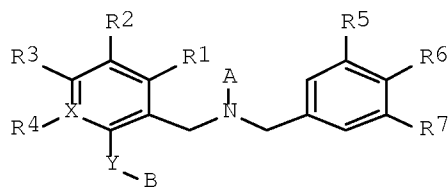


REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

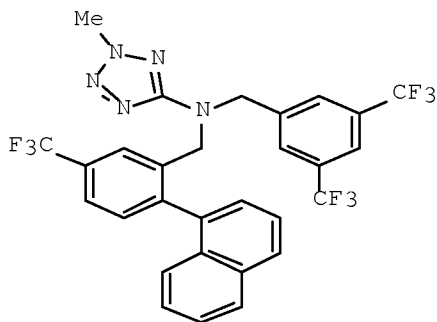
L7 ANSWER 95 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:510623 CAPLUS Full-text
 DOCUMENT NUMBER: 145:27994
 TITLE: Preparation of dibenzylamine derivatives for elevating HDL cholesterol
 INVENTOR(S): Chang, George; Didiuk, Mary Theresa; Dorff, Peter Hans; Garigipati, Ravi Shanker; Jiao, Wenhua; Lefker, Bruce Allen; Perry, David Austen; Ruggeri, Roger Benjamin; Underwood, Toby James
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 125 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006056854	A1	20060601	WO 2005-IB3500	20051121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005308584	A1	20060601	AU 2005-308584	20051121
CA 2589322	A1	20060601	CA 2005-2589322	20051121
EP 1817297	A1	20070815	EP 2005-805656	20051121
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101065366	A	20071031	CN 2005-80040087	20051121
JP 2008520645	T	20080619	JP 2007-542159	20051121
NL 1030486	A1	20060524	NL 2005-1030486	20051122
NL 1030486	C2	20061024		
IN 2007DN03215	A	20070831	IN 2007-DN3215	20070430
KR 2007069213	A	20070702	KR 2007-711611	20070522
MX 200706137	A	20070719	MX 2007-6137	20070522
NO 2007003025	A	20070820	NO 2007-3025	20070613
PRIORITY APPLN. INFO.:			US 2004-630434P	P 20041123
			US 2005-715617P	P 20050912
			WO 2005-IB3500	W 20051121
OTHER SOURCE(S): MARPAT 145:27994				
GI				



I



II

AB The title compds. I [A = CO₂(alkyl), CN, CHO, etc.; X = C or N (if X = N, R₄ is absent); Y = a bond, O, CR₁₁R₁₂, CR₁₁R₁₂O or OCR₁₁R₁₂ (R₁₁, R₁₂ = H, alkyl, haloalkyl, etc.); B = (un)substituted (hetero)aryl; R₁-R₇ = H, halo, CN, etc.], useful for elevating certain plasma lipid levels, including high d. lipoprotein-cholesterol and for lowering certain other plasma lipid levels, such as LDL-cholesterol and triglycerides and accordingly for treating diseases which are exacerbated by low levels of HDL cholesterol and/or high levels of LDL-cholesterol and triglycerides, such as atherosclerosis and cardiovascular diseases in some mammals, including humans, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 2H-tetrazol-5-amine, was given. Pharmaceutical compns. containing compds. I alone or in combination with other therapeutic agents are disclosed.

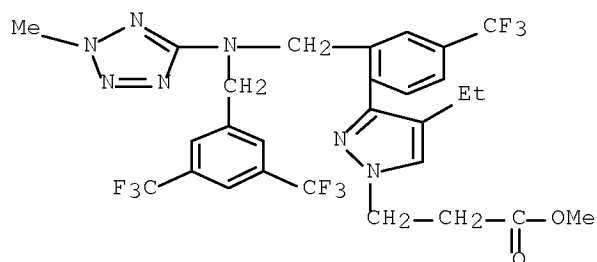
IT 888737-14-2P 888737-16-4P 888737-34-6P
888737-36-8P 888737-40-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dibenzylamine compds. for treating diseases exacerbated by low levels of HDL cholesterol, high levels of LDL-cholesterol and triglycerides such as atherosclerosis and cardiovascular diseases)

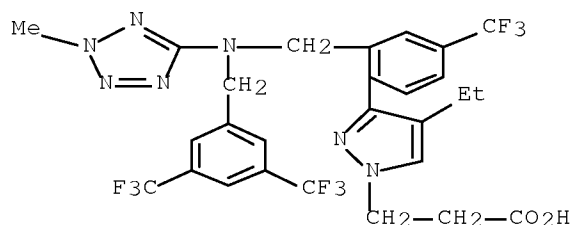
RN 888737-14-2 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl](2-methyl-2H-tetrazol-5-yl)amino]methyl]-4-(trifluoromethyl)phenyl]-4-ethyl-, methyl ester (CA INDEX NAME)



RN 888737-16-4 CAPLUS

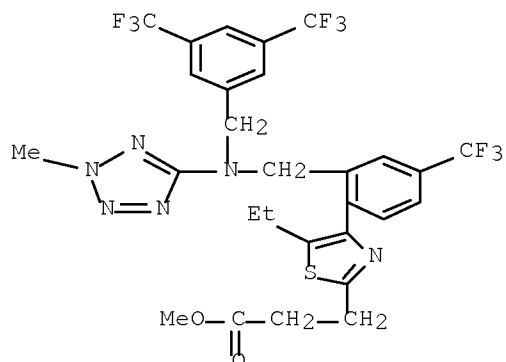
CN 1H-Pyrazole-1-propanoic acid, 3-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl](2-methyl-2H-tetrazol-5-yl)amino]methyl]-4-(trifluoromethyl)phenyl]-4-ethyl- (CA INDEX NAME)



RN 888737-34-6 CAPLUS

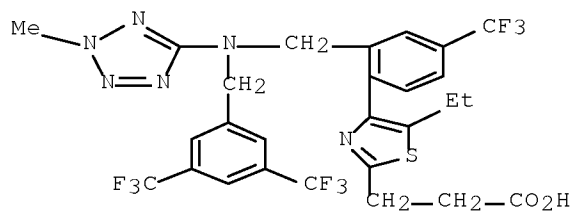
CN 2-Thiazolepropanoic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl](

2-methyl-2H-tetrazol-5-yl)amino]methyl]-4-(trifluoromethyl)phenyl]-5-ethyl-
 , methyl ester (CA INDEX NAME)



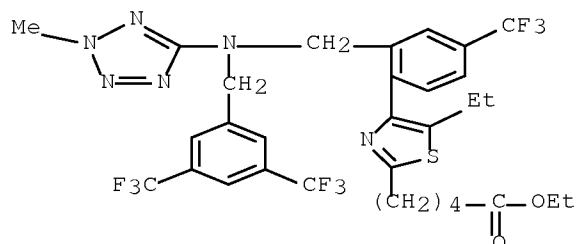
RN 888737-36-8 CAPLUS

CN 2-Thiazolepropanoic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl](
 2-methyl-2H-tetrazol-5-yl)amino]methyl]-4-(trifluoromethyl)phenyl]-5-ethyl-
 (CA INDEX NAME)



RN 888737-40-4 CAPLUS

CN 2-Thiazolepentanoic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl](
 2-methyl-2H-tetrazol-5-yl)amino]methyl]-4-(trifluoromethyl)phenyl]-5-ethyl-
 , ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 96 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:510367 CAPLUS Full-text

DOCUMENT NUMBER: 145:27983

TITLE: Preparation of arylalkanoic acid derivatives for treatment of diabetes, hyperlipidemia, etc.

INVENTOR(S): Maekawa, Tsuyoshi; Ujikawa, Osamu; Abe, Hidenori; Nomura, Izumi

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 447 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

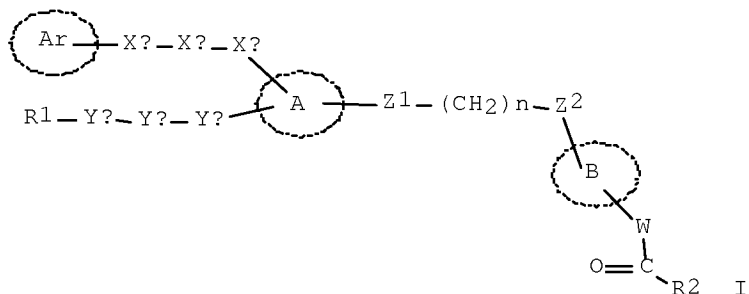
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057448	A1	20060601	WO 2005-JP22132	20051125
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1829863	A1	20070905	EP 2005-811684	20051125
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20080051418	A1	20080228	US 2007-791374	20070523
PRIORITY APPLN. INFO.:			JP 2004-342635	A 20041126
			WO 2005-JP22132	W 20051125

OTHER SOURCE(S): MARPAT 145:27983

GI



AB The title compds. I [wherein Ar represents an optionally substituted aromatic ring; Xa, Xc, Ya, Yc, Z1, and Z2 each represents a bond, O, S, CO, CS, etc.;

Xb and Yb each represents a bond or a C1-20 divalent hydrocarbon group; R1 represents an optionally substituted hydrocarbon group; ring A represents an aromatic ring (other than benzimidazole) which may be further substituted; n is an integer of 1-8; ring B represents an aromatic ring (other than oxazole) which may be further substituted; W represents a C1-20 divalent saturated hydrocarbon group; and R2 represents OR8 or NR9R10 ; R8 represents H, optionally substituted hydrocarbon group; R9 and R10 each represents H, optionally substituted hydrocarbon group, optionally substituted heterocyclic ring, etc.; provisos are given] are prepared Thus, (2-(2-[4-propyl-3-(quinolin-2-ylmethoxy)-1H-pyrazol-1-yl]ethoxy)phenyl)acetic acid 1/2 calcium salt was prepared in 2 steps from 2-[4-propyl-3-(quinolin-2-ylmethoxy)-1H-pyrazol-1-yl]ethanol and (2-hydroxyphenyl)acetic acid Me ester. Compsd. of this invention at 0.005% in feed for diabetic mice decreased blood glucose by 44% to 64%. Formulations are given.

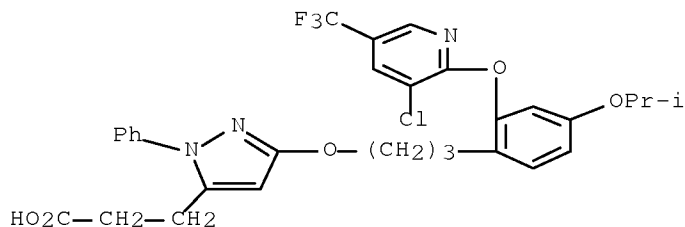
IT 888743-02-0P 888743-04-2P 888743-12-2P
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 888743-22-4P 888743-26-8P 888743-32-6P
 888743-37-1P 888743-38-2P 888743-49-5P
 888743-56-4P 888743-58-6P 888743-59-7P
 888743-61-1P 888743-62-2P 888743-64-4P
 888743-65-5P 888743-66-6P 888743-68-8P
 888743-69-9P 888743-70-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylalkanoic acid derivs. for treatment of diabetes and hyperlipidemia)

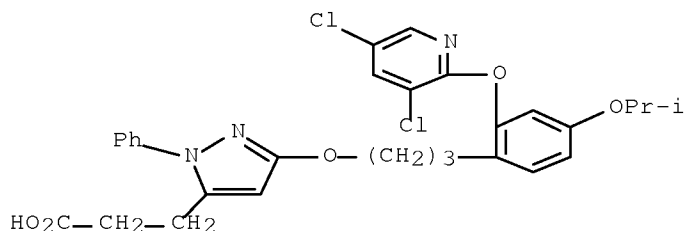
RN 888743-02-0 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[3-[2-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-4-(1-methylethoxy)phenyl]propoxy]-1-phenyl- (CA INDEX NAME)

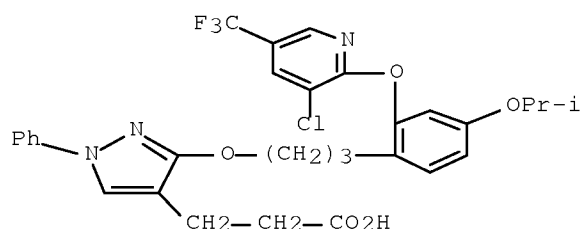


RN 888743-04-2 CAPLUS

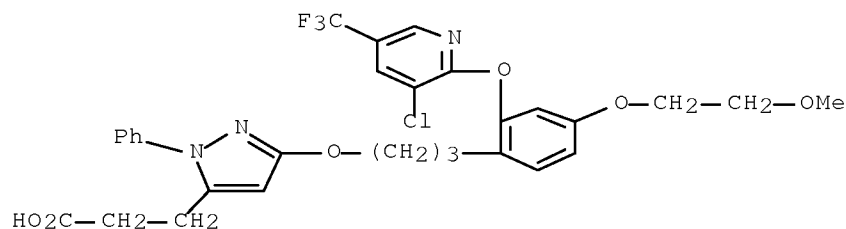
CN 1H-Pyrazole-5-propanoic acid, 3-[3-[2-[(3,5-dichloro-2-pyridinyl)oxy]-4-(1-methylethoxy)phenyl]propoxy]-1-phenyl- (CA INDEX NAME)



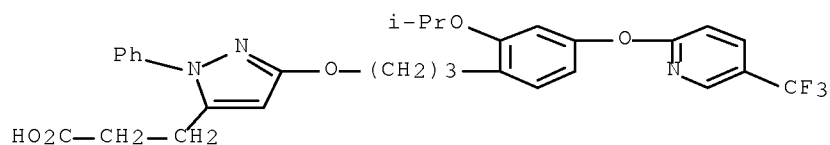
RN 888743-12-2 CAPLUS
 CN 1H-Pyrazole-4-propanoic acid, 3-[3-[2-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-4-(1-methylethoxy)phenyl]propoxy]-1-phenyl- (CA INDEX NAME)



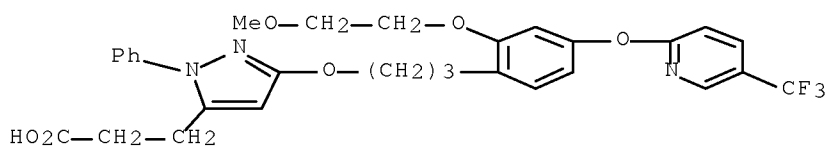
RN 888743-13-3 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 3-[3-[2-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-4-(2-methoxyethoxy)phenyl]propoxy]-1-phenyl- (CA INDEX NAME)



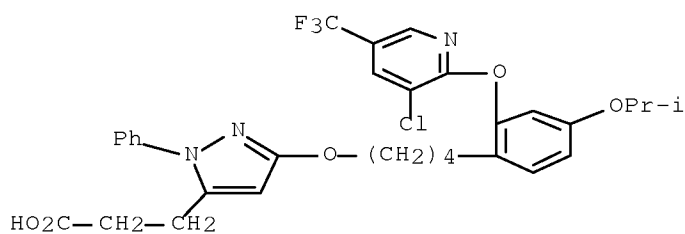
RN 888743-17-7 CAPLUS
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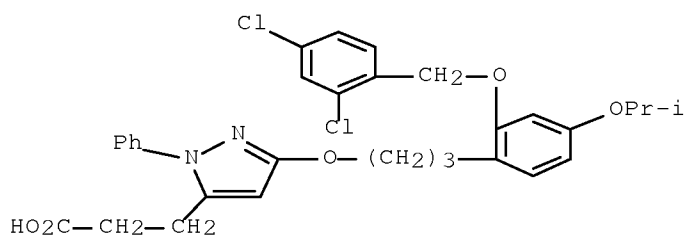
RN 888743-19-9 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 3-[3-[2-(2-methoxyethoxy)-4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl]propoxy]-1-phenyl- (CA INDEX NAME)



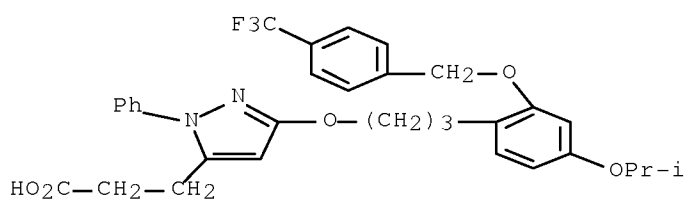
RN 888743-22-4 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 3-[4-[2-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-4-(1-methylethoxy)phenyl]butoxy]-1-phenyl- (CA INDEX NAME)



RN 888743-26-8 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 3-[3-[2-[(2,4-dichlorophenyl)methoxy]-4-(1-methylethoxy)phenyl]propoxy]-1-phenyl- (CA INDEX NAME)

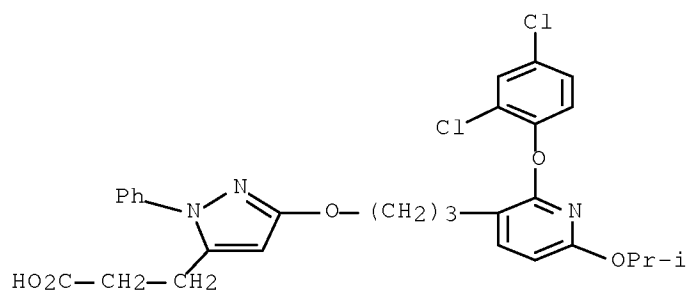


RN 888743-32-6 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 3-[3-[4-(1-methylethoxy)-2-[[4-(trifluoromethyl)phenyl]methoxy]phenyl]propoxy]-1-phenyl- (CA INDEX NAME)



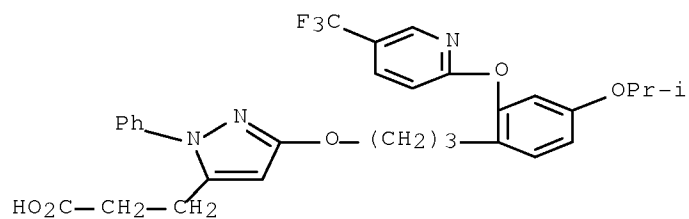
RN 888743-37-1 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[3-[2-(2,4-dichlorophenoxy)-6-(1-methylethoxy)-3-pyridinyl]propoxy]-1-phenyl- (CA INDEX NAME)



RN 888743-38-2 CAPLUS

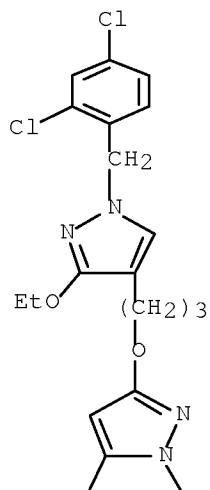
CN 1H-Pyrazole-5-propanoic acid, 3-[3-[4-(1-methylethoxy)-2-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl]propoxy]-1-phenyl- (CA INDEX NAME)



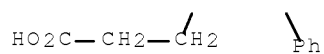
RN 888743-49-5 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[3-[1-[(2,4-dichlorophenyl)methyl]-3-ethoxy-1H-pyrazol-4-yl]propoxy]-1-phenyl- (CA INDEX NAME)

PAGE 1-A

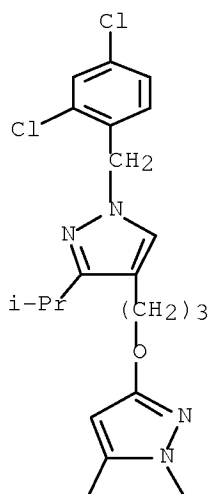


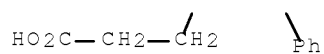
PAGE 2-A



RN 888743-56-4 CAPLUS
CN 1H-Pyrazole-5-propanoic acid, 3-[3-[1-[(2,4-dichlorophenyl)methyl]-3-(1-methylethyl)-1H-pyrazol-4-yl]propoxy]-1-phenyl- (CA INDEX NAME)

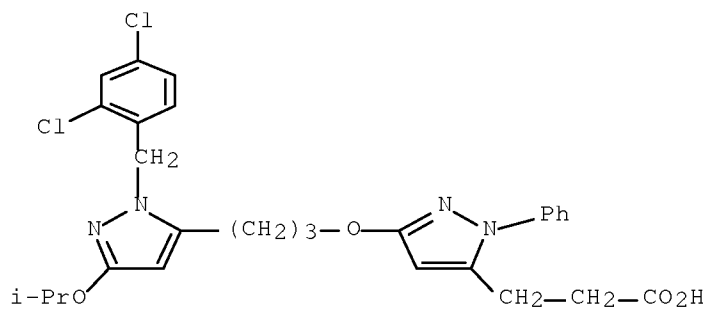
PAGE 1-A





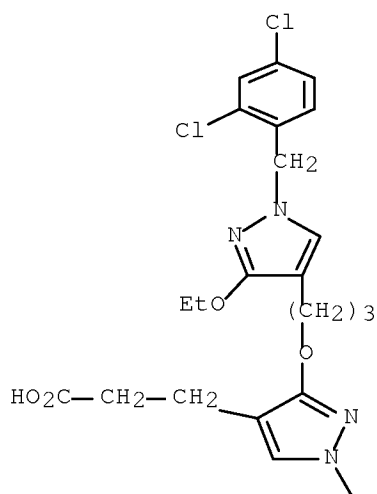
RN 888743-58-6 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[3-[1-[(2,4-dichlorophenyl)methyl]-3-(1-methylethoxy)-1H-pyrazol-5-yl]propoxy]-1-phenyl- (CA INDEX NAME)



RN 888743-59-7 CAPLUS

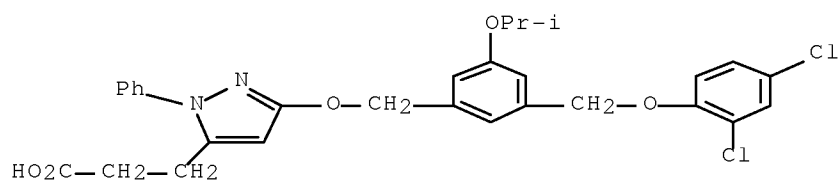
CN 1H-Pyrazole-4-propanoic acid, 3-[3-[1-[(2,4-dichlorophenyl)methyl]-3-ethoxy-1H-pyrazol-4-yl]propoxy]-1-phenyl- (CA INDEX NAME)





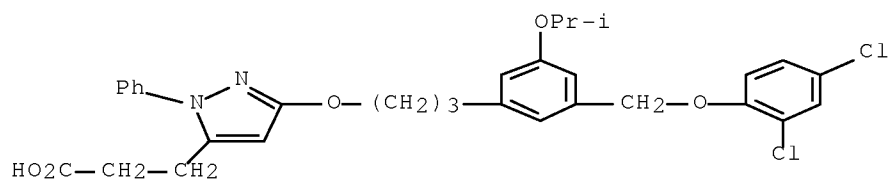
RN 888743-61-1 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[[3-[(2,4-dichlorophenoxy)methyl]-5-(1-methylethoxy)phenyl]methoxy]-1-phenyl- (CA INDEX NAME)



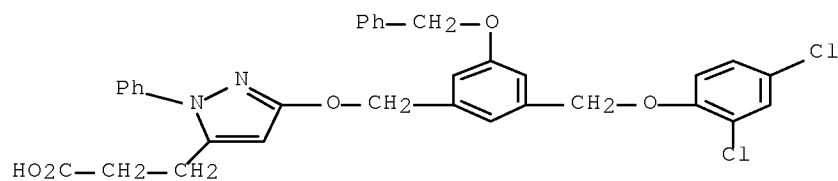
RN 888743-62-2 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[3-[3-[(2,4-dichlorophenoxy)methyl]-5-(1-methylethoxy)phenyl]propoxy]-1-phenyl- (CA INDEX NAME)



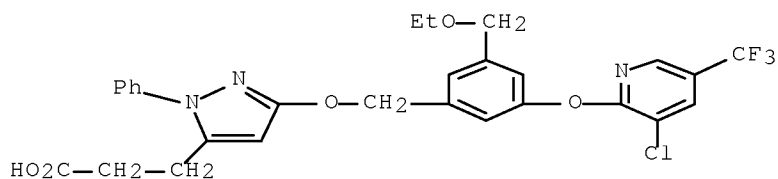
RN 888743-64-4 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[[3-[(2,4-dichlorophenoxy)methyl]-5-(phenylmethoxy)phenyl]methoxy]-1-phenyl- (CA INDEX NAME)



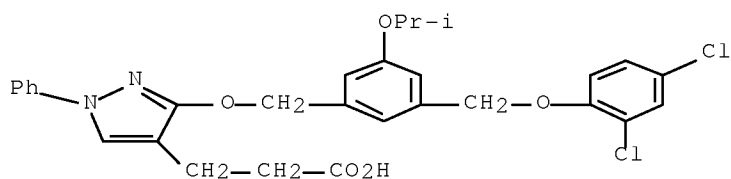
RN 888743-65-5 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[[3-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]-5-(ethoxymethyl)phenyl]methoxy]-1-phenyl- (CA INDEX NAME)



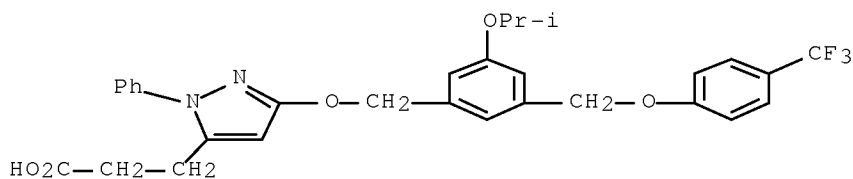
RN 888743-66-6 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 3-[[3-[(2,4-dichlorophenoxy)methyl]-5-(1-methylethoxy)phenyl]methoxy]-1-phenyl- (CA INDEX NAME)



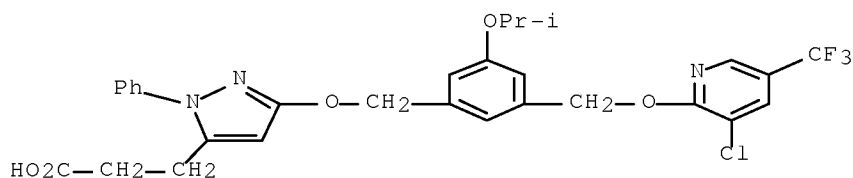
RN 888743-68-8 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[[3-(1-methylethoxy)-5-[[4-(trifluoromethyl)phenoxy]methyl]phenyl]methoxy]-1-phenyl- (CA INDEX NAME)



RN 888743-69-9 CAPLUS

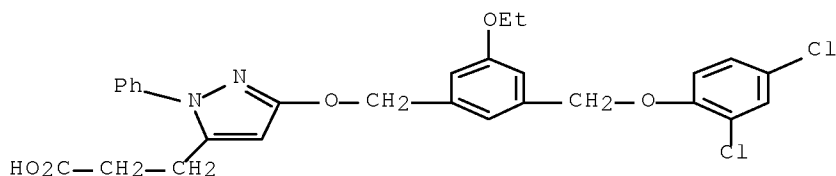
CN 1H-Pyrazole-5-propanoic acid, 3-[[3-[[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]methyl]-5-(1-methylethoxy)phenyl]methoxy]-1-phenyl- (CA INDEX NAME)



RN 888743-70-2 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[[3-[(2,4-dichlorophenoxy)methyl]-5-(1-methylethoxy)phenyl]methoxy]-1-phenyl- (CA INDEX NAME)

ethoxyphenyl]methoxy]-1-phenyl- (CA INDEX NAME)



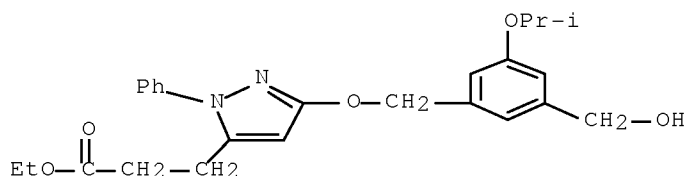
IT 888741-28-4P 888741-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylalkanoic acid derivs. for treatment of diabetes and hyperlipidemia)

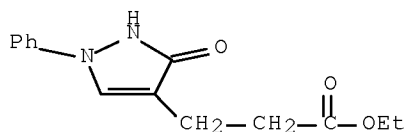
RN 888741-28-4 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 3-[[3-(hydroxymethyl)-5-(1-methylethoxy)phenyl]methoxy]-1-phenyl-, ethyl ester (CA INDEX NAME)



RN 888741-36-4 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 2,3-dihydro-3-oxo-1-phenyl-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 120 THERE ARE 120 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 97 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:496448 CAPLUS [Full-text](#)

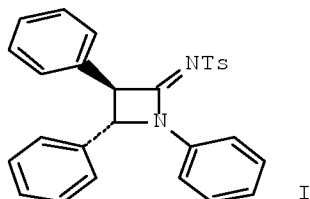
DOCUMENT NUMBER: 145:145471

TITLE: Copper-catalyzed reaction cascade: direct conversion of alkynes into N-sulfonylazetidines-2-imines

AUTHOR(S): Whiting, Matthew; Fokin, Valery V.

CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Angewandte Chemie, International Edition (2006),
45(19), 3157-3161
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:145471
GI



AB Densely functionalized azetidine derivs. are formed in an exptl. simple three-component catalytic procedure through the highly selective reaction of readily available terminal alkynes under mild conditions. Thus, reaction of TsN3, PhC.tplbond.CH, and PhN:CHPh in presence of CuI/pyridine gave N-sulfonylazetidin-2-imine I (90% yield, >95:5 trans:cis). The azetidinimine products are remarkably stable to a wide range of reaction conditions and readily undergo further functionalization.

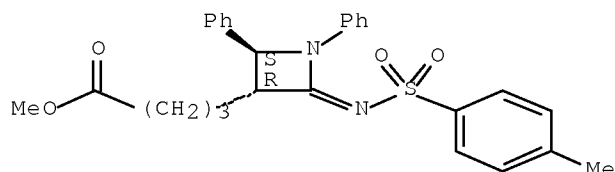
IT 898911-98-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-sulfonylazetidin-2-imines by three-component catalytic reaction of alkynes, sulfonyl azides, and imines)

RN 898911-98-3 CAPLUS

CN 3-Azetidinebutanoic acid, 2-[[[(4-methylphenyl)sulfonyl]imino]-1,4-diphenyl-, methyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 98 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:453908 CAPLUS Full-text

DOCUMENT NUMBER: 145:76017

TITLE: An exploratory theoretical elucidation on the
peroxyl-radical-scavenging mechanism and
structure-activity relationship of nonsteroidal

anti-inflammatory drugs

AUTHOR(S): Wang, Lan-Fen; Song, Yu-Guang; Zhang, Xiu-Feng; Liu, Yang

CORPORATE SOURCE: State Key Lab for Structural Chemistry of Unstable and Stable Species, Center for Molecular Sciences, Institute of Chemistry, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(12), 3241-3244
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

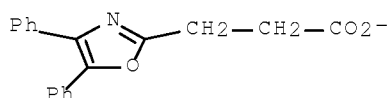
LANGUAGE: English

AB The peroxy-radical-scavenging mechanism of some nonsteroidal anti-inflammatory drugs (NSAIDs), namely tolmetin, ketorolac, indomethacin, acemetacin, and oxaprozin, is clarified by combined d. functional theory (DFT) calcns. It is revealed that H-atom-abstraction rather than electron transfer reaction is involved in the radical-scavenging process of these NSAIDs in polar aqueous solution. This seems contrary to the common viewpoint that the latter is predominant in polar media. The calculated results also show that H-atom at C(β) or C(γ) position is readily to be abstracted, and the lowest C-H bond dissociation enthalpy (BDE) can qual. account for the activity difference for the five NSAIDs.

IT 894423-74-6, Oxaprozin carboxylate
RL: BSU (Biological study, unclassified); BIOL (Biological study) (peroxy-radical-scavenging mechanism and structure-activity relationship of NSAIDs)

RN 894423-74-6 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, ion(1-) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 99 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:374310 CAPLUS Full-text

DOCUMENT NUMBER: 145:46253

TITLE: (R)-4-Hydroxymethyl-2-phenyl-4,5-dihydrooxazol-4-ylmethyl acetate: chiral building block for the synthesis of optically active α -substituted α -amino acid derivatives

AUTHOR(S): Miyaoka, Hiroaki; Yamanishi, Makoto; Hoshino, Ayako; Kinbara, Atsushi

CORPORATE SOURCE: School of Pharmacy, Tokyo University of Pharmacy and Life Science, Tokyo, 192-0392, Japan

SOURCE: Tetrahedron (2006), 62(17), 4103-4109
CODEN: TETRAB; ISSN: 0040-4020

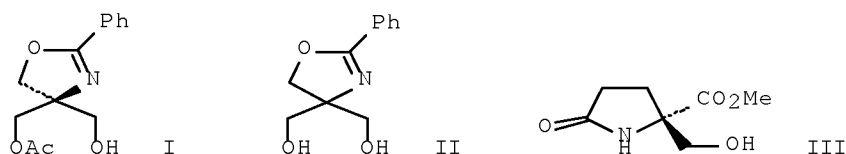
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:46253

GI



AB (R)-4-Hydroxymethyl-2-phenyl-4,5-dihydrooxazol-4-ylmethyl acetate (I) was efficiently obtained by lipase-catalyzed asymmetric reduction of prochiral diol II. I was converted to (R)-2-(hydroxymethyl)glutamic acid and to (hydroxymethyl)pyroglutamate III, a synthetic intermediate of (-)-deoxydysibetaine.

IT 889893-51-0P 889893-55-4P 889893-56-5P
889893-58-7P

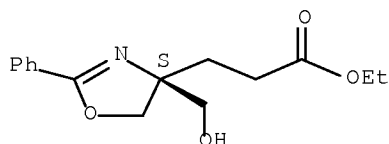
RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted amino acids via
(hydroxymethyl)phenyldihydrooxaz
olylmethyl acetate as a chiral building block)

RN 889893-51-0 CAPLUS

CN 4-Oxazolepropanoic acid, 4,5-dihydro-4-(hydroxymethyl)-2-phenyl-, ethyl ester, (4S)- (CA INDEX NAME)

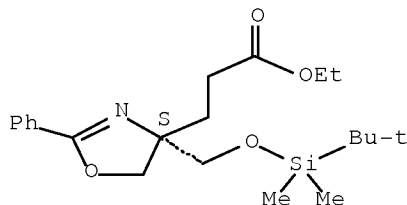
Absolute stereochemistry. Rotation (+).



RN 889893-55-4 CAPLUS

CN 4-Oxazolepropanoic acid, 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4,5-dihydro-2-phenyl-, ethyl ester, (4S)- (CA INDEX NAME)

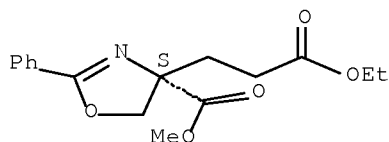
Absolute stereochemistry. Rotation (-).



RN 889893-56-5 CAPLUS

CN 4-Oxazolepropanoic acid, 4,5-dihydro-4-(methoxycarbonyl)-2-phenyl-, ethyl ester, (4S)- (CA INDEX NAME)

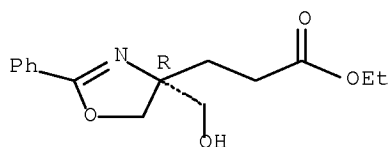
Absolute stereochemistry. Rotation (+).



RN 889893-58-7 CAPLUS

CN 4-Oxazolepropanoic acid, 4,5-dihydro-4-(hydroxymethyl)-2-phenyl-, ethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 100 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:371789 CAPLUS Full-text

DOCUMENT NUMBER: 146:295681

TITLE: Synthesis of trans-1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-oxopropyl]-4-(4-benzyloxyphenyl)-2-azetidinone

AUTHOR(S): Wang, Si-Ming; Miao, Yan-Li; Guo, Peng

CORPORATE SOURCE: College of Pharmacy, Wuhan University, Wuhan, Hubei, 430072, Peop. Rep. China

SOURCE: Wuhan Daxue Xuebao, Lixueban (2005), 51(6), 695-698
CODEN: WDXLA5; ISSN: 1671-8836

PUBLISHER: Wuhan Daxue Qikanshe

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 146:295681

AB The title compound [which is a medical intermediate used in cholesterol absorption inhibitors ezetimibe; i.e., (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone] was synthesized with overall yield 23.3% from p-hydroxybenzaldehyde via protection, condensation, cycloaddn., hydrolysis, catalytic coupling. All the products were characterized by IR, MS, ¹H NMR.

IT 928045-11-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of trans-

(fluorophenyl)[(fluorophenyl)(oxo)propyl](benzyloxyph

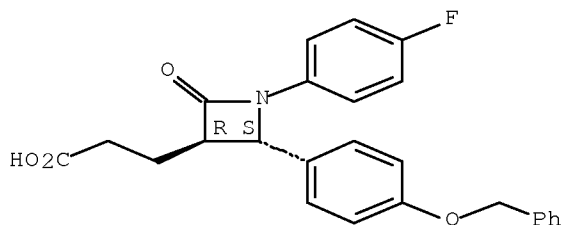
nyl)-2-azetidinone (intermediate for ezetimibe) via synthetic sequence

involving protection, condensation, cycloaddn., ester hydrolysis and catalytic coupling)

RN 928045-11-8 CAPLUS

CN 3-Azetidinepropanoic acid, 1-(4-fluorophenyl)-2-oxo-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 101 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:270587 CAPLUS Full-text

DOCUMENT NUMBER: 144:488558

TITLE: A structurally diverse library of polycyclic lactams resulting from systematic placement of proximal functional groups

AUTHOR(S): Mitchell, Judith M.; Shaw, Jared T.

CORPORATE SOURCE: Department of Chemical Biology, Broad Institute of Harvard and MIT, Cambridge, MA, 02141, USA

SOURCE: Angewandte Chemie, International Edition (2006), 45(11), 1722-1726

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:488558

AB A short, linear sequence for the synthesis of complex small polycyclic lactams is presented. The sequence, which is applied to the synthesis of a library of 529 compds., is based on a catalytic, enantioselective cycloaddn. between an oxazole and an aldehyde, so that the resultant compds. are enantiomerically pure and readily prepared in either stereochem. series.

IT 887144-16-3DP, polymer-supported

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

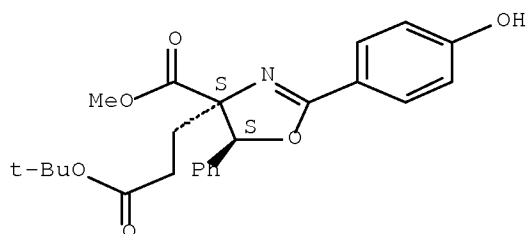
(preparation of spirocyclic lactams by stereoselective cycloaddn. of aromatic

aldehydes with polymer-supported oxazole)

RN 887144-16-3 CAPLUS

CN 4-Oxazolepropanoic acid, 4,5-dihydro-2-(4-hydroxyphenyl)-4-(methoxycarbonyl)-5-phenyl-, 1,1-dimethylethyl ester, (4S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 102 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:196522 CAPLUS Full-text

DOCUMENT NUMBER: 145:356892

TITLE: Reactions of furylruthenium complexes with oxygen and trimethylsilyl azide

AUTHOR(S): Chang, Ku-Hsien; Sung, Hui-Ling; Lin, Ying-Chih

CORPORATE SOURCE: Department of Chemistry, National Taiwan University, Taipei, 106, Taiwan

SOURCE: European Journal of Inorganic Chemistry (2006), (3), 649-655

CODEN: EJICFO; ISSN: 1434-1948

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cyclization of γ -alkoxycarbonylvinylidene ruthenium half-sandwich gave the furylruthenium complexes, which undergo dioxygen addition to give ruthenium carboxylates and reacts with TMS azide liberating free 2(5H)-furanones. Alkylation of ruthenium acetylide $(PPh_3)_2CpRuC\equiv C(C_6H_9)CH_2CO_2Me$ by bromoacetate afforded vinylidene $[(PPh_3)_2CpRu:C(C_6H_9)CH_2CO_2Me]^+$ (2b, C_6H_9 = 1-cyclohexenyl), which undergoes cyclization with formation of 2-furylruthenium complex $(PPh_3)_2CpRu(2-C_4H_5O-3-R-5-OMe)$ (4a, $R = C_6H_9$). Complex 4a upon autoxidn. gave η^1 -carboxylate $(PPh_3)_2CpRuOCOCR:CHCO_2Me$ (5a, $R = C_6H_9$) via suggested endo-peroxide intermediate; similar reaction of Ph derivative (4b, $R = Ph$) afforded $(PPh_3)_2CpRuOCOCPh:CHCO_2Me$ (5b). Further reactions of 5a,b with MeI and with organic acids gave $MeO_2CCR:CHCO_2Me$ (6), and $HO_2CCR:CHCO_2Me$ (7), resp. The reaction of 4a,b with Me_3SiN_3 gives the ruthenium azide $(PPh_3)_2CpRuN_3$ and α -alkoxyfurans, which is readily hydrolyzed to lactones in acidic medium. Treatment of the 1-cyclopropenylruthenium complex $(PPh_3)_2CpRu(\sigma\text{-cyclo-C}_3\text{H}_2\text{-Ph-3-CH:CHCO}_2\text{Me})$ (11b) containing a Me crotonate group with $TMSN_3$ affords Me 4-phenyl-1H-triazole-5-propanoate and $(PPh_3)_2CpRuCN$. In this reaction cleavage of the C:C double bond of the three-membered ring could be caused by consecutive addns. of $TMSN_3$ to olefinic carbon atoms of intermediates formed during the reaction.

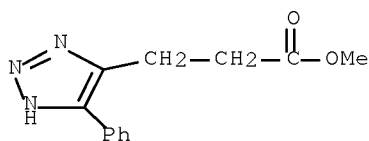
IT 910567-15-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of furyl ruthenium half-sandwich complexes and ring opening reactions with dioxygen and azide)

RN 910567-15-6 CAPLUS

CN 1H-1,2,3-Triazole-4-propanoic acid, 5-phenyl-, methyl ester (CA INDEX NAME)



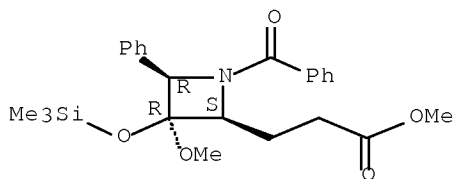
REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 103 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:180058 CAPLUS Full-text
 DOCUMENT NUMBER: 144:390266
 TITLE: Electroreductive Intramolecular Coupling of Aromatic Imino Esters: Is Four-Membered Cyclization Much More Favorable than Six-Membered Cyclization?
 AUTHOR(S): Kise, Naoki; Hirano, Yuuki; Tanaka, Yoshi
 CORPORATE SOURCE: Department of Biotechnology, Faculty of Engineering, Tottori University, Tottori, 680-8552, Japan
 SOURCE: Organic Letters (2006), 8(7), 1323-1325
 CODEN: ORLEF7; ISSN: 1523-7060
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:390266

AB The electroredn. of an aromatic imino ester prepared from (S)-glutamic acid in the presence of chlorotrimethylsilane and triethylamine afforded a four-membered cyclized product, a mixed ketal of cis-2,4-disubstituted azetidine-3-one, stereospecifically. Calcns. for the transition states by the DFT method support the predominant formation of the azetidine. The electroredn. of an aromatic imino ester prepared from (S)-aspartic acid gave almost equal amts. of a diastereomerically pure mixed ketal of cis-2,4-disubstituted azetidine-3-one and a diastereomeric mixture of 2,5-disubstituted pyrrolidin-3-one.

IT 883565-89-7P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (crystallog.; four-membered cyclization vs. six-membered cyclization in electroreductive intramol. coupling of aromatic imino esters)
 RN 883565-89-7 CAPLUS
 CN 2-Azetidinepropanoic acid, 1-benzoyl-3-methoxy-4-phenyl-3-[(trimethylsilyl)oxy]-, methyl ester, (2S,3R,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



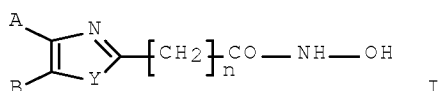
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 104 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:151230 CAPLUS Full-text
 DOCUMENT NUMBER: 144:212767
 TITLE: Preparation of hydroxamic acid derivatives as
 interleukin-6 and/or TNF α production inhibitors
 INVENTOR(S): Nakatogawa, Kiyoshi; Takagi, Masamichi; Akashima,
 Makoto
 PATENT ASSIGNEE(S): Shizuoka Coffein Co., Ltd, Japan
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006016399	A1	20060216	WO 2004-JP11473	20040810
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1787986	A1	20070523	EP 2004-771460	20040810
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20070208065	A1	20070906	US 2007-659810	20070209
PRIORITY APPLN. INFO.:			WO 2004-JP11473	W 20040810
OTHER SOURCE(S):	MARPAT	144:212767		

GI



AB Title compds. I [A, B = H, alkyl, (un)substituted aryl; Y = O, S; n = 1-8, excluding A = B = Ph, Y = O and n = 2] were prepared For example, amidation of 6-[5-(4-fluorophenyl)-4-phenylthiazol-2-yl]hexanoic acid, e.g., prepared from 2-amino-1-(4-fluorophenyl)-2-phenylethanone hydrochloride in 3 steps, with hydroxyamine hydrochloride afforded compound I [Y= S; A = phenyl; B = 4-fluorophenyl; n = 5] in 90% yield. In TNF α production inhibition assays, compound I [Y = S; A = phenyl; B = 4-fluorophenyl; n = 5] exhibited the activity of 40%. Compds. I are claimed useful as interleukin-6 and/or TNF α production inhibitors.

IT 875771-51-0P 875771-53-2P 875771-54-3P
 875771-55-4P 875771-56-5P 875771-57-6P
 875771-58-7P 875771-59-8P 875771-60-1P
 875771-61-2P 875771-62-3P 875771-64-5P
 875771-65-6P 875771-66-7P 875771-67-8P

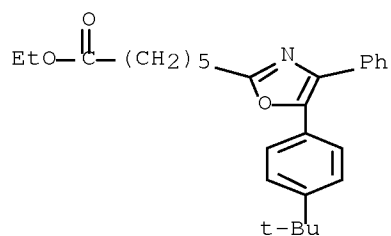
875771-68-9P 875771-69-0P 875771-70-3P
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 875771-78-1P 875771-80-5P 875771-81-6P
 875771-82-7P 875771-83-8P 875771-84-9P
 875771-87-2P 875771-88-3P 875771-89-4P
 875771-90-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of hydroxamic acid derivs. as interleukin-6 and/or TNF α
 production inhibitors)

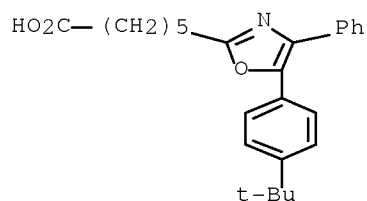
RN 875771-51-0 CAPLUS

CN 2-Oxazolehexanoic acid, 5-[4-(1,1-dimethylethyl)phenyl]-4-phenyl-, ethyl
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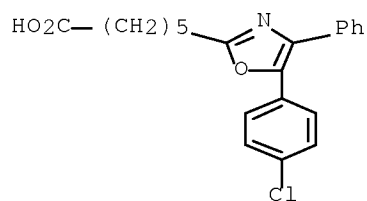
RN 875771-53-2 CAPLUS

CN 2-Oxazolehexanoic acid, 5-[4-(1,1-dimethylethyl)phenyl]-4-phenyl- (CA
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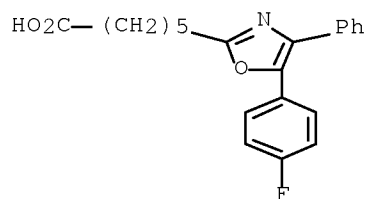
RN 875771-54-3 CAPLUS

CN 2-Oxazolehexanoic acid, 5-(4-chlorophenyl)-4-phenyl- (CA INDEX NAME)



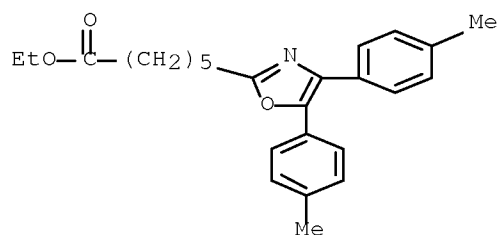
RN 875771-55-4 CAPLUS

CN 2-Oxazolehexanoic acid, 5-(4-fluorophenyl)-4-phenyl- (CA INDEX NAME)



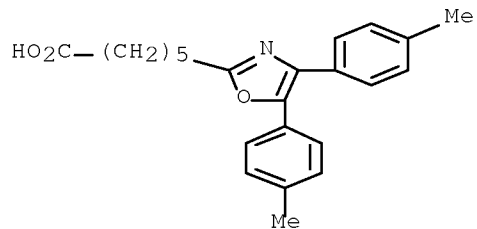
RN 875771-56-5 CAPLUS

CN 2-Oxazolehexanoic acid, 4,5-bis(4-methylphenyl)-, ethyl ester (CA INDEX NAME)



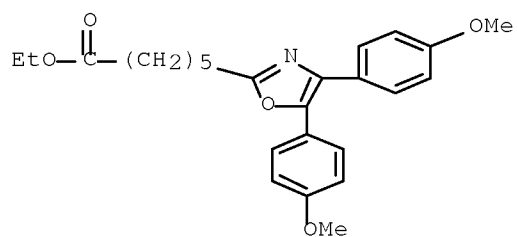
RN 875771-57-6 CAPLUS

CN 2-Oxazolehexanoic acid, 4,5-bis(4-methylphenyl)- (CA INDEX NAME)



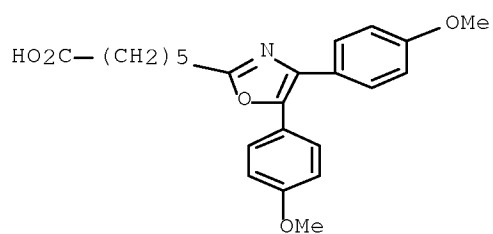
RN 875771-58-7 CAPLUS

CN 2-Oxazolehexanoic acid, 4,5-bis(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



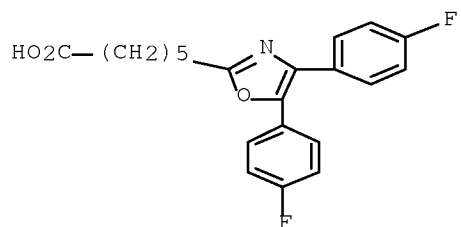
RN 875771-59-8 CAPLUS

CN 2-Oxazolehexanoic acid, 4,5-bis(4-methoxyphenyl)- (CA INDEX NAME)



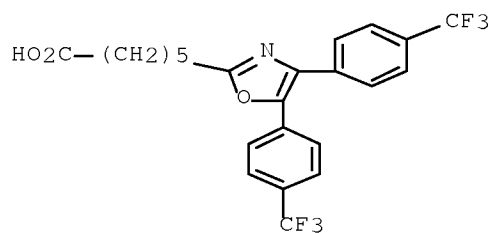
RN 875771-60-1 CAPLUS

CN 2-Oxazolehexanoic acid, 4,5-bis(4-fluorophenyl)- (CA INDEX NAME)

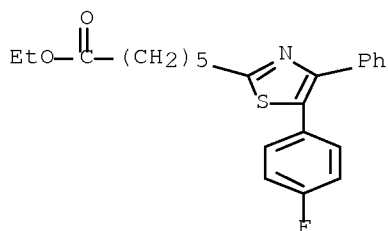


RN 875771-61-2 CAPLUS

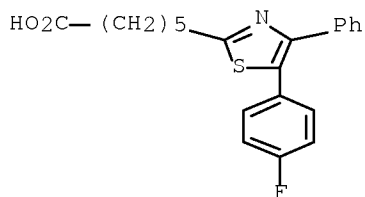
CN 2-Oxazolehexanoic acid, 4,5-bis[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



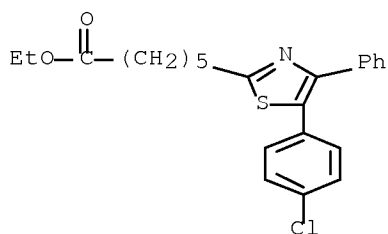
RN 875771-62-3 CAPLUS
CN 2-Thiazolehexanoic acid, 5-(4-fluorophenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



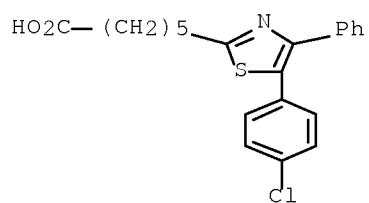
RN 875771-64-5 CAPLUS
CN 2-Thiazolehexanoic acid, 5-(4-fluorophenyl)-4-phenyl- (CA INDEX NAME)



RN 875771-65-6 CAPLUS
CN 2-Thiazolehexanoic acid, 5-(4-chlorophenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)

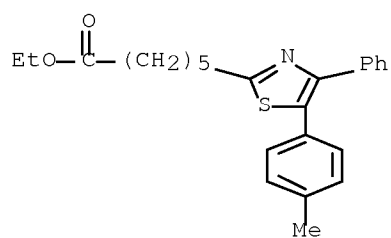


RN 875771-66-7 CAPLUS
CN 2-Thiazolehexanoic acid, 5-(4-chlorophenyl)-4-phenyl- (CA INDEX NAME)



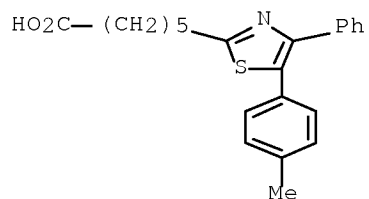
RN 875771-67-8 CAPLUS

CN 2-Thiazolehexanoic acid, 5-(4-methylphenyl)-4-phenyl-, ethyl ester (CA INDEX NAME)



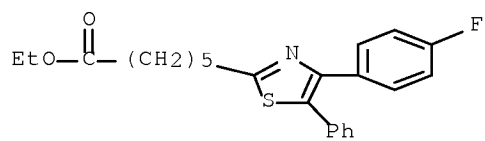
RN 875771-68-9 CAPLUS

CN 2-Thiazolehexanoic acid, 5-(4-methylphenyl)-4-phenyl- (CA INDEX NAME)



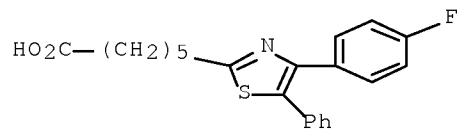
RN 875771-69-0 CAPLUS

CN 2-Thiazolehexanoic acid, 4-(4-fluorophenyl)-5-phenyl-, ethyl ester (CA INDEX NAME)



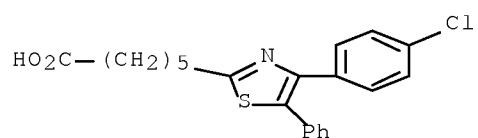
RN 875771-70-3 CAPLUS

CN 2-Thiazolehexanoic acid, 4-(4-fluorophenyl)-5-phenyl- (CA INDEX NAME)



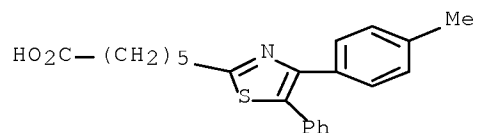
RN 875771-71-4 CAPLUS

CN 2-Thiazolehexanoic acid, 4-(4-chlorophenyl)-5-phenyl- (CA INDEX NAME)



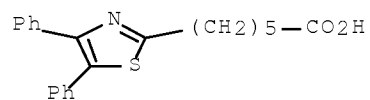
RN 875771-72-5 CAPLUS

CN 2-Thiazolehexanoic acid, 4-(4-methylphenyl)-5-phenyl- (CA INDEX NAME)



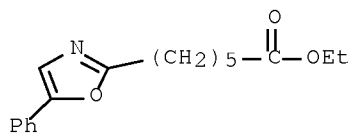
RN 875771-73-6 CAPLUS

CN 2-Thiazolehexanoic acid, 4,5-diphenyl- (CA INDEX NAME)



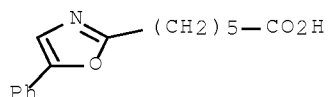
RN 875771-74-7 CAPLUS

CN 2-Oxazolehexanoic acid, 5-phenyl-, ethyl ester (CA INDEX NAME)



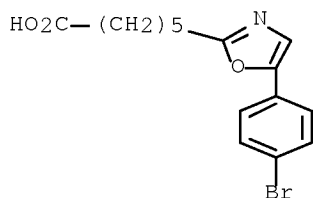
RN 875771-76-9 CAPLUS

CN 2-Oxazolehexanoic acid, 5-phenyl- (CA INDEX NAME)



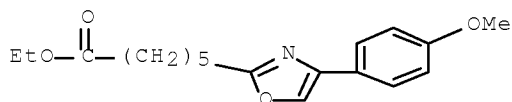
RN 875771-77-0 CAPLUS

CN 2-Oxazolehexanoic acid, 5-(4-bromophenyl)- (CA INDEX NAME)



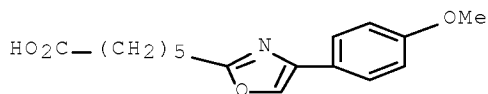
RN 875771-78-1 CAPLUS

CN 2-Oxazolehexanoic acid, 4-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



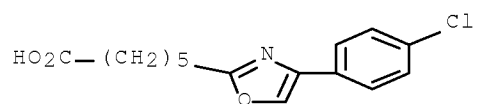
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CN 2-Oxazolehexanoic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



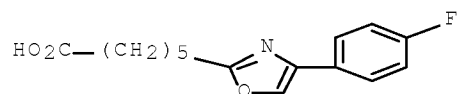
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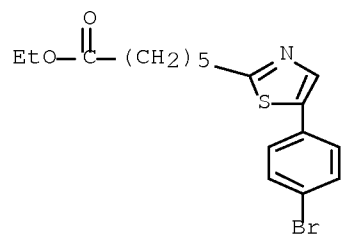
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CN 2-Oxazolehexanoic acid, 4-(4-fluorophenyl)- (CA INDEX NAME)



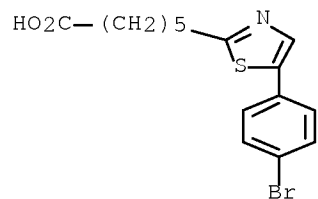
RN 875771-83-8 CAPLUS

CN 2-Thiazolehexanoic acid, 5-(4-bromophenyl)-, ethyl ester (CA INDEX NAME)



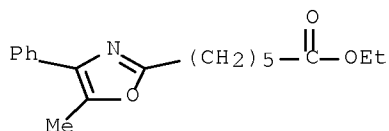
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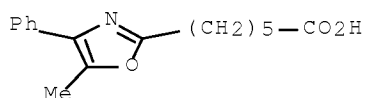
RN 875771-87-2 CAPLUS

CN 2-Oxazolehexanoic acid, 5-methyl-4-phenyl-, ethyl ester (CA INDEX NAME)



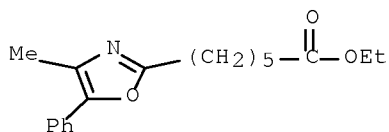
RN 875771-88-3 CAPLUS

CN 2-Oxazolehexanoic acid, 5-methyl-4-phenyl- (CA INDEX NAME)



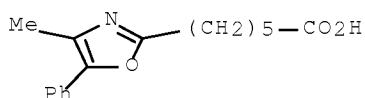
RN 875771-89-4 CAPLUS

CN 2-Oxazolehexanoic acid, 4-methyl-5-phenyl-, ethyl ester (CA INDEX NAME)



RN 875771-90-7 CAPLUS

CN 2-Oxazolehexanoic acid, 4-methyl-5-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 105 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1348851 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:69623

TITLE: Preparation of substituted heteroaryl- and phenylsulfamoyl compounds as peroxisome proliferator activator receptor (PPAR) agonists

INVENTOR(S): Hamanaka, Ernest S.

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 141 pp.

CODEN: USXXCO

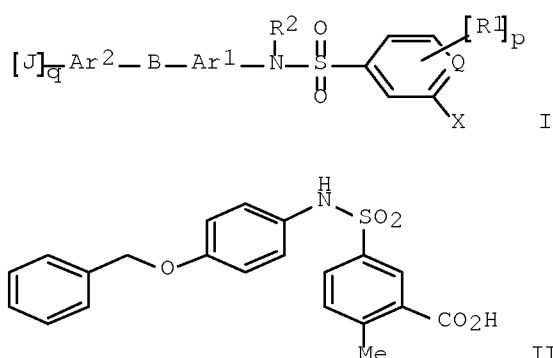
Patent
English

1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050288340	A1	20051229	US 2005-65774	20050224
AU 2005258906	A1	20060112	AU 2005-258906	20050617
CA 2573193	A1	20060112	CA 2005-2573193	20050617
WO 2006003495	A1	20060112	WO 2005-IB2007	20050617
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1765796	A1	20070328	EP 2005-755422	20050617
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
JP 2008505170	T	20080221	JP 2007-519911	20050617
BR 2005012624	A	20080325	BR 2005-12624	20050617
NL 1029360	A1	20051230	NL 2005-1029360	20050628
NL 1029360	C2	20060712		
US 20060229363	A1	20061012	US 2006-424623	20060616
IN 2006DN07862	A	20070817	IN 2006-DN7862	20061226
MX 200700289	A	20070410	MX 2007-289	20070108
KR 2007030287	A	20070315	KR 2007-701570	20070122
NO 2007000511	A	20070322	NO 2007-511	20070126
US 20080090829	A1	20080417	US 2007-952608	20071207

US 2004-583721P	P	20040629
US 2005-65774	A3	20050224
WO 2005-IB2007	W	20050617
US 2006-424623	A1	20060616

MARPAT 144:69623

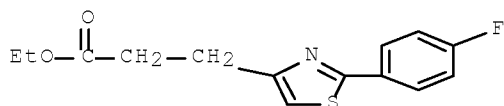


AB Title compds. I [Q = C; R1 = H, halo, alkyl, etc.; R2 = H, alkyl; K = O, divalent C, thioalkoxy, etc.; X = COOR4, 1H-tetrazol-5-yl-E, thiazolidinedione-5-yl-G, etc. (wherein E = (CH2)r; r = 0-3; G = (CH2)s; s = 0-1); R4 = H, alkyl, benzyl, 4-nitrophenyl; Ar1 = (un)substituted Ph or Ph fused to a member selected from thiazolyl, furanyl, oxazolyl, etc.; B = a bond, CO, CY:CY, etc. (Y = H, alkyl); Ar2 = a bond, Ph, phenoxybenzyl, etc.; J = H, OH, halo, etc.; p, q = 0-3; with provisos], useful as peroxisome proliferator activator receptor (PPAR) agonists, are prepared and formulated. Thus, 5-chlorosulfonyl-2-methylbenzoic acid is reacted with p-benzyloxyaniline in the presence of sodium bicarbonate in acetone and DMF to afford 28% II. Compds. I are particularly PPAR α activators which are useful to elevate certain plasma lipid levels, including high d. lipoprotein-cholesterol and to lower certain other plasma lipid levels, such as LDL-cholesterol and triglycerides and accordingly to treat diseases which are exacerbated by low levels of HDL cholesterol and/or high levels of LDL-cholesterol and triglycerides, such as atherosclerosis and cardiovascular diseases, in mammals, including humans. The compds. I are also useful for the treatment of neg. energy balance (NEB) and associated diseases in ruminants. The pharmaceutical compns. containing the compds. I in combination with other therapeutic agents is also disclosed.

IT 871689-00-8P, 3-[2-(4-Fluorophenyl)thiazol-4-yl]propionic acid ethyl ester 871689-01-9P, 3-[2-(4-Trifluoromethylphenyl)thiazol-4-yl]propionic acid ethyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of substituted heteroaryl- and phenylsulfamoyl compds. as peroxisome proliferator activator receptor (PPAR) agonists)

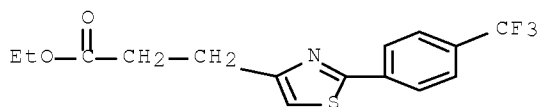
RN 871689-00-8 CAPLUS

CN 4-Thiazolepropanoic acid, 2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)

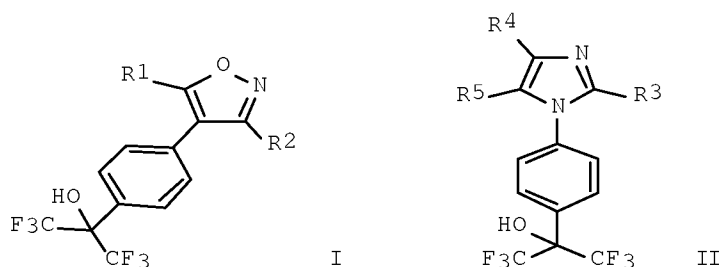


RN 871689-01-9 CAPLUS

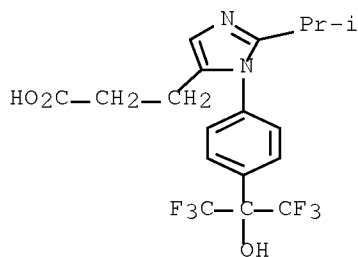
CN 4-Thiazolepropanoic acid, 2-[4-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



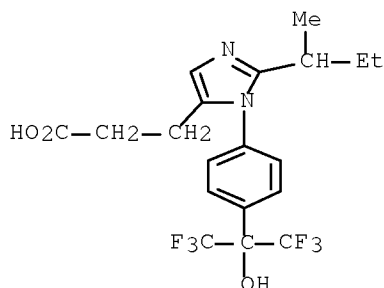
ACCESSION NUMBER: 2005:1341989 CAPLUS Full-text
 DOCUMENT NUMBER: 144:232986
 TITLE: Design and synthesis of heterocyclic malonyl-CoA decarboxylase inhibitors
 AUTHOR(S): Cheng, Jie-Fei; Chen, Mi; Liu, Bin; Hou, Zheng; Arrhenius, Thomas; Nadzan, Alex M.
 CORPORATE SOURCE: Department of Chemistry, Chugai Pharma USA, LLC, San Diego, CA, 92121, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(3), 695-700
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:232986
 GI



AB A series of functionalized isoxazoles I (R1 = Me, H2N, BuCONH, 4-NCC6H4CONH, etc.; R2 = Me, Me2CH, EtO2C, Ph, 4-pyridyl, Me2CHCONH, 3-F3CC6H4SO2NH, NCC:CH, etc.) and imidazoles II (R3 = Me2CH, EtCHMe, 2-pyridyl, 4-pyridyl; R4 = H, F3C, HOCH2, EtO2C; R5 = H, MeCHOH, HOCH2, HON, NCCH:CH, HO2CCH2CH2, etc.) were designed and synthesized as novel heterocyclic small mol. inhibitors of malonyl-CoA decarboxylase (MCD), the analogs of which were previously reported to inhibit fatty acid oxidation and consequently increase the glucose oxidation rates in the isolated working rat hearts. Imidazole-based derivs. II generally showed good MCD inhibitory activities, most potent compds. being those with R3 = Me2CH, EtCHMe.
 IT 876143-17-8P 876143-19-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and malonyl-CoA decarboxylase inhibitory activity of functionalized hydroxybis(trifluoromethyl)tolyl-substituted isoxazoles and imidazoles)
 RN 876143-17-8 CAPLUS
 CN 1H-Imidazole-5-propanoic acid, 2-(1-methylethyl)-1-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)



RN 876143-19-0 CAPLUS
 CN 1H-Imidazole-5-propanoic acid, 2-(1-methylpropyl)-1-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 107 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1292048 CAPLUS Full-text
 DOCUMENT NUMBER: 144:36353
 TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists
 INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.
 SOURCE: U.S. Pat. Appl. Publ., 175 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050272779	A1	20051208	US 2005-53752	20050209
AU 2005270208	A1	20060209	AU 2005-270208	20050215
CA 2555566	A1	20060209	CA 2005-2555566	20050215
WO 2006014185	A1	20060209	WO 2005-US4774	20050215

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

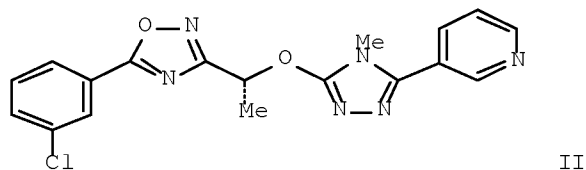
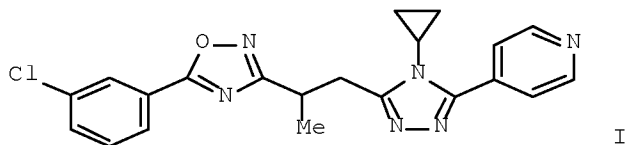
EP 1723144 A1 20061122 EP 2005-802855 20050215
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CN 1984907	A	20070620	CN 2005-80004306	20050215
BR 2005007497	A	20070710	BR 2005-7497	20050215
JP 2007523168	T	20070816	JP 2006-554165	20050215
CN 101096368	A	20080102	CN 2007-10127847	20050215
NO 2006003599	A	20061027	NO 2006-3599	20060808
MX 2006PA09020	A	20061207	MX 2006-PA9020	20060808
KR 2007018006	A	20070213	KR 2006-716018	20060808
IN 2006DN04751	A	20070831	IN 2006-DN4751	20060818
US 20070179188	A1	20070802	US 2007-588702	20070313
US 20070293545	A1	20071220	US 2007-840954	20070818
US 20080015234	A1	20080117	US 2007-840952	20070818
US 20080015204	A1	20080117	US 2007-840955	20070818
US 20080045571	A1	20080221	US 2007-840953	20070818

PRIORITY APPLN. INFO.:

US 2004-608960P	P	20040218
US 2005-53752	A3	20050209
CN 2005-80004306	A3	20050215
WO 2005-US4774	W	20050215

OTHER SOURCE(S): MARPAT 144:36353
 GI



AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-

[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

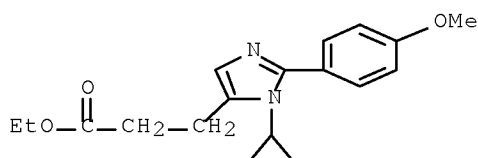
IT 870973-72-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870973-72-1 CAPLUS

CN 1H-Imidazole-5-propanoic acid, 1-cyclopropyl-2-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



L7 ANSWER 108 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1290198 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:36347

TITLE: Preparation of triazoles as modulators of peroxisome proliferator activated receptors (PPAR).

INVENTOR(S): Zhu, Yan; Ma, Jingyuan; Cheng, Peng; Zhao, Zuchun; Gregoire, Francine M.; Rakhmanova, Vera A.

PATENT ASSIGNEE(S): Metabolex, Inc., USA

SOURCE: PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

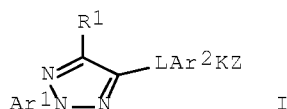
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

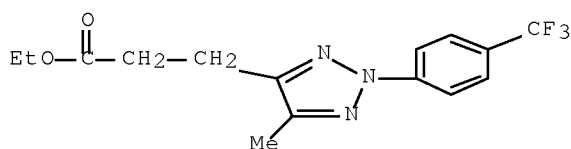
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005115383	A2	20051208	WO 2005-US18318	20050524
WO 2005115383	A3	20060817		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005247473	A1	20051208	AU 2005-247473	20050524
CA 2567437	A1	20051208	CA 2005-2567437	20050524
US 20060014809	A1	20060119	US 2005-137678	20050524
US 7323480	B2	20080129		
EP 1751120	A2	20070214	EP 2005-759611	20050524
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,			

HR, LV, MK, YU				
CN 1997633	A	20070711	CN 2005-80022250	20050524
BR 2005011510	A	20071226	BR 2005-11510	20050524
JP 2008500357	T	20080110	JP 2007-515286	20050524
MX 2006PA13581	A	20070315	MX 2006-PA13581	20061123
IN 2006DN07795	A	20070817	IN 2006-DN7795	20061221
KR 2007036076	A	20070402	KR 2006-727304	20061226
US 20080108630	A1	20080508	US 2007-932755	20071031
PRIORITY APPLN. INFO.:			US 2004-574426P	P 20040525
			US 2005-137678	A3 20050524
			WO 2005-US18318	W 20050524
OTHER SOURCE(S):			CASREACT 144:36347; MARPAT 144:36347	
GI				



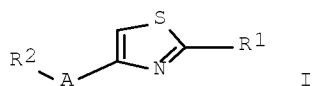
AB Title compds. [I; Ar1 = (substituted) Ph, naphthyl, imidazolyl, benzimidazolyl, pyrrolyl, indolyl, thienyl, benzothienyl, furyl, benzofuryl, benzodioxolyl; Ar2 = (substituted) Ph, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl; L = specified linker having 1-6 chain atoms; K = bond, specified linker having 1-6 chain atoms; R1 = H, halo, alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; Z = CH2OR6, CO2R6, tetrazol-5-yl, CONHSO2R2, CHO; R2 = H, alkyl, haloalkyl, aryl, aralkyl, heteroaryl, etc.; R6 = H, alkyl, haloalkyl, alkenyl, cycloalkyl, heterocyclyl, aralkyl, aralkenyl, etc.; with provisos], were prepared I are useful in treatment of type 2 diabetes, hyperinsulemia, hyperlipidemia, hyperuricemia, hypercholesteremia, atherosclerosis, cardiovascular disease, Syndrome X, hypertriglyceridemia, hyperglycemia, obesity, and eating disorders. Thus, 2-methyl-2-[2-methyl-4-[5-methyl-2-(4-trifluoromethylphenyl)-2H-1,2,3-triazol-4-ylmethylsulfanyl]phenoxy]propionic acid (multistep preparation given) showed EC50 ≤10 μM in a PPARα and PPARδ transactivation assay.

IT 1015254-88-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of triazoles as modulators of peroxisome proliferator activated receptors)
 RN 1015254-88-2 CAPLUS
 CN 2H-1,2,3-Triazole-4-propanoic acid, 5-methyl-2-[4-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



L7 ANSWER 109 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1239578 CAPLUS Full-text
 DOCUMENT NUMBER: 144:6783
 TITLE: Preparation of thiazole compounds as PDE4 inhibitors
 INVENTOR(S): Takemura, Isao; Watanabe, Kenji; Oshima, Kunio; Ito, Nobuaki; Haruta, Junpei; Hiyama, Hidetaka; Chihiro, Masatoshi; Kawasome, Hideki; Sakamoto, Yoko; Ishiyama, Hironobu; Sumida, Takumi; Fujita, Kazuhiko; Kitagaki, Hideki
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 94 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

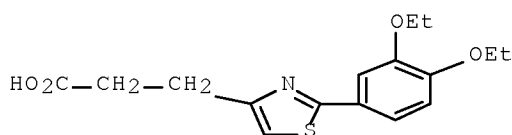
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005111007	A1	20051124	WO 2005-JP8873	20050516
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AU 2005243384	A1	20051124	AU 2005-243384	20050516
CA 2566625	A1	20051124	CA 2005-2566625	20050516
EP 1748044	A1	20070131	EP 2005-739118	20050516
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1953968	A	20070425	CN 2005-80015892	20050516
BR 2005011250	A	20071127	BR 2005-11250	20050516
IN 2006DN06587	A	20070831	IN 2006-DN6587	20061107
MX 2006PA13305	A	20070202	MX 2006-PA13305	20061116
KR 2007011609	A	20070124	KR 2006-726534	20061215
US 20080039511	A1	20080214	US 2007-587862	20070705
PRIORITY APPLN. INFO.:			JP 2004-146834	A 20040517
			WO 2005-JP8873	W 20050516
OTHER SOURCE(S):			MARPAT 144:6783	
GI				



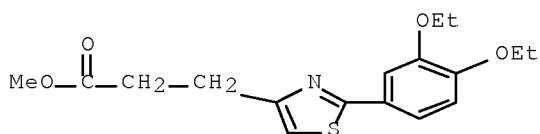
AB Title compds. I [R1 = dialkoxyphenyl; R2 = naphthyl, tetrazolyl, pyrazinyl, etc.; A = -CO-B-, -CO-Ba-, -CH(OH)-B-, etc.; B = alkylene; Ba = alkenylene] were prepared. For example, reaction of 2-(3,4-diethoxyphenyl)thiazole-4-carboxaldehyde, e.g., prepared from 3,4-diethoxythiobenzamide in 2 steps, with 2-methoxyacetophenone in the presence of NaOH afforded (E)-3-[2-(3,4-diethoxyphenyl)thiazol-4-yl]-1-(2-methoxyphenyl)propenone (II) in 94% yield. In PDE4 inhibition assays, the IC50 value of compound II was 0.0236 μ M. Compds. I are claimed useful for the treatment of atopic dermatitis. Formulations are given.

IT 870001-07-3P, 3-[2-(3,4-Diethoxyphenyl)thiazol-4-yl]propanoic acid
 870001-08-4P, 3-[2-(3,4-Diethoxyphenyl)thiazol-4-yl]propanoic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of thiazole compds. as PDE4 inhibitors for treatment of atopic dermatitis)

RN 870001-07-3 CAPLUS
 CN 4-Thiazolepropanoic acid, 2-(3,4-diethoxyphenyl)- (CA INDEX NAME)



RN 870001-08-4 CAPLUS
 CN 4-Thiazolepropanoic acid, 2-(3,4-diethoxyphenyl)-, methyl ester (CA INDEX NAME)

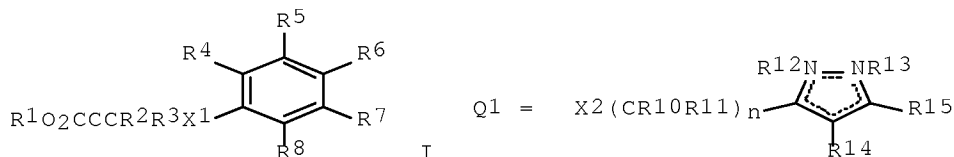


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 110 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1178107 CAPLUS Full-text
 DOCUMENT NUMBER: 143:440403
 TITLE: Preparation of pyrazolylalkoxyphenoxypropionates as peroxisome proliferator activated receptor (PPAR δ and PPAR α) selective activators.
 INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright, Matthew Blake
 PATENT ASSIGNEE(S): Switz.
 SOURCE: U.S. Pat. Appl. Publ., 32 pp.
 CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050245589	A1	20051103	US 2005-114404	20050426
AU 2005238163	A1	20051110	AU 2005-238163	20050420
CA 2563461	A1	20051110	CA 2005-2563461	20050420
WO 2005105754	A1	20051110	WO 2005-EP4199	20050420
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1742923	A1	20070117	EP 2005-735100	20050420
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1946698	A	20070411	CN 2005-80013244	20050420
BR 2005010451	A	20071030	BR 2005-10451	20050420
JP 2007534715	T	20071129	JP 2007-509926	20050420
MX 2006PA12093	A	20070125	MX 2006-PA12093	20061019
KR 2007002071	A	20070104	KR 2006-722284	20061026
KR 815691	B1	20080320		
IN 2006DN06592	A	20070831	IN 2006-DN6592	20061108
PRIORITY APPLN. INFO.:			EP 2004-101792	A 20040428
			WO 2005-EP4199	W 20050420
OTHER SOURCE(S):			MARPAT 143:440403	
GI				



AB Title compds. [I; X1 = O, S, CH₂; R1, R2, R3 = H, alkyl; if X1 = CH₂, then R2 = H, alkyl, alkoxy; R4-R8 = H, alkyl, alkoxy, cycloalkyl, halo, alkoxyalkyl, alkenyl, alkynyl, fluoroalkyl, fluoroalkoxy, cyanoalkyl, cyano; 1 of R5-R7 = Q1; X2 = O, S, NR₉; R₉ = H, alkyl, cycloalkyl, fluoroalkyl, hydroxyalkyl, alkoxyalkyl; R10 = H, alkyl, cycloalkyl, fluoroalkyl; R11 = H, alkyl, alkoxyalkyl; 1 of R12, R13 = H, alkyl, cycloalkyl, alkoxyalkyl, alkenyl, alkynyl, fluoroalkyl, the other = lone pair; R14 = H, alkyl, cycloalkyl, halo, alkoxyalkyl, alkenyl, alkynyl, fluoroalkyl; R15 = 4-trifluoromethoxyphenyl; n = 1-3], were prepared Thus, Et 2-(4-hydroxy-2-methylphenoxy)-2-

methylpropionate, [2-methyl-5-(4- trifluoromethoxyphenyl)-2H-pyrazol-3-yl]methanol (preparation given), Bu3P, and N,N,N',N'-tetramethylazodicarboxamide were stirred 14 h in THF to give 75% coupling product, which was stirred 14 h with LiOH in THF/MeOH/H2O to give 95% 2-methyl-2-[2-methyl-4-[2-methyl-5-(4-trifluoromethoxyphenyl)-2H- pyrazol-3-ylmethoxy]phenoxy]propionic acid. The latter showed IC50 = 0.166 μ M for PPAR α receptor binding activity.

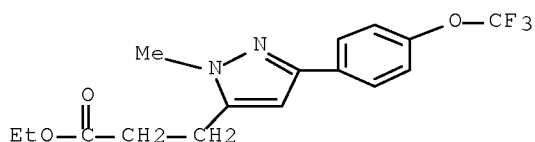
IT 864427-34-9F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolylalkoxyphenoxypropionates as peroxisome proliferator
activated receptor selective activators)

RN 864427-34-9 CAPLUS

CN 1H-Pyrazole-5-propanoic acid, 1-methyl-3-[4-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)



L7 ANSWER 111 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1151524 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:331324

TITLE: 5-phenyl-2-(pyrazol-4-yl)-1,3,4-thiadiazoles

AUTHOR(S): Shokol, T. V.; Semenyuchenko, V. V.; Khilya, V. P.

CORPORATE SOURCE: Taras Shevchenko Kiev National University, Kiev, 01033, Ukraine

SOURCE: Chemistry of Heterocyclic Compounds (New York, NY, United States) (2005), 41(5), 673-678
CODEN: CHCCAL; ISSN: 0009-3122

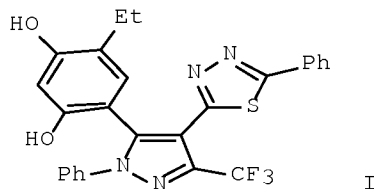
PUBLISHER: Springer Science+Business Media, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:331324

GI

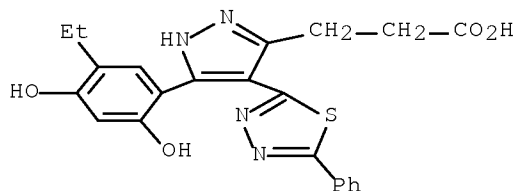


AB A series of 5-phenyl-2-(1H-pyrazol-4-yl)-1H-1,3,4-thiadiazoles, e.g., I, have been synthesized by recyclization of 6-ethyl-3-(5-phenyl-1,3,4-thiadiazol-2-yl)chromones with hydrazine hydrate and phenylhydrazine, resp.

IT 880646-75-3P 880646-85-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of phenyl(pyrazolyl)thiadiazoles via recyclization of phenyl(thiadiazolyl)chromones with hydrazine or phenylhydrazine)

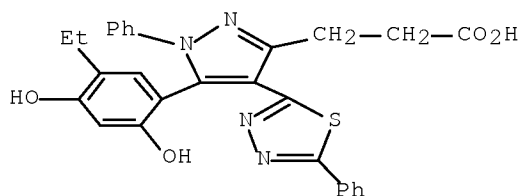
RN 880646-75-3 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-(5-ethyl-2,4-dihydroxyphenyl)-4-(5-phenyl-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



RN 880646-85-5 CAPLUS

CN 1H-Pyrazole-3-propanoic acid, 5-(5-ethyl-2,4-dihydroxyphenyl)-1-phenyl-4-(5-phenyl-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 112 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1123760 CAPLUS Full-text

DOCUMENT NUMBER: 143:399866

TITLE: 5-Thioxo-4,5-dihydro-[1,2,4]triazole ion channel modulators, their preparation, and their therapeutic use

INVENTOR(S): Zelle, Robert; Galullo, Vincent P.

PATENT ASSIGNEE(S): Scion Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 95 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

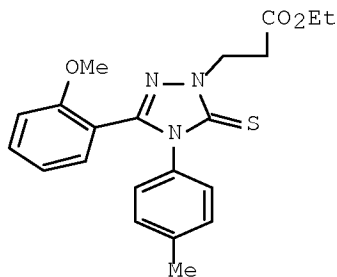
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005097112	A2	20051020	WO 2005-US7899	20050307
WO 2005097112	A3	20060615		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005231123	A1	20051020	AU 2005-231123	20050307
CA 2557721	A1	20051020	CA 2005-2557721	20050307
EP 1722788	A2	20061122	EP 2005-735549	20050307
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
CN 1933832	A	20070321	CN 2005-80007406	20050307
BR 2005008522	A	20070814	BR 2005-8522	20050307
JP 2007527911	T	20071004	JP 2007-502986	20050307
IN 2006KN02476	A	20070525	IN 2006-KN2476	20060830
MX 2006PA10035	A	20061115	MX 2006-PA10035	20060904
US 20080139560	A1	20080612	US 2006-592208	20060908
PRIORITY APPLN. INFO.:			US 2004-551423P	P 20040308
			WO 2005-US7899	W 20050307
OTHER SOURCE(S):	MARPAT 143:399866			
GI				



I

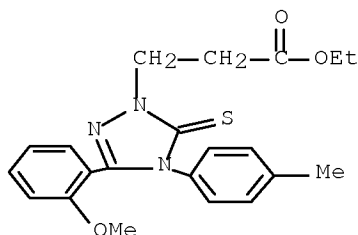
AB The invention discloses 5-thioxo-4,5-dihydro-[1,2,4]triazole compds., compns. comprising the compds., and methods of using the compds. and compound compns. The compds., compns., and methods can be used for the therapeutic modulation of ion channel function, and treatment of disease and disease symptoms, particularly those mediated by certain calcium channel subtype targets. Preparation of compds., e.g. I, is described.

IT 865079-26-1F
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (thioxodihydrotriazole ion channel modulators, preparation, and therapeutic use)

RN 865079-26-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-3-(2-methoxyphenyl)-4-(4-

methylphenyl)-5-thioxo-, ethyl ester (CA INDEX NAME)



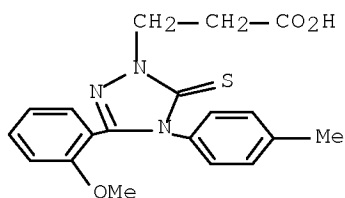
IT 865079-36-3 867023-87-8 867023-95-8
867023-99-2 867024-02-0 867024-04-2
867024-08-6 867024-63-3 867026-76-4
867029-22-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(thioxodihydrotriazole ion channel modulators, preparation, and therapeutic
use)

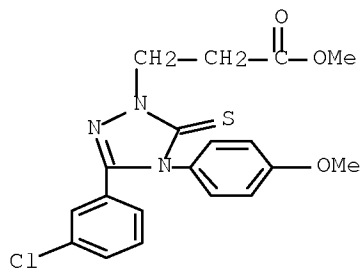
RN 865079-36-3 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-3-(2-methoxyphenyl)-4-(4-
methylphenyl)-5-thioxo- (CA INDEX NAME)



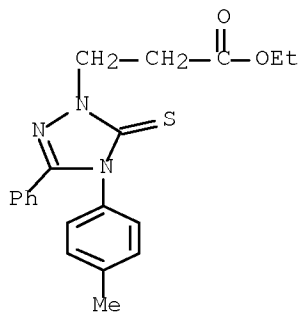
RN 867023-87-8 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 3-(3-chlorophenyl)-4,5-dihydro-4-(4-
methoxyphenyl)-5-thioxo-, methyl ester (CA INDEX NAME)



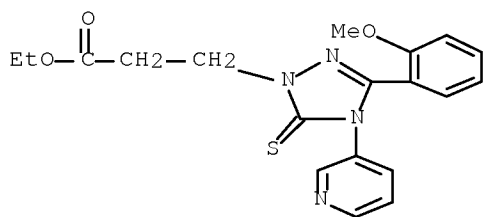
RN 867023-95-8 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-4-(4-methylphenyl)-3-phenyl-5-thioxo-, ethyl ester (CA INDEX NAME)



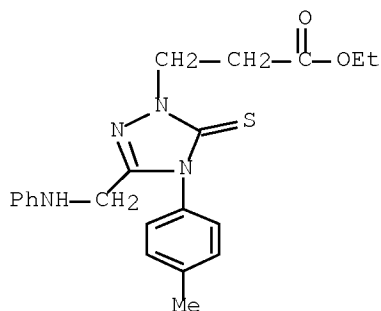
RN 867023-99-2 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-3-(2-methoxyphenyl)-4-(3-pyridinyl)-5-thioxo-, ethyl ester (CA INDEX NAME)



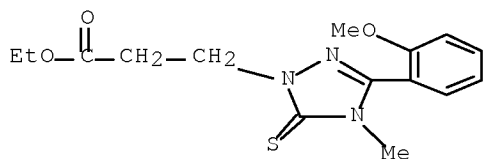
RN 867024-02-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-4-(4-methylphenyl)-3-[(phenylamino)methyl]-5-thioxo-, ethyl ester (CA INDEX NAME)



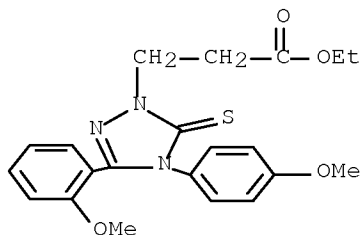
RN 867024-04-2 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-3-(2-methoxyphenyl)-4-methyl-5-thioxo-, ethyl ester (CA INDEX NAME)



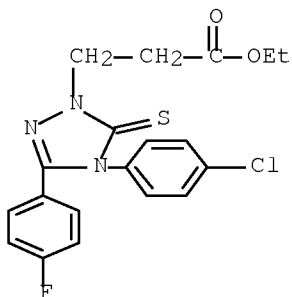
RN 867024-08-6 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-3-(2-methoxyphenyl)-4-(4-methoxyphenyl)-5-thioxo-, ethyl ester (CA INDEX NAME)



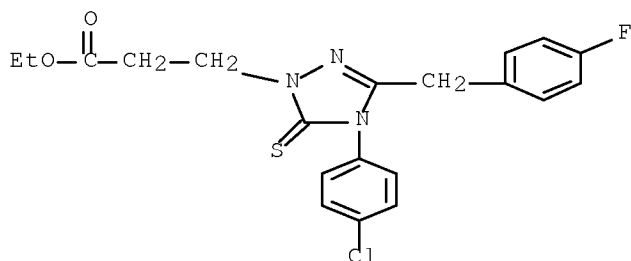
RN 867024-63-3 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4-(4-chlorophenyl)-3-(4-fluorophenyl)-4,5-dihydro-5-thioxo-, ethyl ester (CA INDEX NAME)

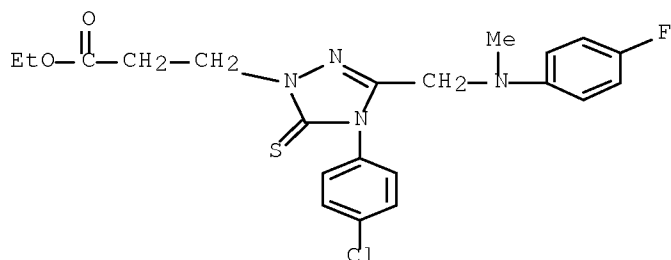


RN 867026-76-4 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4-(4-chlorophenyl)-3-[(4-fluorophenyl)methyl]-4,5-dihydro-5-thioxo-, ethyl ester (CA INDEX NAME)



RN 867029-22-9 CAPLUS
 CN 1H-1,2,4-Triazole-1-propanoic acid, 4-(4-chlorophenyl)-3-[[4-fluorophenyl)methylamino]methyl]-4,5-dihydro-5-thioxo-, ethyl ester (CA INDEX NAME)



L7 ANSWER 113 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1103563 CAPLUS Full-text
 DOCUMENT NUMBER: 143:387025
 TITLE: Preparation of aromatic or heterocycle imine and amide derivatives as prostaglandin D2 (PGD2) production inhibitors
 INVENTOR(S): Tanaka, Rika; Kitagawa, Hirohisa; Sasaki, Masao; Muto, Susumu; Itai, Akiko; Tokuyama, Ryukou
 PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
 SOURCE: PCT Int. Appl., 232 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094805	A1	20051013	WO 2005-JP6464	20050401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

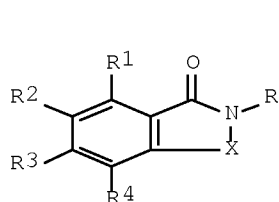
JP 2004-108702

A 20040401

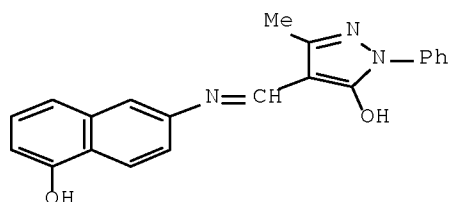
OTHER SOURCE(S):

MARPAT 143:387025

GI



II



IV

AB There is provided a medicine having prostaglandin D2 (PGD2) production inhibitory activity and having as an active ingredient a substance selected from compds. represented by the general formula A-Y-B (I) [herein A and B each independently represents an optionally substituted, cyclic hydrocarbon or heterocyclic group; Y represents -CH= N-, -N=CH-, -CONH-, or -NHCO-, provided that the compds. represented by the following formula (II) [wherein X represents the formula -N= C(R5)- (wherein the left-side bond is bonded to the benzene ring and the right-side bond is bonded to the nitrogen atom) or the formula -NHCH(R5)- (wherein the left-side bond is bonded to the benzene ring and the right-side bond is bonded to the nitrogen atom); R1, R2, R3, and R4 each independently represents hydrogen, halogeno, or optionally substituted C1-6 alkyl or hydroxy; R5 represents an optionally substituted C1-6 alkyl or C6-10 aryl group; R represents optionally substituted amino] are excluded] salts, hydrates, and solvates thereof. These drugs containing the compds. I possess antiallergic, antiallergic-inflammatory, antiasthmatic, cerebral protective, sexual cycle-regulating, sleep-regulating, body temperature-regulating, analgesic, olfaction-regulating activities and activities for preventing the worsening of brain injuries or for improving brain after brain injuries. They also possess the inhibitory activity against the production of hematopoietic prostaglandin D2. Thus, a solution of 2.90 g 3-methyl-1-phenyl-4,5-dihydropyrazol-5-one in 4 mL DMF was treated with 1.85 mL POCl3 under ice-cooling, stirred at 80° for 1 h, and cooled to room temperature, and the reaction mixture was poured into ice water, stirred at room temperature overnight, filtered to give, after washing the product with water, drying, and washing with iso-Pr ether, 50% 3-methyl-5-oxo-1-phenyl-4,5-dihydropyrazole-4-carboxaldehyde (III). A mixture of the compound III (222 mg), 159 mg 5-amino-1-naphthol, and 5 mL ethanol was refluxed for 30 min, cooled to room temperature, and filtered to give, after washing with ethanol, 88% 5-hydroxy-1-phenyl-3-methyl-4-[[1-hydroxy-6-naphthyl]imino]methylpyrazole (IV). The compound IV at 10 μ M inhibited >99% the production of PGD2 in rat basophil leukemia cells RBL-2H3 expressing hematopoietic PGD2 synthetase.

IT 866471-71-8P 866471-73-0P 866471-77-4P
 866471-78-5P 866472-03-9P 866472-04-0P
 866472-05-1P

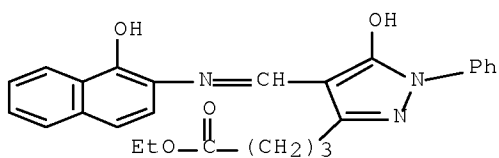
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of aromatic or heterocycle imine and amide derivs. as
prostaglandin D2 (PGD2) production inhibitors for drugs)

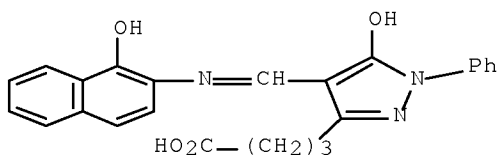
RN 866471-71-8 CAPLUS

CN 1H-Pyrazole-3-butanoic acid, 5-hydroxy-4-[[1-hydroxy-2-naphthalenyl)imino]methyl]-1-phenyl-, ethyl ester (CA INDEX NAME)



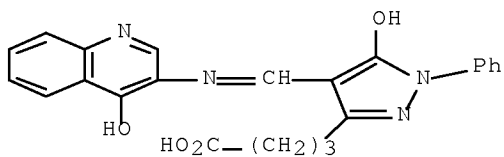
RN 866471-73-0 CAPLUS

CN 1H-Pyrazole-3-butanoic acid, 5-hydroxy-4-[[1-hydroxy-2-naphthalenyl)imino]methyl]-1-phenyl- (CA INDEX NAME)



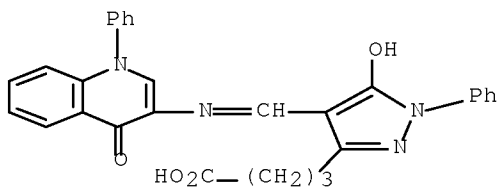
RN 866471-77-4 CAPLUS

CN 1H-Pyrazole-3-butanoic acid, 5-hydroxy-4-[[4-hydroxy-3-quinolinyl)imino]methyl]-1-phenyl- (CA INDEX NAME)

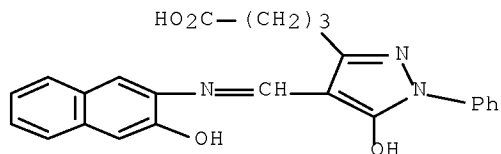


RN 866471-78-5 CAPLUS

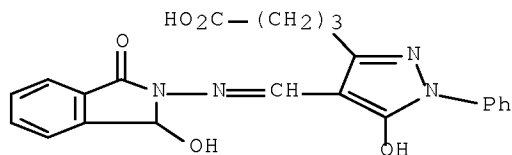
CN 1H-Pyrazole-3-butanoic acid, 4-[[1,4-dihydro-4-oxo-1-phenyl-3-quinolinyl)imino]methyl]-5-hydroxy-1-phenyl- (CA INDEX NAME)



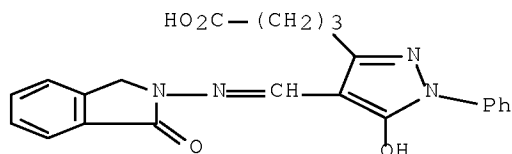
RN 866472-03-9 CAPLUS
CN 1H-Pyrazole-3-butanoic acid, 5-hydroxy-4-[[3-hydroxy-2-naphthalenyl)imino]methyl]-1-phenyl- (CA INDEX NAME)



RN 866472-04-0 CAPLUS
CN 1H-Pyrazole-3-butanoic acid, 4-[[1,3-dihydro-1-hydroxy-3-oxo-2H-isoindol-2-yl)imino]methyl]-5-hydroxy-1-phenyl- (CA INDEX NAME)



RN 866472-05-1 CAPLUS
CN 1H-Pyrazole-3-butanoic acid, 4-[[1,3-dihydro-1-oxo-2H-isoindol-2-yl)imino]methyl]-5-hydroxy-1-phenyl- (CA INDEX NAME)

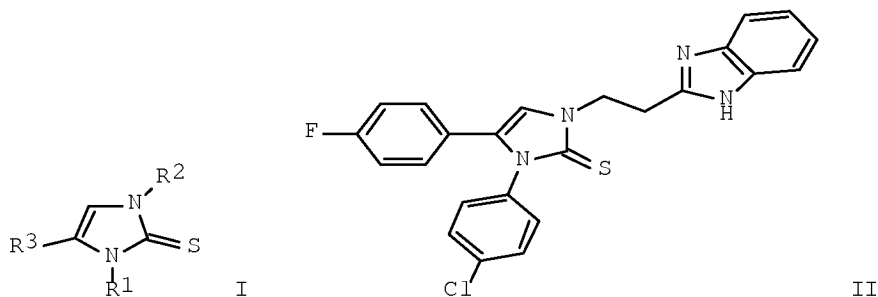


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 114 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1026890 CAPLUS Full-text
DOCUMENT NUMBER: 143:306317
TITLE: Preparation of imidazoethiones as calcium ion channel modulators
INVENTOR(S): Zelle, Robert; Galullo, Vincent P.
PATENT ASSIGNEE(S): Scion Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005086892	A2	20050922	WO 2005-US7896	20050307
WO 2005086892	A3	20060511		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005221128	A1	20050922	AU 2005-221128	20050307
CA 2557642	A1	20050922	CA 2005-2557642	20050307
EP 1722784	A2	20061122	EP 2005-735526	20050307
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1938020	A	20070328	CN 2005-80007389	20050307
BR 2005008510	A	20070731	BR 2005-8510	20050307
JP 2007527910	T	20071004	JP 2007-502985	20050307
MX 2006PA10037	A	20061115	MX 2006-PA10037	20060904
IN 2006KN02513	A	20070601	IN 2006-KN2513	20060904
US 20070208070	A1	20070906	US 2006-592269	20060908
PRIORITY APPLN. INFO.:			US 2004-551449P	P 20040308
			WO 2005-US7896	W 20050307
OTHER SOURCE(S): CASREACT 143:306317; MARPAT 143:306317				
GI				



AB The title imidazolethiones I [R¹ = Ar², alkyl optionally substituted with Ar² (wherein Ar² = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl); R² = (CH₂)_mCO₂R⁴, (CH₂)_mC(O)Ar³, (CH₂)_mAr³, etc. (R⁴ = H, alkyl; m = 1-2; Ar³ = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl); R³ = Ar¹, Ar¹XY (wherein Ar¹ = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl; X = NR⁴, C(R⁴)₂, O; Y = C(O), alkyl)] which can be used for the therapeutic modulation of ion channel function, and treatment of disease and disease symptoms, particularly those mediated by certain calcium channel subtype targets, were claimed. Preparation of the compds. I is described in 3

synthetic examples (no characterization data for intermediates and final compds.). For example, the exemplified compound II is claimed to be prepared starting from 2-bromo-1-(4-fluorophenyl)ethanone. Oocyte assays, HEK assays, and formalin tests were carried out (no data given).

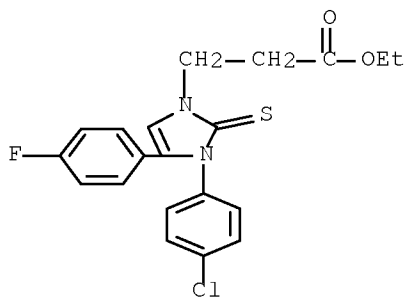
IT 864962-17-4F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazolethiones as calcium ion channel modulators)

RN 864962-17-4 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 3-(4-chlorophenyl)-4-(4-fluorophenyl)-2,3-dihydro-2-thioxo-, ethyl ester (CA INDEX NAME)



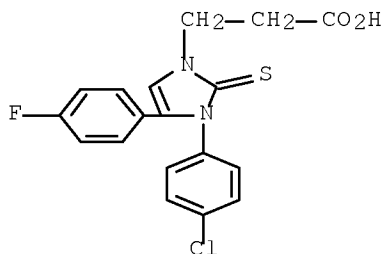
IT 1020669-20-8F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazolethiones as calcium ion channel modulators)

RN 1020669-20-8 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 3-(4-chlorophenyl)-4-(4-fluorophenyl)-2,3-dihydro-2-thioxo- (CA INDEX NAME)



L7 ANSWER 115 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1026876 CAPLUS Full-text

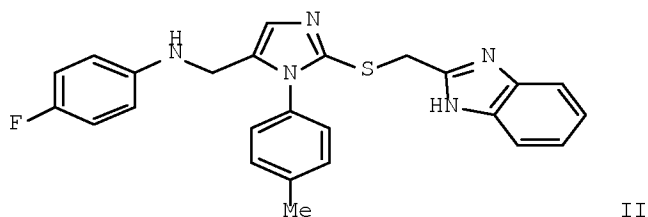
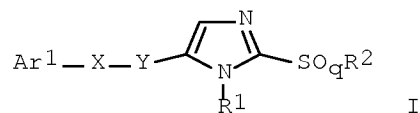
DOCUMENT NUMBER: 143:326362

TITLE: Preparation of substituted imidazoles as calcium ion channel modulators

INVENTOR(S): Zelle, Robert; Galullo, Vincent P.; Baker, Christopher Todd; Will, Paul; Frazee, William J.; Mazdiyasni, Hormoz; Guo, Jinsong

PATENT ASSIGNEE(S): Scion Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 430 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005086836	A2	20050922	WO 2005-US7667	20050307
WO 2005086836	A3	20060105		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005220911	A1	20050922	AU 2005-220911	20050307
CA 2557637	A1	20050922	CA 2005-2557637	20050307
EP 1723117	A2	20061122	EP 2005-725050	20050307
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 1930132	A	20070314	CN 2005-80007297	20050307
BR 2005008532	A	20070807	BR 2005-8532	20050307
JP 2007527909	T	20071004	JP 2007-502940	20050307
IN 2006KN02472	A	20070525	IN 2006-KN2472	20060830
MX 2006PA10016	A	20061115	MX 2006-PA10016	20060904
US 20070281937	A1	20071206	US 2007-592451	20070718
PRIORITY APPLN. INFO.:			US 2004-551372P	P 20040308
			US 2004-551395P	P 20040308
			US 2004-551472P	P 20040308
			US 2004-551473P	P 20040308
			US 2004-551474P	P 20040308
			US 2004-551480P	P 20040308
			US 2004-551503P	P 20040308
			US 2004-551510P	P 20040308
			US 2004-551620P	P 20040308
			WO 2005-US7667	W 20050307
OTHER SOURCE(S):	CASREACT 143:326362; MARPAT 143:326362			
GI				



AB The title imidazoles such as I [Ar1 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl; X = NR3, C(R3)2, O; Y = C(O), alkylene; R1 = Ar2, alkyl optionally substituted with Ar2 (wherein Ar2 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl); q = 0-2; R2 = (CH2)mCO2R3, (CH2)mC(O)Ar3, (CH2)mAr3, etc. (R3 = H, alkyl; m = 1-2; Ar3 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl)] which can be used for the therapeutic modulation of ion channel function, and treatment of disease and disease symptoms, particularly those mediated by certain calcium channel subtype targets, were prepared E.g., a multi-step synthesis of II, starting from p-toluidine, was given. Oocyte assays, HEK assays, and formalin tests were carried out (no data given).

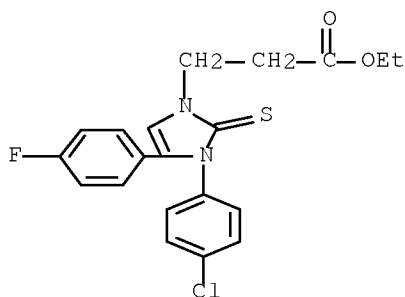
IT 864962-17-4

RL: PRPH (Prophetic)

(Preparation of substituted imidazoles as calcium ion channel modulators)

RN 864962-17-4 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 3-(4-chlorophenyl)-4-(4-fluorophenyl)-2,3-dihydro-2-thioxo-, ethyl ester (CA INDEX NAME)



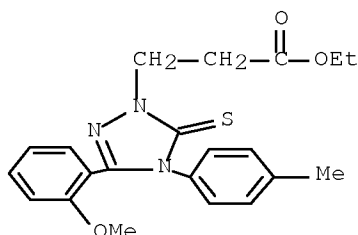
IT 865079-26-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

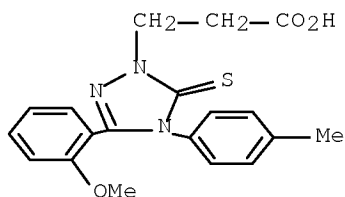
(preparation of substituted imidazoles as calcium ion channel modulators)

RN 865079-26-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-3-(2-methoxyphenyl)-4-(4-methylphenyl)-5-thioxo-, ethyl ester (CA INDEX NAME)



IT 865079-36-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted imidazoles as calcium ion channel modulators)
RN 865079-36-3 CAPLUS
CN 1H-1,2,4-Triazole-1-propanoic acid, 4,5-dihydro-3-(2-methoxyphenyl)-4-(4-methylphenyl)-5-thioxo- (CA INDEX NAME)



L7 ANSWER 116 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1004363 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 143:286284
TITLE: Preparation and formulation of 1-indoleacetic acid derivatives as PPAR agonists
INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright, Matthew Blake
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

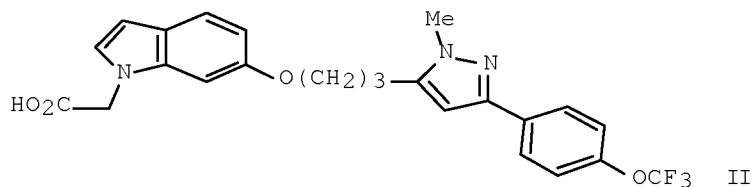
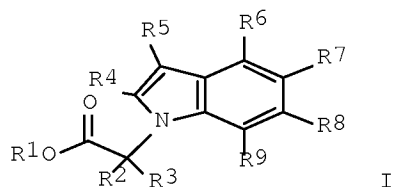
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20050203160	A1	20050915	US 2005-74474	20050308
US 7265149	B2	20070904		
AU 2005219536	A1	20050915	AU 2005-219536	20050228

CA 2557789	A1	20050915	CA 2005-2557789	20050228
WO 2005085235	A1	20050915	WO 2005-EP2074	20050228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1725546	A1	20061129	EP 2005-715587	20050228
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1930150	A	20070314	CN 2005-80007531	20050228
BR 2005009440	A	20070904	BR 2005-9440	20050228
JP 2007527880	T	20071004	JP 2007-502232	20050228
IN 2006DN05054	A	20070803	IN 2006-DN5054	20060901
MX 2006PA10190	A	20061120	MX 2006-PA10190	20060907
KR 2007018027	A	20070213	KR 2006-718281	20060907
KR 802864	B1	20080212		

PRIORITY APPLN. INFO.:

EP 2004-100958	A	20040309
WO 2005-EP2074	W	20050228

OTHER SOURCE(S): CASREACT 143:286284; MARPAT 143:286284
GI

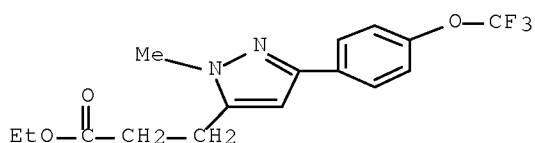


AB Indoleacetic acid derivs. of formula I [R1 = H, alkyl; R2, R3 = H, alkyl, alkoxy; R4, R5, R9 = H, alkyl, cycloalkyl, halo, alkoxy, etc.; R6-R8 = H, alkyl, cycloalkyl, halo, alkoxy, (substituted) pyrazolylalkoxy, etc.] are prepared as PPAR agonists. The invention further relates to pharmaceutical compns. containing such compds., to a process for their preparation and to their use for the treatment and/or prevention of diseases which are modulated by PPAR δ and/or PPAR α agonists. Thus, II was prepared, and had IC50 value of 0.013 μ M against PPAR α .

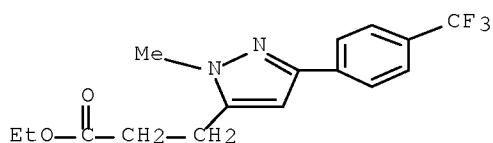
IT 864427-34-9P 864427-48-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indoleacetic acid derivs. as PPAR agonists)

RN 864427-34-9 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 1-methyl-3-[4-(trifluoromethoxy)phenyl]-,
 ethyl ester (CA INDEX NAME)



RN 864427-48-5 CAPLUS
 CN 1H-Pyrazole-5-propanoic acid, 1-methyl-3-[4-(trifluoromethyl)phenyl]-,
 ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 117 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1004353 CAPLUS Full-text
 DOCUMENT NUMBER: 143:311957
 TITLE: Preparation of narcotic-NSAID ion pairs
 INVENTOR(S): Sancilio, Frederick D.; Stowell, Grayson W.; Whittall,
 Linda B.; White, David; Whittle, Robert R.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 53 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050203115	A1	20050915	US 2004-796308	20040310
WO 2005086960	A2	20050922	WO 2005-US8209	20050310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,				

MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2004-796308

A 20040310

AB The present invention provides an ion pair compound of the formula [narcotic]⁺[A]⁻, wherein [narcotic]⁺ represents at least one cation of a narcotic or one or more stereochem. isomers thereof and [A]⁻ represents an anion of 1 NSAID or 1 or more stereochem. isomers thereof. An example of the ion pair compound is propoxyphene diclofenate. The ion pair compds., or their pharmaceutical compns., are useful in methods of treating a wide variety of conditions that indicate analgesics, anti-inflammatory agents, or both. Under the conditions prescribed for their use, the ion pair compds. exhibit poor or complete insoly. but excellent chemical stability in low pH environments, such as those found in the stomach. The ion pair compds. readily dissolve and dissociate in higher pH environments such as the small intestine to release the constituent narcotic and NSAID. Thus, a D-propoxyphene diclofenate was prepared and its particle size was determined

IT 864495-09-0P 864495-24-9P 864495-38-5P
864495-54-5P 864495-68-1P 864495-78-3P
864495-93-2P 864496-08-2P 864496-23-1P
864496-41-3P 864496-52-6P 864496-63-9P
864496-74-2P 864496-85-5P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of narcotic-NSAID ion pairs)

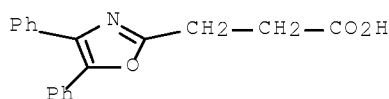
RN 864495-09-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, compd. with (1S,2R)-3-(dimethylamino)-2-methyl-1-phenyl-1-(phenylmethyl)propyl propanoate (1:1)
(CA INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

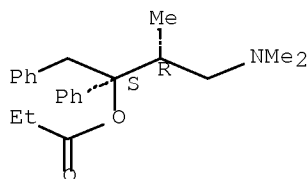


CM 2

CRN 469-62-5

CMF C22 H29 N O2

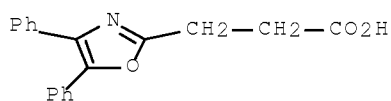
Absolute stereochemistry. Rotation (+).



RN 864495-24-9 CAPLUS
CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, compd. with 2-(2-chlorophenyl)-2-(methylamino)cyclohexanone (1:1) (CA INDEX NAME)

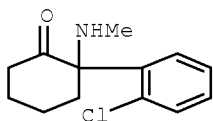
CM 1

CRN 21256-18-8
CMF C18 H15 N O3



CM 2

CRN 6740-88-1
CMF C13 H16 Cl N O

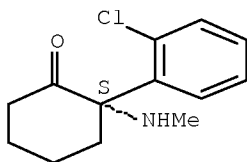


RN 864495-38-5 CAPLUS
CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, (2S)-compd. with 2-(2-chlorophenyl)-2-(methylamino)cyclohexanone (1:1) (CA INDEX NAME)

CM 1

CRN 33643-46-8
CMF C13 H16 Cl N O

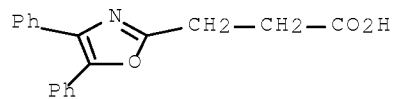
Absolute stereochemistry. Rotation (-).



CM 2

CRN 21256-18-8

CMF C18 H15 N O3



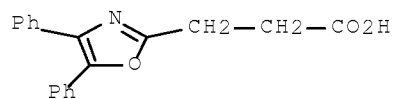
RN 864495-54-5 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, compd. with 6-(dimethylamino)-4,4-diphenyl-3-heptanone (1:1) (CA INDEX NAME)

CM 1

CRN 21256-18-8

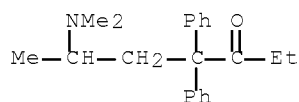
CMF C18 H15 N O3



CM 2

CRN 76-99-3

CMF C21 H27 N O



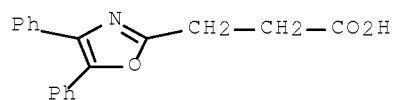
RN 864495-68-1 CAPLUS

CN Morphinan-6-one, 4,5-epoxy-3-methoxy-17-methyl-, (5 α)-, 4,5-diphenyl-2-oxazolepropanoate (9CI) (CA INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

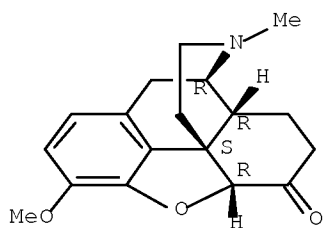


CM 2

CRN 125-29-1

CMF C18 H21 N O3

Absolute stereochemistry. Rotation (-).



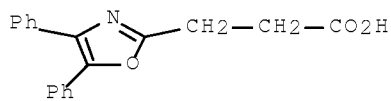
RN 864495-78-3 CAPLUS

CN Morphinan-6-ol, 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl-,
(5 α ,6 α)-, 4,5-diphenyl-2-oxazolepropanoate (salt) (9CI) (CA
INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

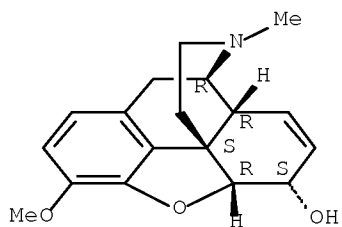


CM 2

CRN 76-57-3

CMF C18 H21 N O3

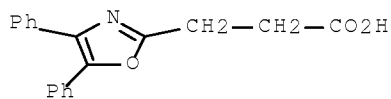
Absolute stereochemistry.



RN 864495-93-2 CAPLUS
 CN Morphinan-3,6-diol, 7,8-didehydro-4,5-epoxy-17-methyl-
 (5α,6α)-, 4,5-diphenyl-2-oxazolepropanoate (salt) (9CI) (CA
 INDEX NAME)

CM 1

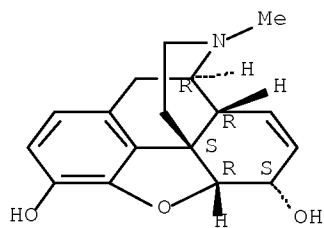
CRN 21256-18-8
 CMF C18 H15 N O3



CM 2

CRN 57-27-2
 CMF C17 H19 N O3

Absolute stereochemistry. Rotation (-).

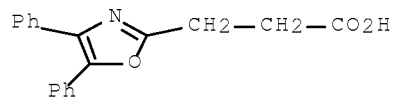


RN 864496-08-2 CAPLUS
 CN Morphinan-3-ol, 17-methyl-, 4,5-diphenyl-2-oxazolepropanoate (salt) (9CI)
 (CA INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

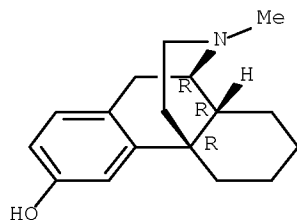


CM 2

CRN 77-07-6

CMF C17 H23 N O

Absolute stereochemistry.



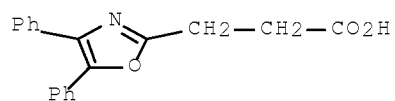
RN 864496-23-1 CAPLUS

CN Morphinan-6-one, 4,5-epoxy-14-hydroxy-3-methoxy-17-methyl-, (5 α)-, 4,5-diphenyl-2-oxazolepropanoate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

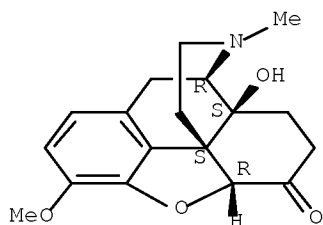


CM 2

CRN 76-42-6

CMF C18 H21 N O4

Absolute stereochemistry.



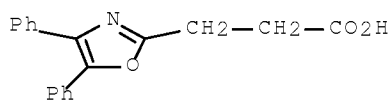
RN 864496-41-3 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-diphenyl-, compd. with N-phenyl-N-[1-(2-phenylethyl)-4-piperidinyl]propanamide (1:1) (CA INDEX NAME)

CM 1

CRN 21256-18-8

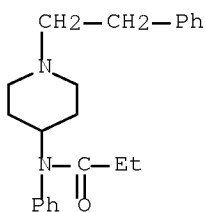
CMF C18 H15 N O3



CM 2

CRN 437-38-7

CMF C22 H28 N2 O



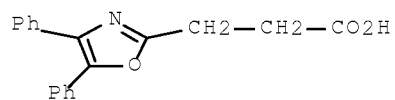
RN 864496-52-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-methyl-4-phenyl-, ethyl ester, 4,5-diphenyl-2-oxazolepropanoate (9CI) (CA INDEX NAME)

CM 1

CRN 21256-18-8

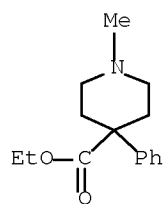
CMF C18 H15 N O3



CM 2

CRN 57-42-1

CMF C15 H21 N O2



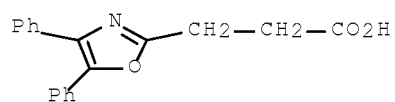
RN 864496-63-9 CAPLUS

CN Morphinan-6-one, 4,5-epoxy-3-hydroxy-17-methyl-, (5 α)-,
4,5-diphenyl-2-oxazolepropanoate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

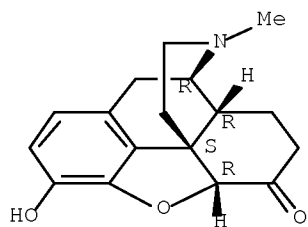


CM 2

CRN 466-99-9

CMF C17 H19 N O3

Absolute stereochemistry.



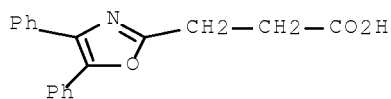
RN 864496-74-2 CAPLUS

CN Morphinan-6-one, 4,5-epoxy-3,14-dihydroxy-17-methyl-, (5 α)-,
4,5-diphenyl-2-oxazolepropanoate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

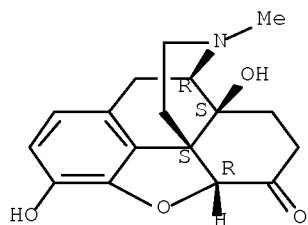


CM 2

CRN 76-41-5

CMF C17 H19 N O4

Absolute stereochemistry.



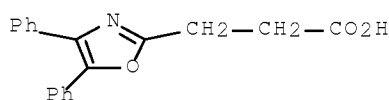
RN 864496-85-5 CAPLUS

CN Morphinan-6-ol, 4,5-epoxy-3-methoxy-17-methyl-, (5 α ,6 α)-,
4,5-diphenyl-2-oxazolepropanoate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 21256-18-8

CMF C18 H15 N O3

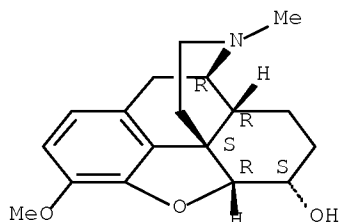


CM 2

CRN 125-28-0

CMF C18 H23 N O3

Absolute stereochemistry.



L7 ANSWER 118 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:996978 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:292622

TITLE: Synthesis of spirocyclohexanone ring containing thiazolidine nucleus: A regioselective approach

AUTHOR(S): Chande, Madhukar S.; Suryanarayan, Vijay

CORPORATE SOURCE: Department of Chemistry, Organic Chemistry Division, The Institute of Science, Mumbai, 400 032, India

SOURCE: Journal of Chemical Research (2005), (6), 345-347
CODEN: JCROA4

PUBLISHER: Science Reviews

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:292622

AB The paper highlights the Michael addition reactions of 2-arylimino-3-aryl-thiazolidin-4-one (I) with acceptors like Me acrylate and acrylonitrile to furnish the diadducts. Dieckmann condensation of 5,5-bis[2-(ethoxycarbonyl)ethyl]-3-(p-tolyl)-2-[(p-tolyl)imino]thiazolidin-4-one affords the spirocyclohexanone derivative. Also discussed is the interaction of I with 1,5-diarylpenta-1,4-dien-3-ones.

IT 879098-33-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

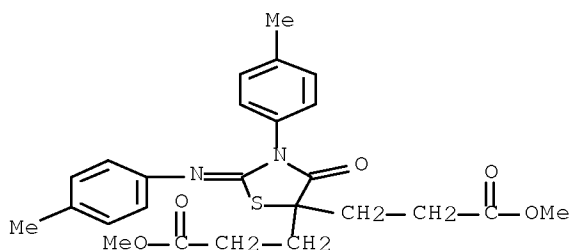
(regioselective preparation of spirocyclohexanone ring containing thiazolidine

nucleus by Michael addition reaction of aryl-iminothiazolidinone derivative with Me acrylate or acrylonitrile or 1,5-diarylpenta-1,4-dien-3-ones)

RN 879098-33-6 CAPLUS

CN 5,5-Thiazolidinedipropenoic acid, 3-(4-methylphenyl)-2-[(4-

methylphenyl)imino]-4-oxo-, 5,5-dimethyl ester (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 119 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:983995 CAPLUS Full-text

DOCUMENT NUMBER: 143:286450

TITLE: Preparation of 3-piperidino(or piperazino)propionic acid derivatives as immunosuppressants

INVENTOR(S): Lu, Wenshou; Pan, Shifeng; Marsilje, Thomas H.; Gao, Wenqi; Gray, Nathanael Schiander; He, Yun; Liu, Yahua; Mi, Yuan; Xie, Yongping

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

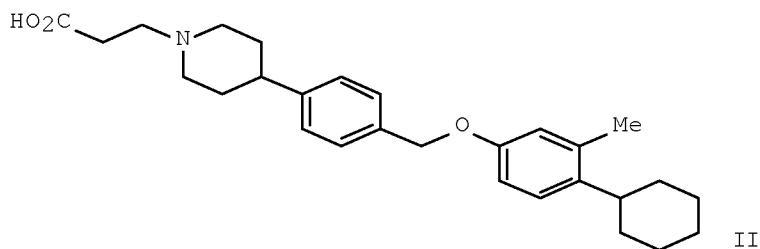
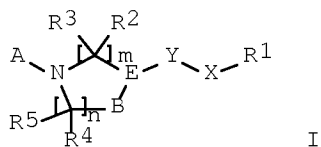
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082089	A2	20050909	WO 2005-US6311	20050224
WO 2005082089	A3	20060504		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005216540	A1	20050909	AU 2005-216540	20050224
AU 2005216540	B2	20080626		
CA 2554627	A1	20050909	CA 2005-2554627	20050224
EP 1718307	A2	20061108	EP 2005-723960	20050224
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
CN 1921863	A	20070228	CN 2005-80005989	20050224
BR 2005007988	A	20070731	BR 2005-7988	20050224
JP 2007523910	T	20070823	JP 2006-554340	20050224

IN 2006CN03059	A	20070608	IN 2006-CN3059	20060822
MX 2006PA09622	A	20070326	MX 2006-PA9622	20060823
US 20070203100	A1	20070830	US 2006-590618	20060824
PRIORITY APPLN. INFO.:			US 2004-547757P	P 20040224
			WO 2005-US6311	W 20050224
OTHER SOURCE(S):		CASREACT 143:286450; MARPAT 143:286450		
GI				



AB The title compds. [I; n = 0-2; m = 1-3; R1 = (un)substituted (hetero)aryl; R2-R5 = H, halo, OH, etc.; A = X1C(O)OR7, X1OP(O)(OR7)2, X1P(O)(OR7)2, etc. (wherein X1 = a bond, alkylene, alkenylene; R7 = H, alkyl); B = CR8R9 (R8, R9 = H, OH, alkyl, etc.); E = CR8 or N (R8 = H, OH, alkyl, etc.) or B = CR9 and E = C and B and E are connected via a double bond; X = a bond, X1OX2, X1NR7X2, etc. (X1, X2 = a bond, alkylene, alkenylene; R7 = H, alkyl); Y = (un)substituted (hetero)aryl], immunosuppressants useful in the treatment or prevention of diseases or disorders mediated by lymphocyte interactions, particularly diseases associated with EDG receptor mediated signal transduction, were prepared. E.g., a multi-step synthesis of II, starting from 4-bromo-3-methylphenol, was given. The compds. I showed selectivity for the S1P1 (EDG-1) receptor. For example, II showed EC50 of 0.22 nM and is at least 1000 fold selective for S1P-1 compared to one or more of the other receptors including S1P-3, S1P-6 and S1P-8. The present invention also relates to process for production of compds. I, their uses and pharmaceutical compns. containing them.

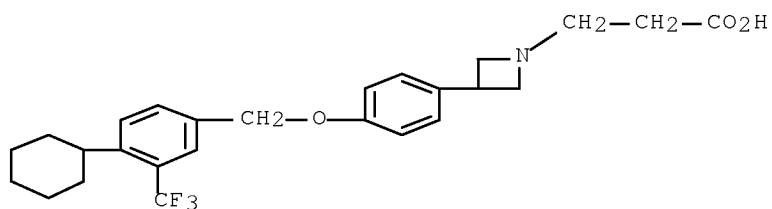
IT 864358-81-6P 864358-82-7P 864358-91-8P
864359-08-0P 864359-09-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-piperidino(or piperazino)propionic acid derivs. as immunosuppressants)

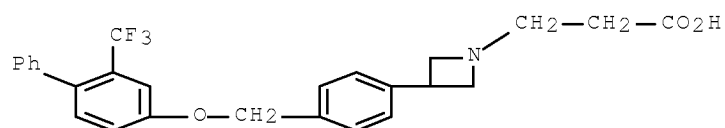
RN 864358-81-6 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[4-[[4-cyclohexyl-3-(trifluoromethyl)phenyl]methoxy]phenyl]- (CA INDEX NAME)



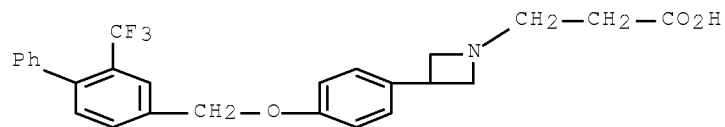
RN 864358-82-7 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[4-[[2-(trifluoromethyl)[1,1'-biphenyl]-4-yl]oxy]methyl]phenyl]- (CA INDEX NAME)



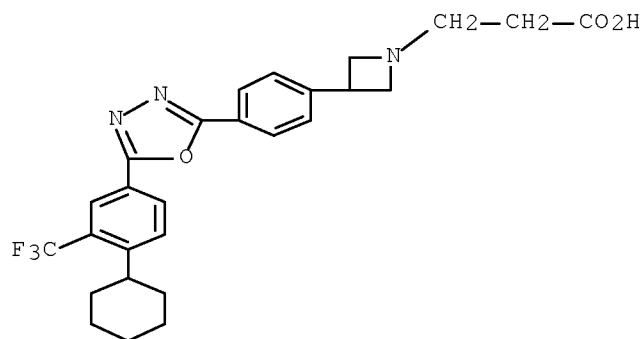
RN 864358-91-8 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[4-[[2-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methoxy]phenyl]- (CA INDEX NAME)



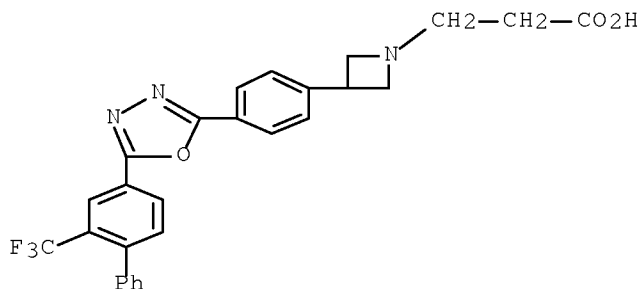
RN 864359-08-0 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[4-[5-[4-cyclohexyl-3-(trifluoromethyl)phenyl]-1,3,4-oxadiazol-2-yl]phenyl]- (CA INDEX NAME)



RN 864359-09-1 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[4-[5-[2-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-1,3,4-oxadiazol-2-yl]phenyl]- (CA INDEX NAME)



L7 ANSWER 120 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588656 CAPLUS Full-text
DOCUMENT NUMBER: 143:115530
TITLE: A preparation of pyrazole derivatives, useful as orexin receptor antagonists
INVENTOR(S): Aletru, Michel; Aranyi, Peter; Balogh, Maria; Batori, Sandor; Bence, Judit; Bovy, Philippe; Kapui, Zoltan; Mikus, Endre; Namane, Claudie; Philippo, Christophe; Szabo, Tibor; Toemoeskoezi, Zsuzsanna; Urban-Szabo, Katalin
PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060959	A1	20050707	WO 2004-HU117	20041215
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
HU 2003004101	A2	20050928	HU 2003-4101	20031222
EP 1699454	A1	20060913	EP 2004-806274	20041215
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
JP 2007519630	T	20070719	JP 2006-546344	20041215
TW 289558	B	20071111	TW 2004-93139247	20041217
US 20070021459	A1	20070125	US 2006-425583	20060621
PRIORITY APPLN. INFO.:			HU 2003-4101	A 20031222

OTHER SOURCE(S):
GI

CASREACT 143:115530; MARPAT 143:115530

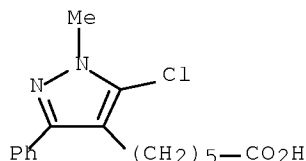
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of pyrazole derivs. of formula I [wherein: Ar is Ph or (un)substituted 5-6-membered heteroarom. ring; Y is CH₂; X is S, O, NH, or S(O), etc.; A is a 5-6-membered aromatic ring; R₁ is benzoyl, alkyl, hydroxyalkyl, or alkylcarbonyl, etc.; R₂ is (un)substituted phenylethyl, naphthyl, or indanyl, etc.; R₃ is H or alkyl; R₄ is H, halogen, alkyl, thioalkyl, or alkoxy], useful as orexin receptor antagonists (no biol. data). For instance, pyrazole derivative II was prepared via amidation of the prepared benzoyl chloride derivative III by 3-aminoquinoline.

IT 857639-91-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazole derivs. useful as orexin receptor antagonists)

RN 857639-91-9 CAPLUS

CN 1H-Pyrazole-4-hexanoic acid, 5-chloro-1-methyl-3-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

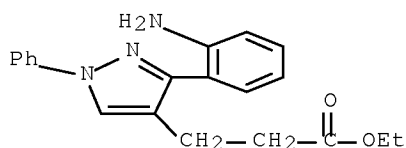
L7 ANSWER 121 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:579741 CAPLUS Full-text
DOCUMENT NUMBER: 143:266861
TITLE: New 2-Arylpyrazolo[4,3-c]quinoline Derivatives as Potent and Selective Human A₃ Adenosine Receptor Antagonists
AUTHOR(S): Baraldi, Pier Giovanni; Tabrizi, Mojgan Aghazadeh; Preti, Delia; Bovero, Andrea; Fruttarolo, Francesca; Romagnoli, Romeo; Zaid, Naser Abdel; Moorman, Allan R.; Varani, Katia; Borea, Pier Andrea
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Dipartimento di Medicina Clinica e Sperimentale-Sezione di Farmacologia, Universita di Ferrara, Ferrara, 44100, Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(15), 5001-5008
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:266861

AB The synthesis and biol. evaluation of a new class of 2-phenyl-2,5-di(hydro)pyrazolo[4,3-c]quinolin-4-one derivs. as A3 adenosine receptor antagonists was reported. A new route based on the Kira-Vilsmeier reaction for the synthesis of this class of compds. was designed. Some of the synthesized compds. showed A3 adenosine receptor affinity in the nanomolar range and good selectivity as evaluated in radioligand binding assays at human (h) A1, A2A, A2B, and A3 adenosine receptor subtypes. Several substituents on the 2-Ph ring were introduced. In particular substitution at the 4-position by Me, methoxy, and chlorine gave optimal activity and selectivity. In conclusion, the 2-phenyl-2,5-dihydro- pyrazolo[4,3-c]quinolin-4-one derivs. described herein represent a new family of in vitro selective antagonists for the adenosine A3 receptor. Selective adenosine A3 receptor antagonists are potential antiasthmatic, antiinflammatory, or cerebroprotective agents (no data).

IT 863641-95-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (aryl)pyrazolo[4,3-c]quinoline derivs. and study of their activity as selective human A3 adenosine receptor antagonists)

RN 863641-95-6 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 3-(2-aminophenyl)-1-phenyl-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 122 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:562306 CAPLUS Full-text

DOCUMENT NUMBER: 143:230159

TITLE: Highly Enantioselective Synthesis of (2S)- α -(Hydroxymethyl)-glutamic Acid by the Catalytic Michael Addition of 2-Naphthalen-1-yl-2-oxazoline-4-carboxylic Acid tert-Butyl Ester

AUTHOR(S): Lee, Yeon-Ju; Lee, Jihye; Kim, Mi-Jeong; Jeong, Byeong-Seon; Lee, Jeong-Hee; Kim, Taek-Soo; Lee, Jihoon; Ku, Jin-Mo; Jew, Sang-sup; Park, Hyeung-geun

CORPORATE SOURCE: Research Institute of Pharmaceutical Sciences and College of Pharmacy, Seoul National University, Seoul, 151-742, S. Korea

SOURCE: Organic Letters (2005), 7(15), 3207-3209
 CODEN: ORLEF7; ISSN: 1523-7060

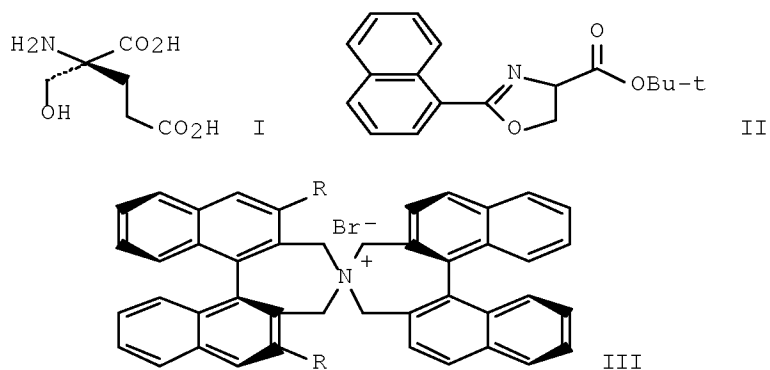
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:230159

GI



AB Highly enantioselective synthesis of (2S)- α -(hydroxymethyl)glutamic acid (I) was accomplished by the catalytic Michael addition of 2-(naphthalen-1-yl)-2-oxazoline-4-carboxylic acid tert-Bu ester (II), using phosphazene base BEMP in CH₂Cl₂ at -60° in the presence of (S)-binaphthyl quaternary ammonium salt III (R = 3,4,5-trifluorophenyl) as the phase transfer catalyst.

IT 862892-21-5P

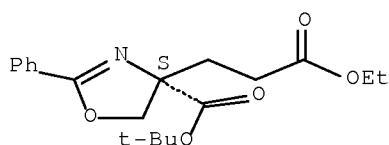
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(enantioselective preparation of (hydroxymethyl)glutamic acid by phase transfer catalytic Michael reaction of (aryl)oxazolinecarboxylate with acrylate)

RN 862892-21-5 CAPLUS

CN 4-Oxazolepropanoic acid, 4-[(1,1-dimethylethoxy)carbonyl]-4,5-dihydro-2-phenyl-, ethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 862892-24-8P

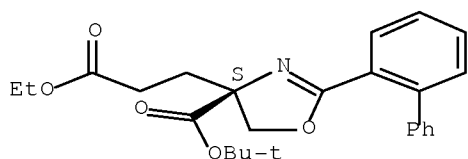
RL: SPN (Synthetic preparation); PREP (Preparation)

(enantioselective preparation of (hydroxymethyl)glutamic acid by phase transfer catalytic Michael reaction of (aryl)oxazolinecarboxylate with acrylate)

RN 862892-24-8 CAPLUS

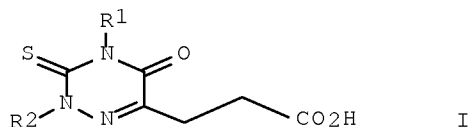
CN 4-Oxazolepropanoic acid, 2-[1,1'-biphenyl]-2-yl-4-[(1,1-dimethylethoxy)carbonyl]-4,5-dihydro-, ethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 123 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:512878 CAPLUS Full-text
 DOCUMENT NUMBER: 143:185673
 TITLE: Zinc complexes with cyclic derivatives of
 α -ketoglutaric acid thiosemicarbazone:
 Synthesis, X-ray structures and DNA interactions
 AUTHOR(S): Baldini, Monica; Belicchi-Ferrari, Marisa; Bisceglie,
 Franco; Capacchi, Silvia; Pelosi, Giorgio; Tarasconi,
 Pieralberto
 CORPORATE SOURCE: Dipartimento di Chimica Generale ed Inorganica,
 Chimica Analitica, Chimica Fisica, Universita degli
 Studi di Parma, Parma, 43100, Italy
 SOURCE: Journal of Inorganic Biochemistry (2005), 99(7),
 1504-1513
 CODEN: JIBIDJ; ISSN: 0162-0134
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 143:185673
 GI



AB Six new oxothioxotriazinylpropionic acid ligands I (R1-H2ctC, R1 = Me, Et, allyl, Ph, 3-MeC6H4, R2 = H; R1 = R2 = Me) derived from α -ketoglutaric acid and thiosemicarbazides and their Zn complexes were synthesized and characterized by anal. and spectroscopic (IR and NMR) studies. The x-ray structures of ligands Me-H2ctC (1), Allyl-H2ctc (3) and of [Zn(Me-HctC)2(OH2)2]·2H2O (7) were determined. In complex 7 the Zn atom lies on a 2-fold axis and is surrounded in a tetrahedral coordination by two H2O mols. and two carboxylic O donor atoms from the ligand. DNA titration in the UV-visible region and thermal denaturation were employed to determine the details of DNA binding for the studied compds. Studies of nuclease activity also were performed with all the authors' compds. through a gel electrophoresis experiment using plasmid pBR322 showing that no DNA breakings take place. Tests in vitro on human leukemia cell line U937 carried out on cell growth

inhibition with the ligands showed no appreciable activity; poor solubility of the zinc compds. prevented evaluation of their activity.

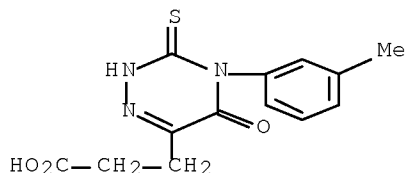
IT 861394-07-2P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)

(preparation and absence of antitumor activity against human leukemia cell line U937)

RN 861394-07-2 CAPLUS

CN 1,2,4-Triazine-6-propanoic acid, 2,3,4,5-tetrahydro-4-(3-methylphenyl)-5-oxo-3-thioxo- (CA INDEX NAME)



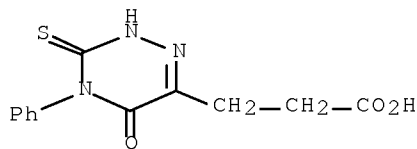
IT 861394-06-1P

RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation, complexation with zinc(II), absence of antitumor activity against human leukemia cell line U937, and DNA binding)

RN 861394-06-1 CAPLUS

CN 1,2,4-Triazine-6-propanoic acid, 2,3,4,5-tetrahydro-5-oxo-4-phenyl-3-thioxo- (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 124 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:508958 CAPLUS Full-text

DOCUMENT NUMBER: 144:6623

TITLE: Introduction of hydroxyl- or keto-functionalities into the side chain of azetidin-2-ones via allylic bromide rearrangement, followed by supported reagent substitution

AUTHOR(S): Benfatti, Fides; Cardillo, Giuliana; Fabbroni, Serena; Gentilucci, Luca; Perciaccante, Rossana; Tolomelli, Alessandra

CORPORATE SOURCE: Dipartimento di Chimica "G. Ciamician" Universita di Bologna, Universita di Bologna, Bologna, 40126, Italy

SOURCE: ARKIVOC (Gainesville, FL, United States) (2005), (6), 136-152

CODEN: AGFUAR

URL: http://www.arkat-usa.org/ark/journal/2005/I06_Juaristi/1390/EJ-1390C.pdf

PUBLISHER:

Arkato USA Inc.

DOCUMENT TYPE:

Journal; (online computer file)

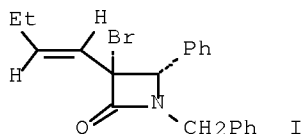
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 144:6623

GI



AB The allylic bromide rearrangement of 3-bromo-3-alkenyl-azetidin-2-ones, e.g., I, induced by m-chloroperbenzoic acid, N-bromosuccinimide or benzoylperoxide as radical initiators. The substitution of bromide by resin supported acids, followed by hydrolysis of the ester moiety, allowed an hydroxyl- or keto-function to be introduced in the C3 side chain of the azetidinone, thus giving access to a class of potential cholesterol absorption inhibitors.

IT 869944-29-6P

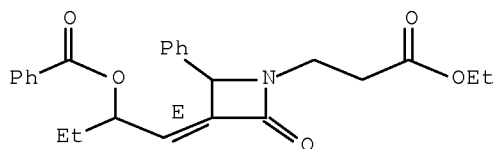
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hydroxyalkylidene)azetidinones via substitution of (bromoalkylidene)azetidinones with resin-supported carboxylic acids followed by hydrolysis)

RN 869944-29-6 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[2-(benzyloxy)butylidene]-2-oxo-4-phenyl-, ethyl ester, (3E)- (CA INDEX NAME)

Double bond geometry as shown.



IT 869944-36-5P

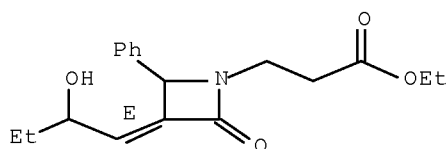
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of (hydroxyalkylidene)azetidinones via substitution of (bromoalkylidene)azetidinones with resin-supported carboxylic acids followed by hydrolysis)

RN 869944-36-5 CAPLUS

CN 1-Azetidinepropanoic acid, 3-(2-hydroxybutylidene)-2-oxo-4-phenyl-, ethyl ester, (3E)- (CA INDEX NAME)

Double bond geometry as shown.



IT 869944-16-1P 869944-22-9P

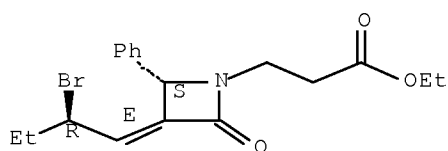
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective preparation of (bromoalkylidene)azetidinones via allylic bromide rearrangement of alkenyl(bromo)azetidinones followed by separation of stereoisomers)

RN 869944-16-1 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(2R)-2-bromobutylidene]-2-oxo-4-phenyl-, ethyl ester, (3E,4S)-rel- (CA INDEX NAME)

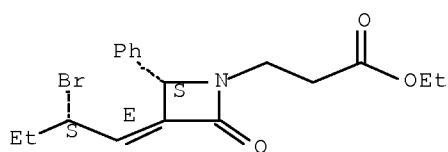
Relative stereochemistry.
Double bond geometry as shown.



RN 869944-22-9 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(2R)-2-bromobutylidene]-2-oxo-4-phenyl-, ethyl ester, (3E,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



IT 869944-11-6P 869944-21-8P

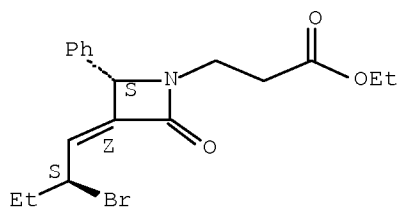
RL: SPN (Synthetic preparation); PREP (Preparation)

(stereoselective preparation of (bromoalkylidene)azetidinones via allylic bromide rearrangement of alkenyl(bromo)azetidinones followed by separation of stereoisomers)

RN 869944-11-6 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(2R)-2-bromobutylidene]-2-oxo-4-phenyl-, ethyl ester, (3Z,4R)-rel- (CA INDEX NAME)

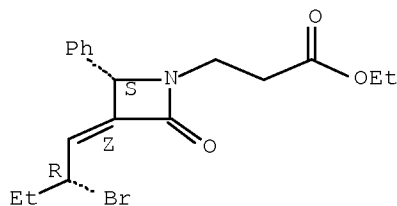
Relative stereochemistry.
Double bond geometry as shown.



RN 869944-21-8 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[(2R)-2-bromobutylidene]-2-oxo-4-phenyl-, ethyl ester, (3Z,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 125 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:477594 CAPLUS Full-text

DOCUMENT NUMBER: 144:232866

TITLE: Highly regio- and diastereoselective palladium-catalyzed allylic substitution. Synthesis of 3-(2-aminobutylidene)-4-arylazetidin-2-ones

AUTHOR(S): Cardillo, Giuliana; Fabbroni, Serena; Gentilucci,

CORPORATE SOURCE: Luca; Perciaccante, Rossana; Tolomelli, Alessandra
Dipartimento di Chimica "G. Ciamician", Universita di Bologna, Bologna, 40126, Italy

SOURCE: Advanced Synthesis & Catalysis (2005), 347(6), 833-838
CODEN: ASCAF7; ISSN: 1615-4150

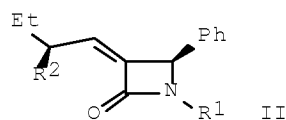
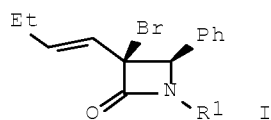
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:232866

GI



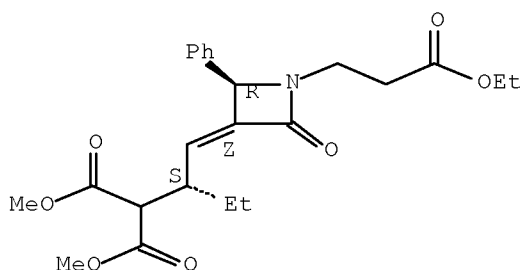
AB The palladium-catalyzed allylic alkylation and amination of 3-alkenyl-3-bromoazetidin-2-ones I [R1 = PhCH2, EtO2CCH2CH2, (S)- α -methylbenzyl] with di-Me malonate and benzylamine, resp., occurred regio- and stereoselectively to give II [R2 = (MeO2C)CH, PhCH2NH] in high yields. The amination reaction shows interesting mechanistic aspects and allows to introduce in one step and under high regio- and stereocontrol the amino function in the C3 side chain of non-conventional β -lactams, thus offering the opportunity for designing new potential glutamine synthetase inhibitors, such as Tabtoxin analogs.

IT 876726-68-0P 876726-71-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (regio- and stereoselective preparation of functionalized
 (butylidene)azetidinones via palladium-catalyzed allylic alkylation and
 amination reactions of (bromo)(alkenyl)azetidinones)

RN 876726-68-0 CAPLUS

CN Propanedioic acid, 2-[(1R)-1-[(Z)-[(4S)-1-(3-ethoxy-3-oxopropyl)-2-oxo-4-phenyl-3-azetidinyldene]methyl]propyl]-, 1,3-dimethyl ester, rel- (CA INDEX NAME)

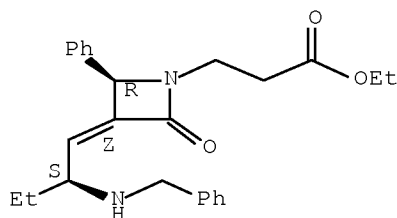
Relative stereochemistry.
 Double bond geometry as shown.



RN 876726-71-5 CAPLUS

CN 1-Azetidinepropanoic acid, 2-oxo-4-phenyl-3-[(2R)-2-[(phenylmethyl)amino]butylidene]-, ethyl ester, (3Z,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

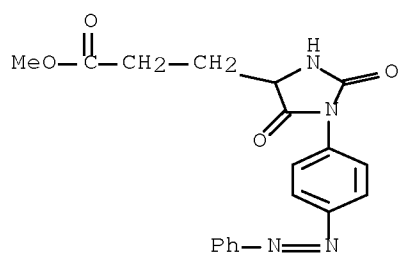
L7 ANSWER 126 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1950:33661 CAPLUS Full-text

DOCUMENT NUMBER: 44:33661
 ORIGINAL REFERENCE NO.: 44:6468c-i,6469a-d
 TITLE: The quantitative microanalytical separation and determination of amino acids as azobenzene derivatives of urea. I. Theoretical and preparative basis for the technique for separation of the dyes by selective fractionation
 AUTHOR(S): Zeile, Karl; Oetzel, Martin
 SOURCE: Z. physiol. Chem. (1949), 284, 1-19
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

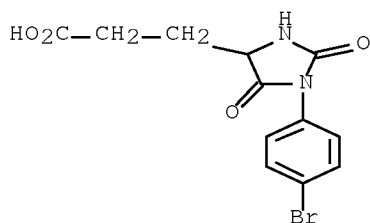
AB By means of derivs. it is possible to modify the phys. properties, such as solubility, of amino acids and effect their separation by partitioning the derivs. between water and some immiscible solvent at a suitable pH. The preparation of usable intermediates and derivs. is described. Mono- and di-Me esters of 2,5-disarcosino-1,4-benzoquinone: A solution of 1.4 g. Me ester of sarcosine-HCl in 3 cc. MeOH, and 1.4 g. NaOAc were mixed with shaking. To this solution 1.62 g. quinone in 20 cc. MeOH was added. After 30 min. at 40°, the precipitate was filtered off and washed with water and MeOH. The precipitate was extracted 3 times (hot) with CHCl₃ and 3 times with MeOH. The monomethyl ester crystallized from these exts. m. 172°. From the mother liquor of the CHCl₃ extract the di-Me ester crystallized m. 202°. Di-Et ester of 2,5-diglycino-3,6-dichloro-1,4-benzoquinone: A solution of 1.4 g. Et glycine-HCl in 10 cc. alc. was mixed with a solution of 2.72 g. NaOAc in 5 cc. alc. and a solution of 1.23 g. chloranil in 20 cc. dry dioxane. After several hrs. the precipitate was filtered off, washed with water, alc., and ether, yield 1.1 g., m. 202° after recrystn. from CHCl₃. By an analogous process, the di-Me ester of 2,5-disarcosino-3,6-dichloro-1,4-benzoquinone was prepared, m. 153°. p-Phenylazophenyl isocyanate (I), m. 98°, was prepared from p-aminoazobenzene. Two cc. of water was added to a solution of 0.25 g. I in 5 cc. pyridine and heated. 4,4'-Bis(phenylazo) carbanilide separated, m. 274° (decomposition). MeOH (1 cc.) and 0.5 g. I were heated together. Me 4-phenylazocarbanilate separated, m. 122°. The m.ps. of other esters prepared in the same way are: Et 153°, Pr 146°, iso-Pr 174°, 2-methylpropyl 131°. General method for the preparation of phenylazoanilino formylamino acids: The amino acid is dissolved in the equivalent amount of N NaOH and added to 1.25 mol of I. After standing 3 h., the solution can be worked up by either of the following methods: (a) At pH 8-9, the amino acid derivative is dissolved in water and weak alkali, and excess I is decomposed. The azo derivative is precipitated by means of N HCl and washed with water. (b) At pH 3-4, water and N HCl are added. The precipitated amino acid derivative and the urea derivative of I are taken up in ether. The ether solution is washed with dilute NaOH and then with dilute HCl. The ether is evaporated to give crystals of the azo derivative of the amino acid. The following amino acid derivs. (p-PhN:NC₆H₄NHCONHCHRCOOH) were prepared and their m.ps. determined: p-phenylazoanilinoformylglycine (II) 206°, p-phenylazoanilinoformylsarcosine 143°, p-phenylazoanilinoformyl-L-(+)-alanine (III) 194°, p-phenylazoanilinoformyl-DL-alanine (XVII) 203°, p-phenylazoanilinoformyl-L-(-)-phenylalanine 174°, p-phenylazoanilinoformyl-DL-serine (X) 202°, p-phenylazoanilinoformyl-DL-valine 191°, p-phenylazoanilinoformyl-L-(-)-leucine (IV) 185°, p-phenylazoanilinoformyl-L(+)-isoleucine 190°, p-phenylazoanilinoformyl-L-(-)-tyrosine (V) 191°, p-phenylazoanilinoformyl-DL-methionine (XI) 165°, Ba salt of p-phenylazoanilinoformyltaurine, p-phenylazoanilinoformyl-L-(-)-aspartic acid (VI) 219°, p-phenylazoanilinoformyl-L(+)-glutamic acid (VII) 184°, p-phenylazoanilinoformyl-L-(-)-histidine (VIII) 191°, p-phenylazoanilinoformyl-L-(-)-tryptophan 200°, p-phenylazoanilinoformyl-DL-proline (XII) 187°, p-phenylazoanilinoformyl-L-(-)-hydroxyproline 201°, p-phenylazoanilinoformyl-L-(-)-cystine (XIII) 188°, bis[p-phenylazoanilinoformyl]-L(+)-lysine (XIV) 222°, bis[p-phenylazoanilinoformyl]-L(+)-ornithine (XV) 224°, bis[p-

phenylazoanilinoformyl]-L(+)-arginine (XVI) 210°. VIII crystallized from 65% EtOH has 1 mol. of alc. of crystallization, m. 166°. Et p-phenylazoanilinoformylglycine (IX), m. 161°, was prepared from II by esterification with absolute EtOH and concentrated H₂SO₄. IX was also prepared from I and Et glycine. 3-[p-Phenylazophenyl] hydantoin-5-acetic acid, m. 241°, was prepared by refluxing 0.5 g. of VI with 15 cc. AcOH and Ac₂O 1 h. 3-[p-Phenylazophenyl]hydantoin-5-propionic acid γ-lactam, m. 255°, was prepared by refluxing 0.5 g. VII with 3 cc. AcOH and 5 cc. Ac₂O. 1-Acetyl-3-[p-phenylazophenyl]-2, 4-dihydroxyimidazolidine, m. 190°, was prepared by refluxing 0.5 g. I with 10 cc. AcOH and 5 cc. Ac₂O for 1 h. The hydantoins of the following phenylazoanilinoformylamino acids (p-PhN:NC₆H₄NHCONHCHRCOOH) were prepared by allowing 0.5 g. of the amino acid derivative in 150 cc. MeOH to stand overnight with an Et₂O solution of diazomethane: I m. 228°, III 226°, IV 197°, V 219°, VI 211°, VII 175°.

IT 858222-14-7P, 4-Imidazolidinepropionic acid, 2,5-dioxo-1-(p-phenylazophenyl)-, methyl ester
 RL: PREP (Preparation)
 (preparation of)
 RN 858222-14-7 CAPLUS
 CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-[4-(2-phenyldiazenyl)phenyl]-, methyl ester (CA INDEX NAME)



L7 ANSWER 127 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1937:13244 CAPLUS Full-text
 DOCUMENT NUMBER: 31:13244
 ORIGINAL REFERENCE NO.: 31:1833i,1834a
 TITLE: Ascorbic acid oxidase from drumstick, Moringa pterygosperma
 AUTHOR(S): Srinivasan, Mudambi
 SOURCE: Biochemical Journal (1936), 30, 2077-84
 CODEN: BIJOAK; ISSN: 0264-6021
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 AB See C. A. 30, 2592.2.
 IT 873380-69-9P, 4-Imidazolidinepropionic acid, 1-(p-bromophenyl)-2,5-dioxo-
 RL: PREP (Preparation)
 (preparation of)
 RN 873380-69-9 CAPLUS
 CN 4-Imidazolidinepropanoic acid, 1-(4-bromophenyl)-2,5-dioxo- (CA INDEX NAME)



L7 ANSWER 128 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1937:13243 CAPLUS Full-text

DOCUMENT NUMBER: 31:13243

ORIGINAL REFERENCE NO.: 31:1833f-i

TITLE: The action of phenyl isocyanate on insulin. II.
Further observations on the chemistry of insulin and
its phosphate-lowering power

AUTHOR(S): Gaunt, Wm. E.; Wormall, Arthur

SOURCE: Biochemical Journal (1936), 30, 1915-26

CODEN: BIJOAK; ISSN: 0264-6021

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

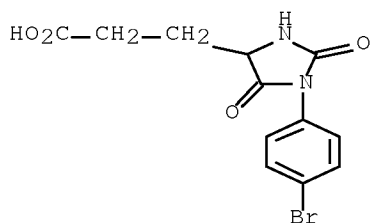
AB cf. C. A. 29, 2661.4. Insulin lost its hypophosphatemic power at the same rate that it lost hypoglucemic activity when it was treated with PhNCO (I). I and its p-Br derivative (II) did not react with the OH group of tyrosine, the acid amide groups of asparagine and glutamine, the imidazole radical of histidine or the S-S linkage of cystine. II and proline gave p-bromophenylcarbamyproline m. 169° (decomposition). I and II reacted with the guanidino group of arginine to some extent. The following compds. were prepared from amino acids and I and II: S-phenylcarbamy- α -phenylcarbamido- β -mercaptopropionic acid m. 135-6°, S-phenylcarbamy- α -mercaptopropionic acid m. 140-1°, S-phenylcarbamymercaptoacetic acid m. 146°, Na-p-bromophenylcarbamyhistidine m. 177-8°; Na-phenylcarbamyasparagine m. 163°, Na-p-bromophenylcarbamyasparagine (+ 1 mol. EtOH) m. 175-6°, Na-phenylcarbamyglutamine m. 161°, Na-p-bromophenylcarbamyglutamine m. 189°. The above derivs. of asparagine and glutamine gave on heating in 5 N HCl phenyl- and p-bromophenylhydantoinacetic acids m. 231-3° and 220°, resp., and β -(phenyl- and β -(p-bromophenylhydantoin)) propionic acids m. 160-1° and 200-201°, resp.

IT 873380-69-9P, 4-Imidazolidinepropionic acid, 1-(p-bromophenyl)-2,5-dioxo-

RL: PREP (Preparation)
(preparation of)

RN 873380-69-9 CAPLUS

CN 4-Imidazolidinepropanoic acid, 1-(4-bromophenyl)-2,5-dioxo- (CA INDEX NAME)



L7 ANSWER 129 OF 129 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1930:53162 CAPLUS Full-text

DOCUMENT NUMBER: 24:53162

ORIGINAL REFERENCE NO.: 24:5751f-i

TITLE: Synthesis of thiazole amines possessing pharmacological interest. V, VI

AUTHOR(S): Hinegardner, W. S.; Johnson, T. B.

SOURCE: Journal of the American Chemical Society (1930), 52, 4139-41, 4141-4

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

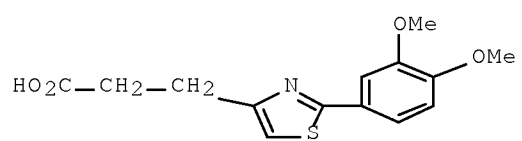
LANGUAGE: Unavailable

AB cf. C. A. 24, 5038. A series of intermediate compds. prepared in the development of a practical synthesis of 2-p-hydroxyphenylthiazole-4-ethylamine (I). (ClCH₂)₂CO and thioanisamide give 72% of 2-p-methoxyphenylthiazole-4-chloromethyl, b2-4 185-6°, m. 55-6°; with CHNa(CO₂Et)₂ there results 51.7% of di-Et 2-p-methoxyphenylthiazole-4-methylmalonate, b2-4 235-9°; the free acid, m. 97°, seps. with 2 mols. H₂O; decarboxylation gives 2-p-methoxyphenylthiazole-4-β-propionic acid, m. 126-7°, whose Et ester m. 53-4°; the hydrazide m. 158-9° (95% yield) and the azide m. 78-9° (94% yield); di(2-methoxyphenylthiazole-4-ethyl)-sym-urea, m. 173-4° (97.4% yield). 2-p-Methoxyphenylthiazole-4-ethylphthalimide, m. 120-1° (88% yield), results by heating the urea with C₆H₄(CO)₂O at 220-5°; digestion with N₂H₄.H₂O in EtOH gives 2-p-methoxyphenylthiazole-4-ethylamine, b2-4 292-3°; 48% HBr gives I, which is an oil; the HCl salt m. 218-22°. Attempts to convert the urea into I by 48% HBr were unsuccessful. Veratrolonitrile with H₂N in EtOH at 100° gives 90% of 3,4 dimethoxythiobenzamide, m. 183°; with (ClCH₂)CO this yields 74% of 2-(3,4- dimethoxyphenylthiazole)-4-chloromethyl, m. 89-90°. Di-Et 2-(3,4- dimethoxyphenylthiazole)-4-methylmalonate, b2-3 215-5° (53% yeild); the free acid m. 141°, seps. with 1 mol. H₂O (53% yield); 2-(3,4- dimethoxyphenylthiazole)-4-β-propionic acid, m. 94° (80% yield); Et ester, b2-3 220-3°, m. 69° (81% yield); hydrazide, m. 162° (94% yield); azide, m. 77-8° (90% yield); di-2-(3,4-dimethoxyphenylthiazole-4-ethyl)-sym-urea, m. 165-6° (90% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylphthalimide, m. 143-4° (72% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylamine, b4 210-2° (52% yield); di-HCl salt, m. 225-7°. The di-HO derivative has not been obtained pure from demethylation expts.

IT 858009-38-8, 4-Thiazolepropionic acid, 2-(3,4-dimethoxyphenyl)- (and derivs.)

RN 858009-38-8 CAPLUS

CN 4-Thiazolepropanoic acid, 2-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



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LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 11:35:45 ON 18 SEP 2008